Search #1

CANELLA 09/544,644

=> d his

(FILE 'HOME' ENTERED AT 09:11:31 ON 11 APR 2002)

FILE 'REGISTRY' ENTERED AT 09:11:41 ON 11 APR 2002

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L1             STR
L2              12 S L1
L3         1331104 S PROTEIN/FS
L4          710561 S L3 AND SQL<101
L5               4 S L1 SSS SAM SUB=L4
L6               SCREEN 1992 AND 2005
L7               SCREEN 2043
L8               4 S L1 AND L6 NOT L7 SSS SAM SUB=L3
L9               STR L1
L10              4 S L9 SSS SAM SUB=L4
L11           9059 S L9 SSS FUL SUB=L4
                 SAVE L11 TEMP CAN664P/A
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710,561 peptides w/ 2-100 residues (parent set)

9059 peptides

FILE 'HCAPLUS' ENTERED AT 09:42:36 ON 11 APR 2002

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L12           2234 S L11
L13             28 S L12(L)?CONJUGAT?
L14              3 S L12(L)(HYDROPHOB? OR LIPOPHIL?)
L15              0 S L13 AND L14
L16             71 S L12 AND (HYDROPHOB? OR LIPOPHIL?)
L17              3 S L13 AND L16
L18             25 S L13 NOT L17
L19              1 S L12(L)(DRUG DELIVERY)
L20              9 S L12(L)(DELIVER? OR TRANSPORT? OR UPTAK? OR ENDOCYTOSIS)
L21             14 S L14 OR L17 OR L19-20
L22              1 S 2000:725483/AN
L23             14 S L21 NOT L22
L24             25 S L13 NOT L23
L25          82595 S N-TERMIN?
L26          66233 S C-TERMIN?
L27           1876 S CONJUGAT?(L)L25-26
L28            910 S L27(L)PEPTID?
L29             55 S L28(L)(HYDROPHOB? OR LIPOPHIL?)
L30             12 S L29(L)(DELIVER? OR TRANSPORT? OR UPTAK? OR ENDOCYTOSIS)
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14

25 cites

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L3       1331104 SEA FILE=REGISTRY ABB=ON  PLU=ON  PROTEIN/FS
L4        710561 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 AND SQL<101
L9               STR
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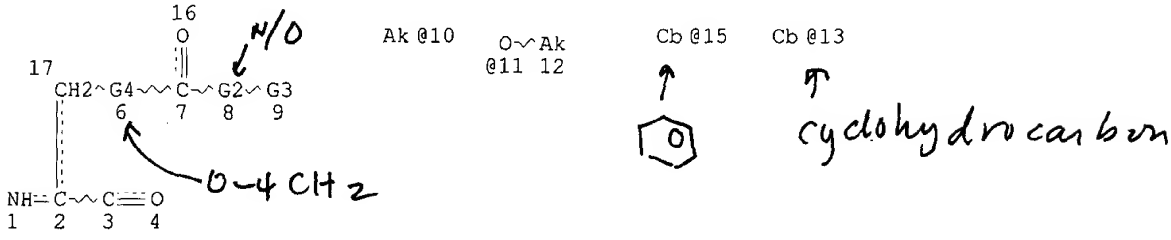


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CONNECT IS E1  RC AT  10
CONNECT IS E1  RC AT  12
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GGCAT   IS MCY  SAT  AT  13
GGCAT   IS MCY  UNS  AT  15
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M2 C  AT  10
ECOUNT  IS M2 C  AT  12
ECOUNT  IS X6 C  AT  13
ECOUNT  IS E6 C  AT  15

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  15

STEREO ATTRIBUTES: NONE
L11         9059 SEA FILE=REGISTRY SUB=L4 SSS FUL L9
L12         2234 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11
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=> d ibib abs hitstr 1

L23 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:240709 HCAPLUS
DOCUMENT NUMBER: 135:55450
TITLE: Peptide transport by the multidrug resistance protein MRP1
AUTHOR(S): De Jong, Mariska C.; Slootstra, Jerry W.; Scheffer, George L.; Schroeijers, Anouk B.; Puijk, Wouter C.; Dinkelberg, Remco; Kool, Marcel; Broxterman, Henk J.; Meloen, Rob H.; Scheper, Rik J.
CORPORATE SOURCE: Department of Pathology, University Hospital Vrije Universiteit, Amsterdam, 1081 HV, Neth.
SOURCE: Cancer Research (2001), 61(6), 2552-2557
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

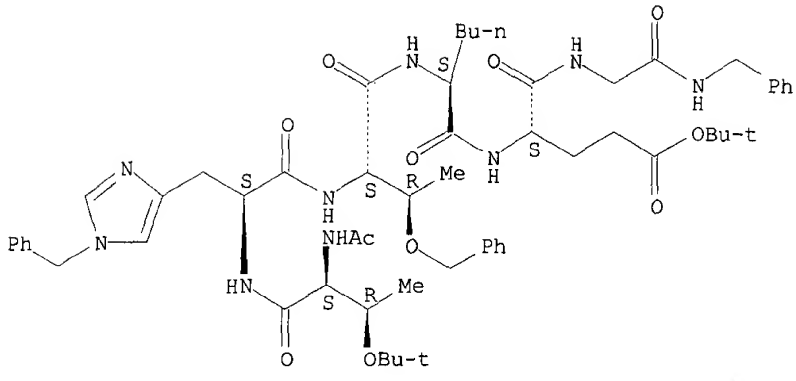
AB Small hydrophobic peptides were studied as possible substrates of the multidrug resistance protein (MRP)-1 (ABCC1) transmembrane transporter mol. As obsd. earlier for P-glycoprotein- (Pgp; ABCB1) overexpressing cells, MRP1-overexpressing cells, including cells stably transfected with the MRP1 cDNA, showed distinct resistance to the cytotoxic peptide N-acetyl-Leu-Leu-norleucinal (ALLN). Resistance to this peptide and another toxic peptide deriv., which is based on a Thr-His-Thr-Nle-Glu-Gly backbone conjugated to Bu and benzyl groups (4A6), could be reversed by MRP1 inhibitors. The reduced toxicity of 4A6 in MRP1-overexpressing cells was assocd. with lower accumulation of a fluorescein-labeled deriv. of this peptide. Glutathione (GSH) depletion had a clear effect on resistance to ALLN but hardly affected 4A6 resistance. In a limited structure-activity study using peptides that are analogous to 4A6, MRP1-overexpressing cells were resistant to these peptides as well. Remarkably, when selecting A2780 ovarian cancer cells for resistance to ALLN, even in the absence of Pgp blockers, resulting cell lines had up-regulated MRP1, rather than any of the other currently known multidrug resistance transporter mols. including Pgp, MRP2 (ABCC2), MRP3 (ABCC3), MRP5 (ABCC5), and the breast cancer resistance protein ABCG2. ALLN-resistant, MRP1-overexpressing cells were cross-resistant to 4A6 and the classical multidrug resistance drugs doxorubicin, vincristine, and etoposide. This establishes MRP1 as a transporter for small hydrophobic peptides. More extensive structure-activity relation studies should allow the identification of clin. useful peptide antagonists of MRP1.

IT **345662-87-5 345662-88-6 345662-89-7**
345662-90-0 345662-91-1 345662-93-3
345662-94-4 345662-95-5 345662-96-6
345662-97-7 345662-99-9 345663-00-5
345663-01-6 345663-02-7 345663-36-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(peptide **transport** by multidrug resistance protein MRP1)

RN 345662-87-5 HCAPLUS

CN Glycinamide, N-acetyl-O-(1,1-dimethylethyl)-L-threonyl-1-(phenylmethyl)-L-histidyl-O-(phenylmethyl)-L-threonyl-L-norleucyl-L-.alpha.-glutamyl-N-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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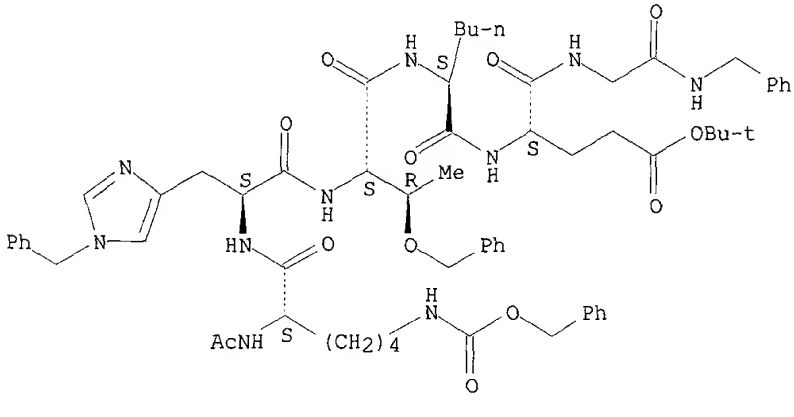
Absolute stereochemistry.



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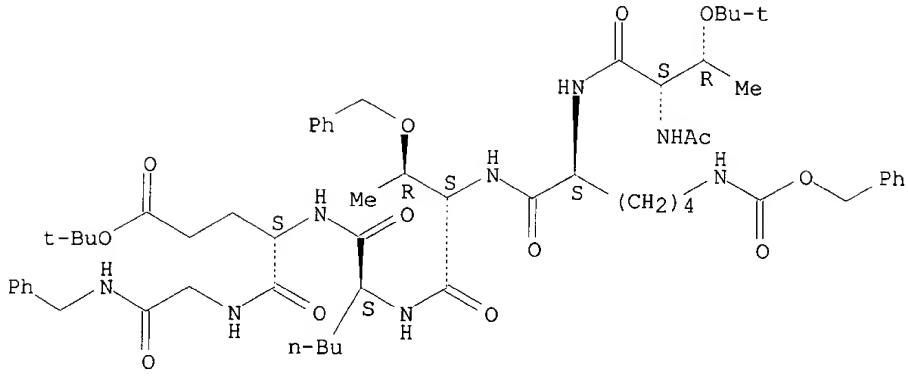
Absolute stereochemistry.



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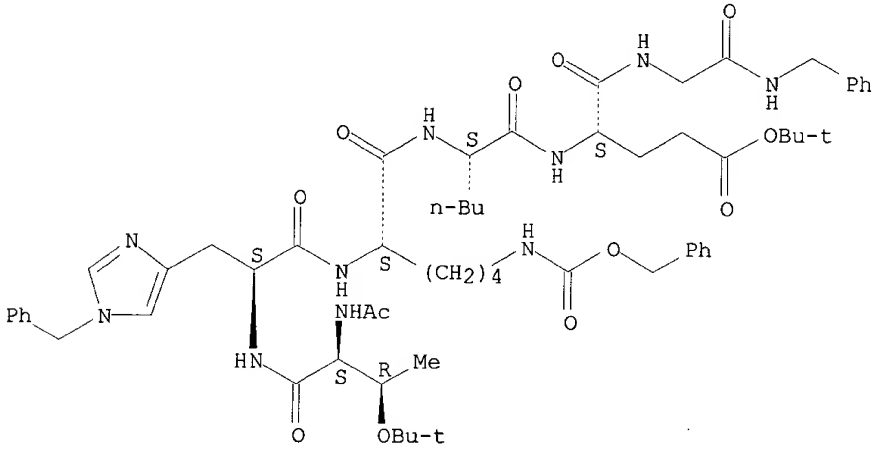
Absolute stereochemistry.



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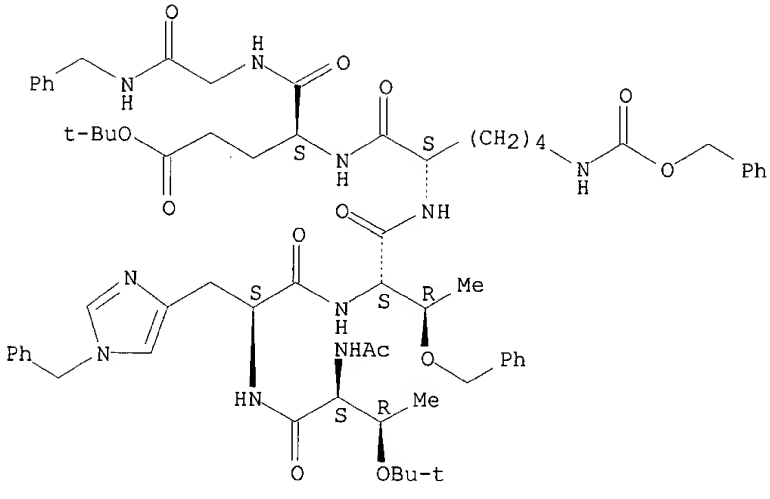
Absolute stereochemistry.



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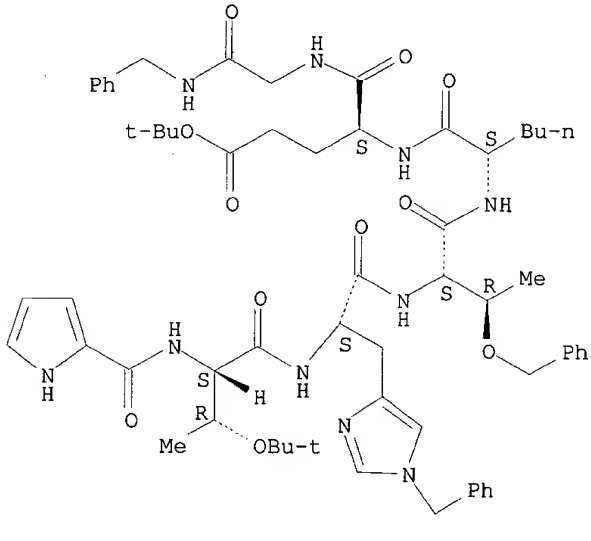
Absolute stereochemistry.



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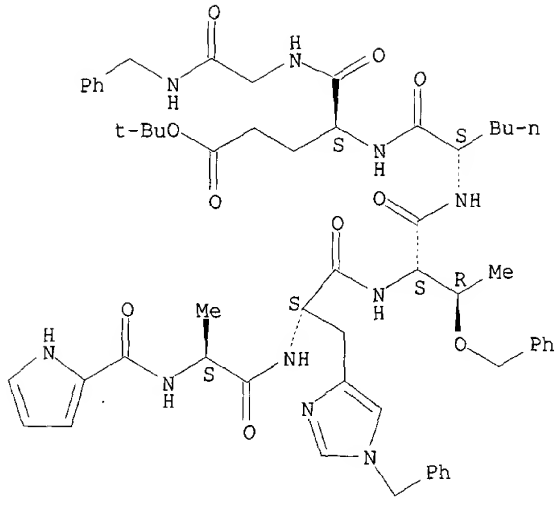
Absolute stereochemistry.



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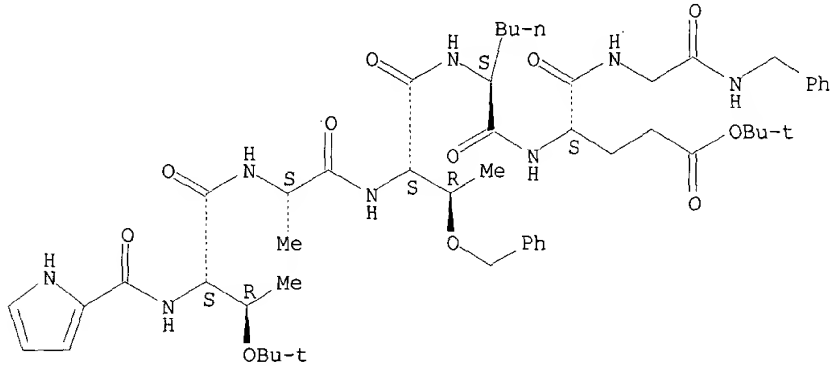
Absolute stereochemistry.



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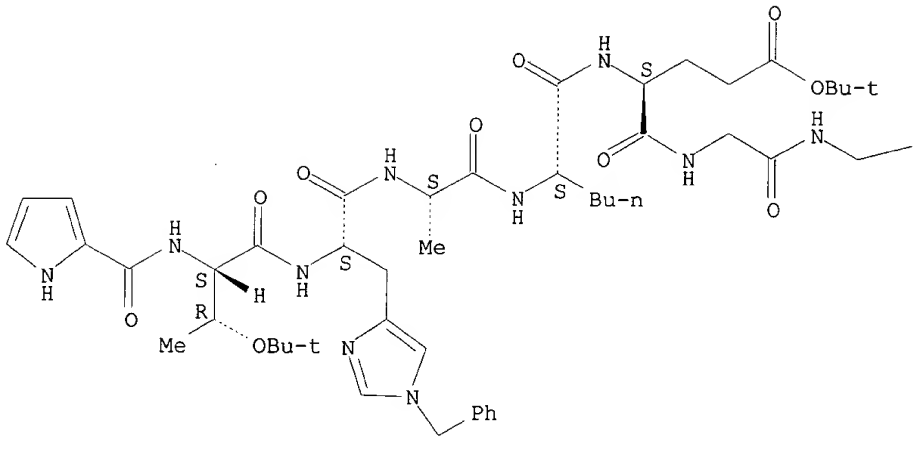
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

— Ph

RN 345662-97-7 HCAPLUS
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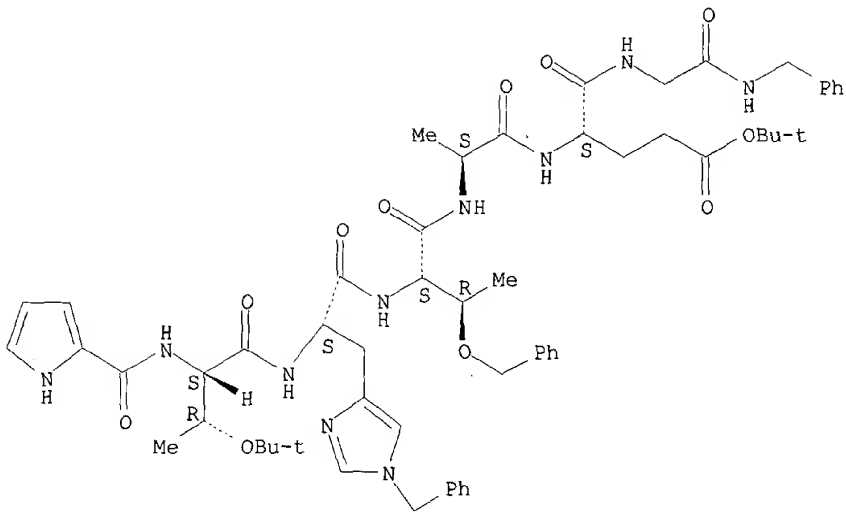
Absolute stereochemistry.



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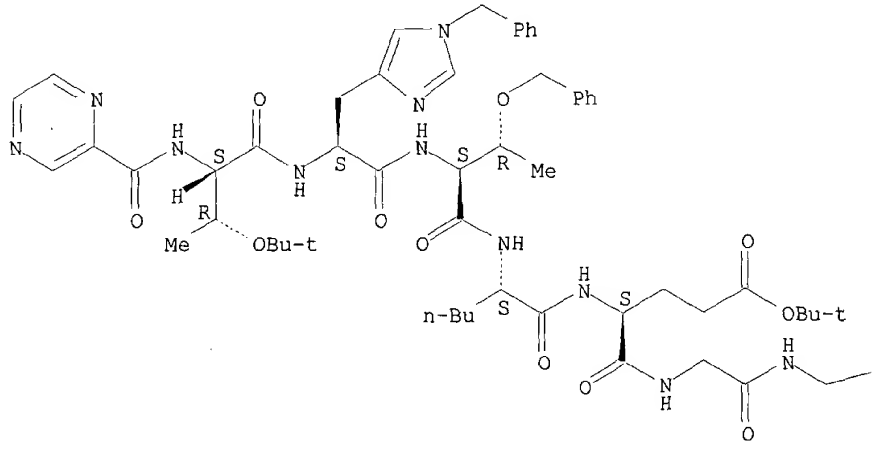
Absolute stereochemistry.

PAGE 1-A



—Ph

RN 345663-00-5 HCAPLUS
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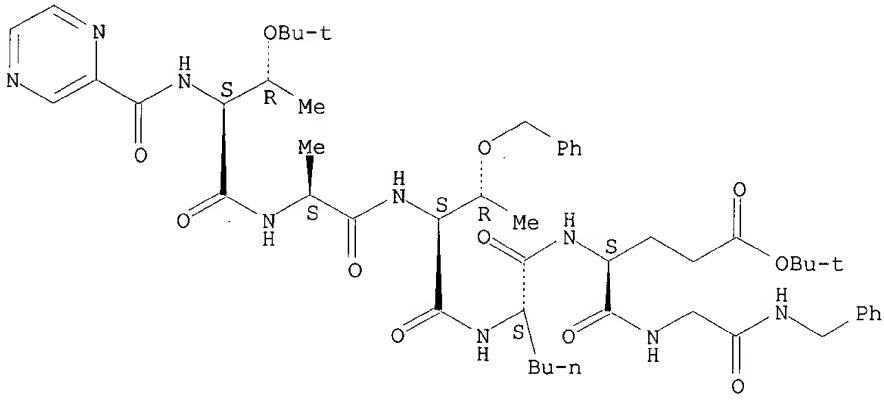
Absolute stereochemistry.



RN 345663-01-6 HCAPLUS
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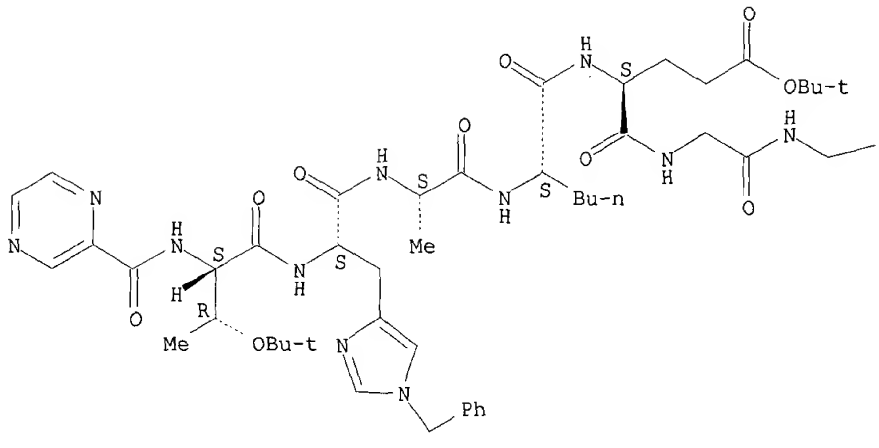
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Ph

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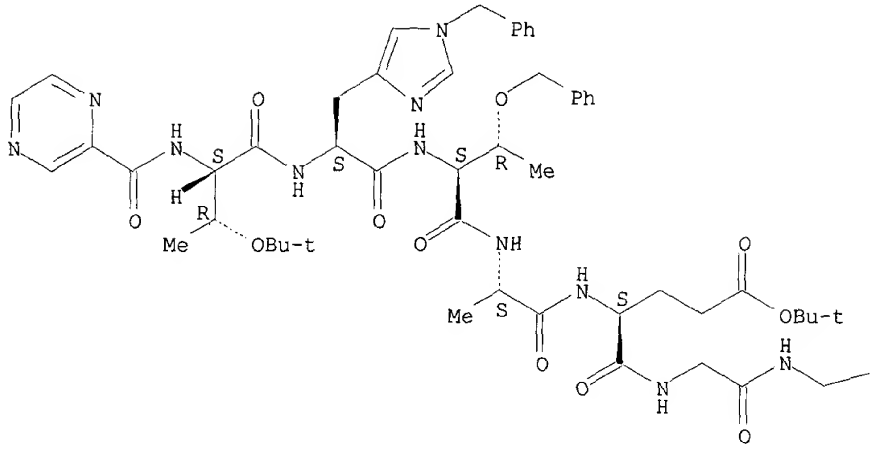
Absolute stereochemistry.

PAGE 1-A

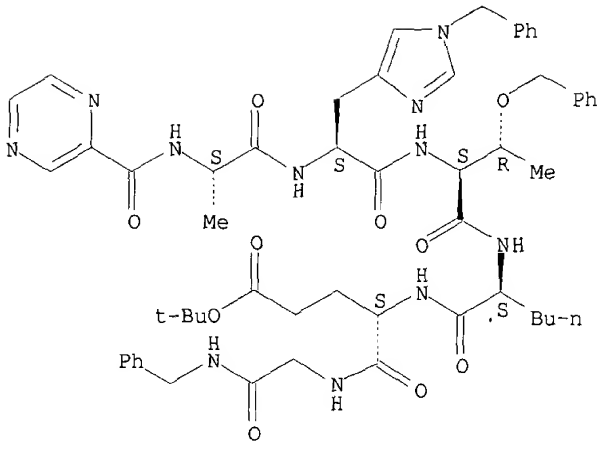


PAGE 1-B

Ph

RN 345663-36-7 HCAPLUS
CN Glycinamide, N-(pyrazinylcarbonyl)-L-alanyl-1-(phenylmethyl)-L-histidyl-O-(phenylmethyl)-L-threonyl-L-norleucyl-L-.alpha.-glutamyl-N-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2

L23 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:441598 HCAPLUS
DOCUMENT NUMBER: 133:79334
TITLE: Therapeutic delivery using compounds self-assembled into high axial ratio microstructures
INVENTOR(S): Yager, Paul; Gelb, Michael H.; Lukyanov, Anatoly N.; Goldstein, Alex S.; Disis, Mary L.
PATENT ASSIGNEE(S): University of Washington, USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037046	A1	20000629	WO 1999-US30931	19991221
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6180114	B1	20010130	US 1998-219057	19981222
EP 1146855	A1	20011024	EP 1999-966656	19991221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:
US 1998-219057 A 19981222
US 1996-752848 A2 19961121
US 1998-87179P P 19980529
WO 1999-US30931 W 19991221

OTHER SOURCE(S): MARPAT 133:79334

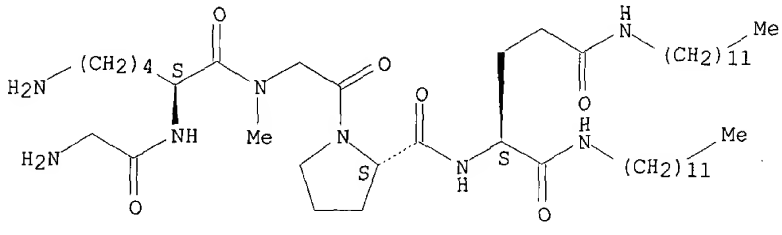
AB Therapeutic complexes comprising plural therapeutic compds. self assembled into high axial ratio microstructures are described. The therapeutic complexes satisfy the formula HARM-Th, wherein HARM is a high axial ratio forming material and Th is a therapeutic coupled to or assocd. with the HARM. The therapeutic complexes also can satisfy the formula HARM-S-Th, wherein S is a spacer. Release of the therapeutic by the complex generally follows either 0-order kinetics or pseudo-first order kinetics. A method for delivering therapeutics to organisms, particularly humans, also is described. The method comprises administering an effective amt. of (1) a ligand, such as a therapeutic, self-assembled into a HAR microstructure, or (2) a ligand, such as a therapeutic, coupled to or assocd. with a material capable of thereafter self-assembling into a high axial ratio microstructure, to the mammal. Nucleic acids are an example of a ligand that can be administered effectively according to this method through noncovalent attachment to the HARM-forming materials.

IT **191354-73-1**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(therapeutic **delivery** using compds. self-assembled into high axial ratio microstructures)

RN 191354-73-1 HCAPLUS

CN L-Glutamamide, glycyl-L-lysyl-N-methylglycyl-L-prolyl-N1,N5-didodecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **129368-18-9 191354-81-1 191354-89-9 278602-89-4 278602-91-8**
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(therapeutic **delivery** using compds. self-assembled into high axial ratio microstructures)

RN 129368-18-9 HCAPLUS
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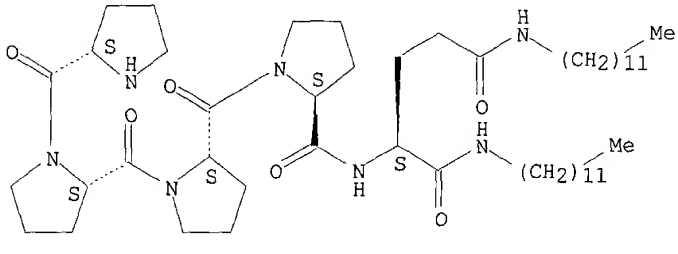
Absolute stereochemistry.



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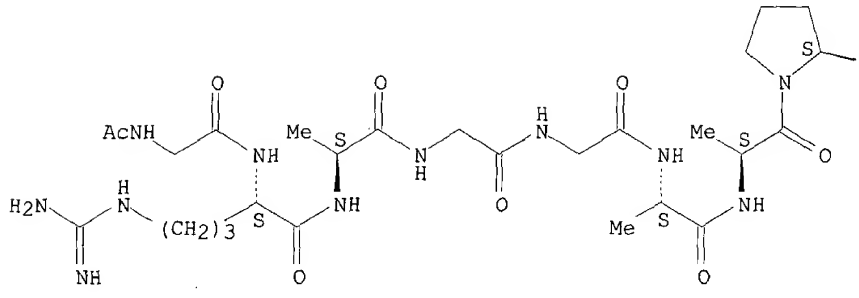
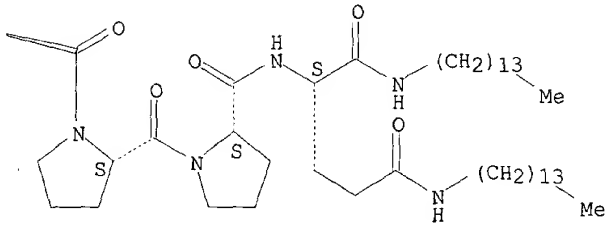
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 191354-89-9 HCAPLUS
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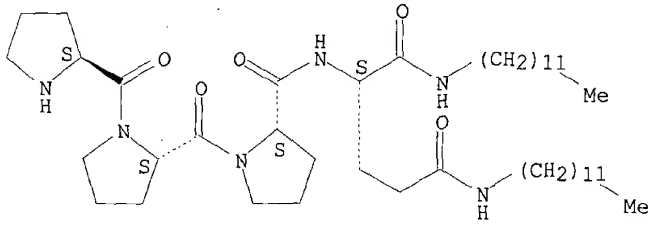
Absolute stereochemistry.



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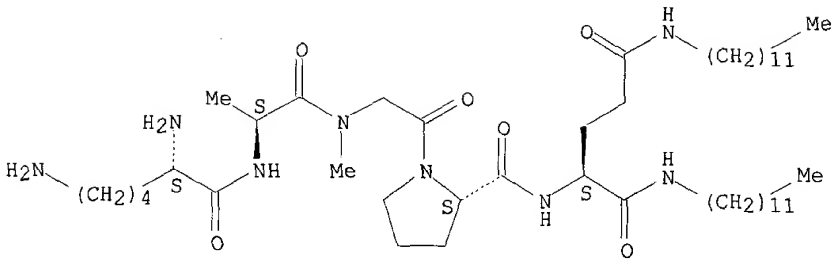
Absolute stereochemistry.



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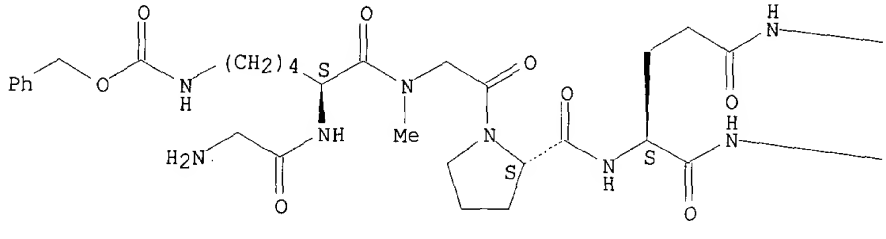
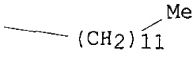
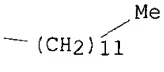
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

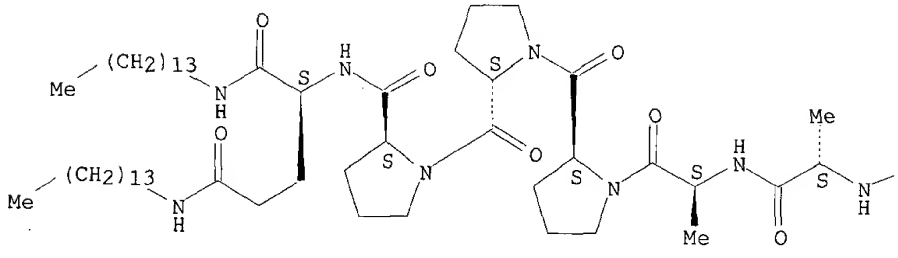




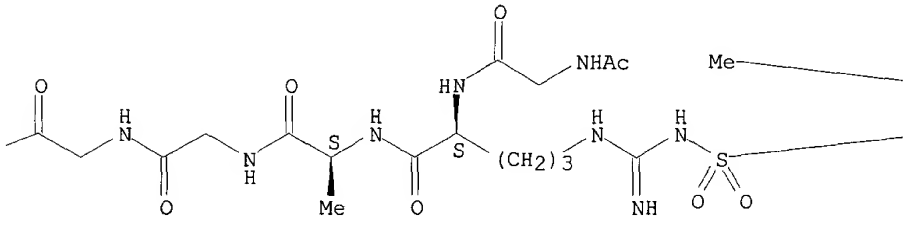
IT **191354-80-0P 191354-83-3P 191354-87-7P**
278602-92-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(therapeutic **delivery** using compds. self-assembled into high axial ratio microstructures)
RN 191354-80-0 HCAPLUS
CN L-Glutamamide, N-acetylglycyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-alanylglycylglycyl-L-alanyl-L-alanyl-L-prolyl-L-prolyl-L-prolyl-N1,N5-ditetradecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

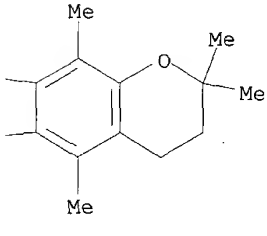
PAGE 1-A



PAGE 1-B

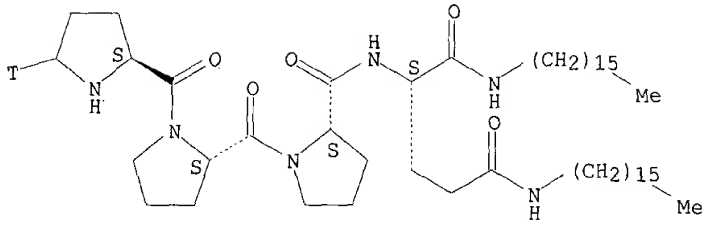


PAGE 1-C



RN 191354-83-3 HCAPLUS
CN L-Glutamamide, L-prolyl-5-t-L-prolyl-L-prolyl-N1,N5-dihexadecyl-, monohydrochloride (9CI) (CA INDEX NAME)

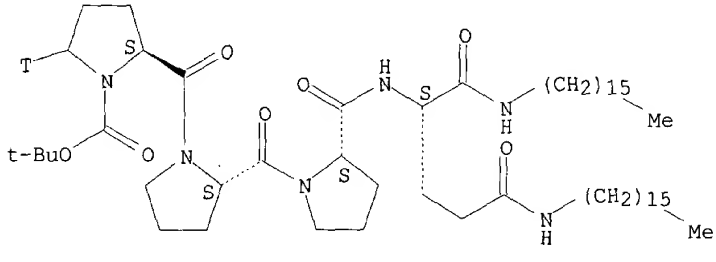
Absolute stereochemistry.



● HCl

RN 191354-87-7 HCAPLUS
CN L-Glutamamide, 1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-5-t-L-prolyl-L-prolyl-N1,N5-dihexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



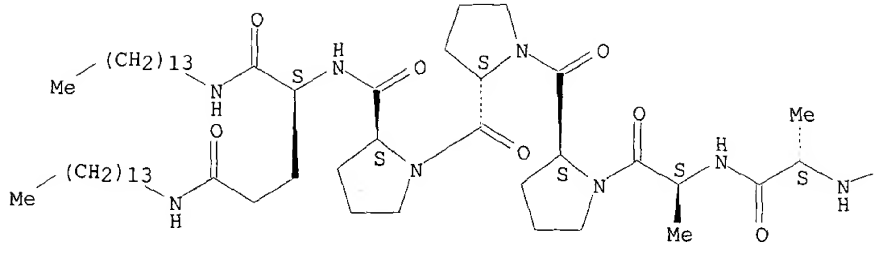
RN 278602-92-9 HCAPLUS
CN L-Glutamamide, glycyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-alanylglycylglycyl-L-alanyl-L-alanyl-L-prolyl-L-prolyl-L-prolyl-N1,N5-ditetradecyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 239447-17-7
CMF C83 H142 N16 O15 S

Absolute stereochemistry.

PAGE 1-A



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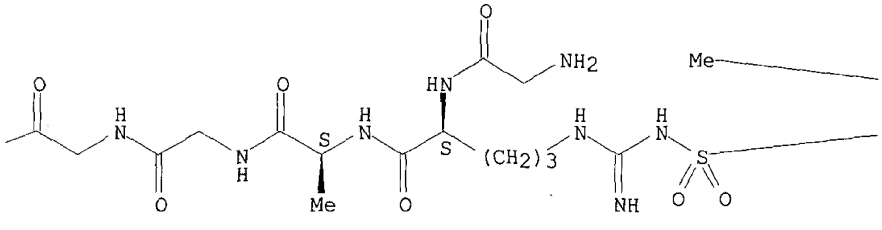

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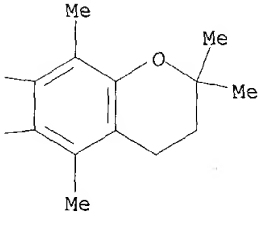
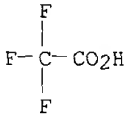


CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 3

L23 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:383983 HCAPLUS
DOCUMENT NUMBER: 133:34431
TITLE: Transport system conjugate
INVENTOR(S): Imfeld, Dominik; Ludin, Christian; Schreier, Thomas
PATENT ASSIGNEE(S): Pentapharm A.-G., Switz.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032235	A1	20000608	WO 1999-CH567	19991126
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1133317	A1	20010919	EP 1999-955629	19991126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002035243	A1	20020321	US 2001-866824	20010529

PRIORITY APPLN. INFO.: CH 1998-2354 A 19981126
WO 1999-CH567 W 19991126

OTHER SOURCE(S): MARPAT 133:34431

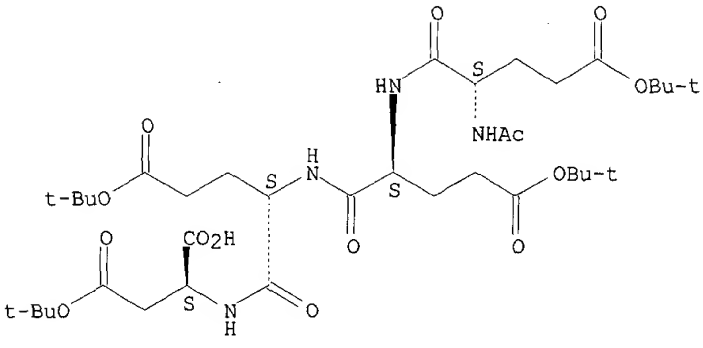
AB A pharmaceutical and/or cosmetic active agent is conjugated, directly or via a linker, to an amino or carboxyl group on substituent Y of a **lipophilic** compd. Y(NHCnH2n)rC(O)R [Y = amino acid or di- or tripeptide having .gtoreq.3 reactive NH2 and/or CO2H groups, or a C2-8 triamine; RC(O) = (substituted) C4-24 fatty acyl; n = 2, 3; r = 0, 1], where another amino group on Y is attached to a group C(O)(CH2)mCH(SH)CH2(CHR1)pSH or its cyclic disulfide deriv., to facilitate transmembrane transport of the active agent into fibroblasts, keratinocytes, melanocytes, and Langerhans cells of the skin. Thus, .alpha.-MSH-induced melanin formation in S91 melanocytes was inhibited by treating the cells with a conjugate of tyrosinase-mimicking peptide with the transporter H-Lys(.epsilon.-DL-6,8-dithiooctanamide)-NHCH2CH2NHC(O)(CH2)6CH3. Similarly, conjugates of cell growth modulators can be used to inhibit hyperproliferation of keratinocytes in treatment of psoriasis.

IT **273928-68-0P 273928-73-7P 273928-76-0P**
273928-77-1P 273928-78-2P 273928-83-9P
273928-87-3P 273928-89-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**transport** system **conjugate**)

RN 273928-68-0 HCAPLUS

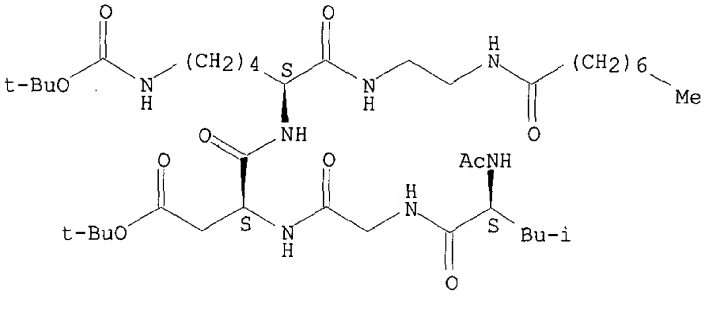
CN L-Aspartic acid, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-, 1,2,3,44-tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 273928-73-7 HCAPLUS
CN L-Lysinamide, N-acetyl-L-leucylglycyl-L-.alpha.-aspartyl-N6-[(1,1-dimethylethoxy)carbonyl]-N-[2-[(1-oxooctyl)amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

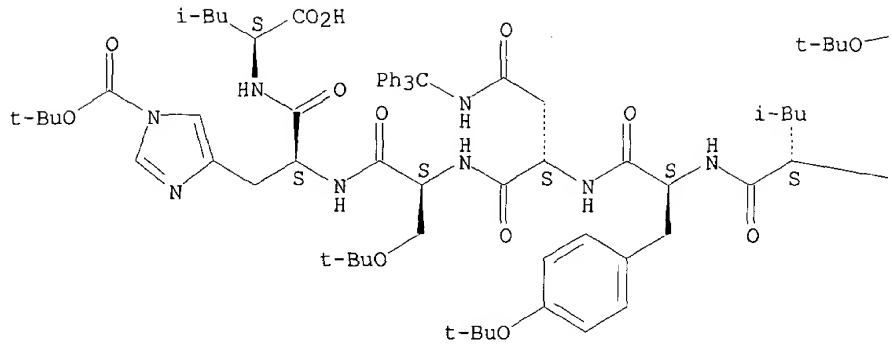
Absolute stereochemistry.



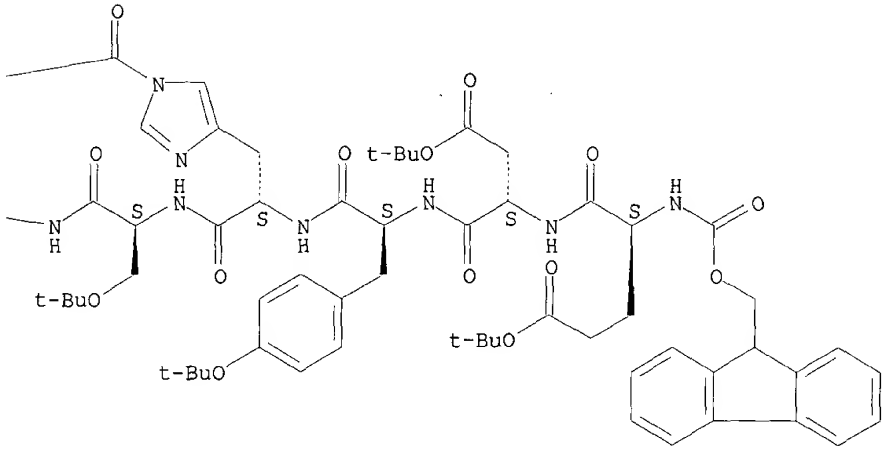
RN 273928-76-0 HCAPLUS
CN L-Leucine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-.alpha.-glutamyl-L-.alpha.-aspartyl-O-(1,1-dimethylethyl)-L-tyrosyl-1-[(1,1-dimethylethoxy)carbonyl]-L-histidyl-O-(1,1-dimethylethyl)-L-seryl-L-leucyl-O-(1,1-dimethylethyl)-L-tyrosyl-N-(triphenylmethyl)-L-asparaginyl-O-(1,1-dimethylethyl)-L-seryl-1-[(1,1-dimethylethoxy)carbonyl]-L-histidyl-, 1,2-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



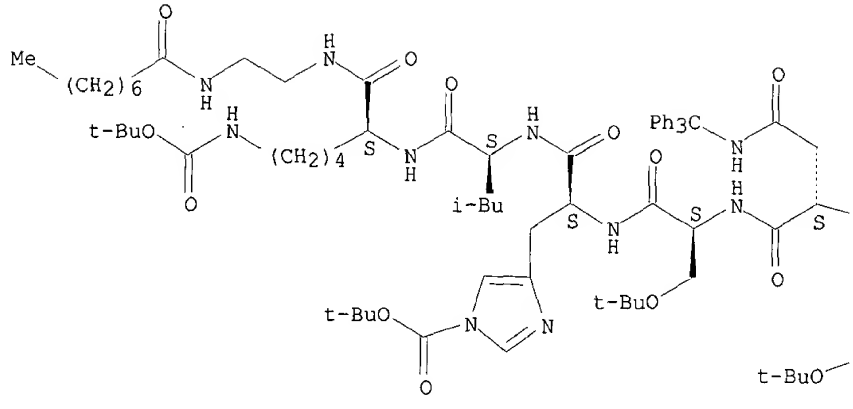
PAGE 1-B



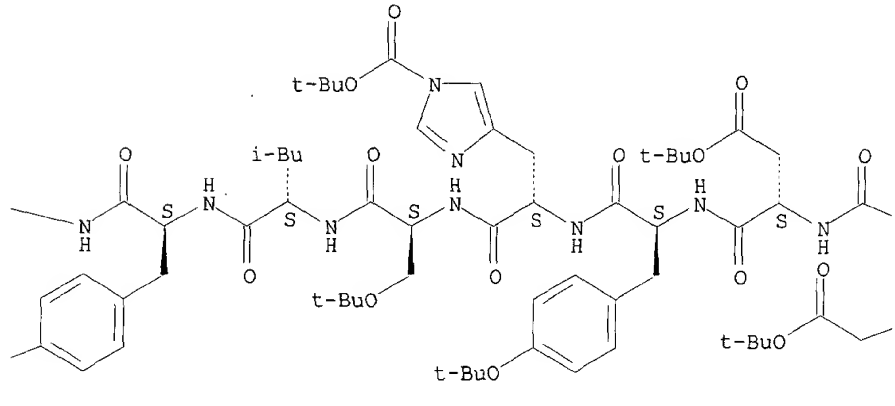
RN 273928-77-1 HCAPLUS
CN L-Lysinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-.alpha.-glutamyl-L-.alpha.-aspartyl-O-(1,1-dimethylethyl)-L-tyrosyl-1-[(1,1-dimethylethoxy)carbonyl]-L-histidyl-O-(1,1-dimethylethyl)-L-seryl-L-leucyl-O-(1,1-dimethylethyl)-L-tyrosyl-N-(triphenylmethyl)-L-asparaginyl-O-(1,1-dimethylethyl)-L-seryl-1-[(1,1-dimethylethoxy)carbonyl]-L-histidyl-L-leucyl-N6-[(1,1-dimethylethoxy)carbonyl]-N-[2-[(1-oxooctyl)amino]ethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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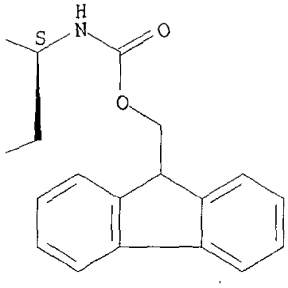
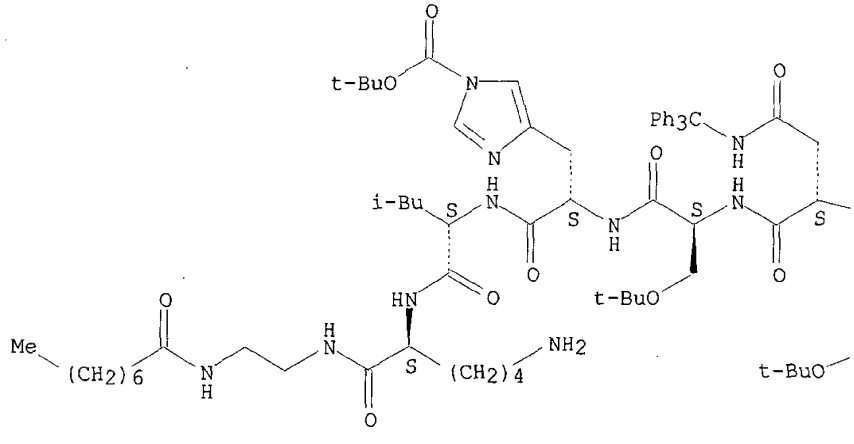


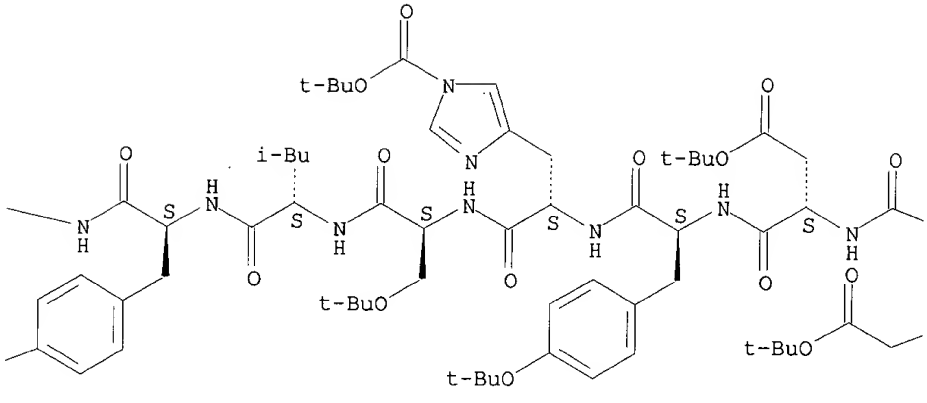
RN 273928-78-2 HCAPLUS
CN L-Lysinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-.alpha.-glutamyl-L-.alpha.-aspartyl-O-(1,1-dimethylethyl)-L-tyrosyl-1-[(1,1-dimethylethoxy)carbonyl]-L-histidyl-O-(1,1-dimethylethyl)-L-seryl-L-leucyl-O-(1,1-dimethylethyl)-L-tyrosyl-N-(triphenylmethyl)-L-asparaginyl-O-(1,1-dimethylethyl)-L-seryl-1-[(1,1-dimethylethoxy)carbonyl]-L-histidyl-L-leucyl-N-[2-[(1-oxooctyl)amino]ethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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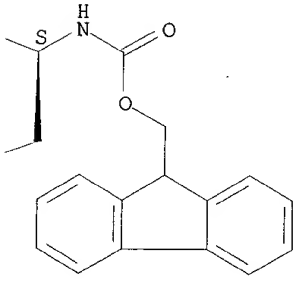
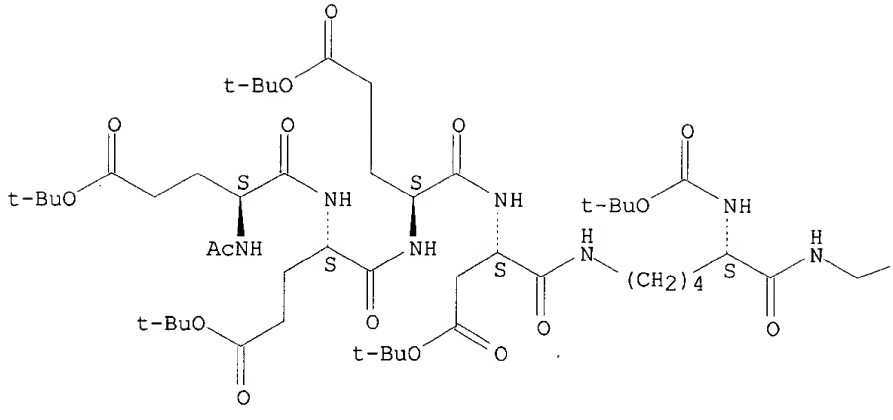


RN 273928-83-9 HCAPLUS
CN L-Lysinamide, N6-(N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-aspartyl)-N2-[(1,1-dimethylethoxy)carbonyl]-N-[2-[(1-oxooctadecyl)amino]ethyl]-, tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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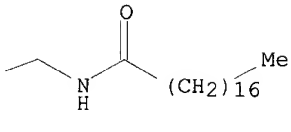
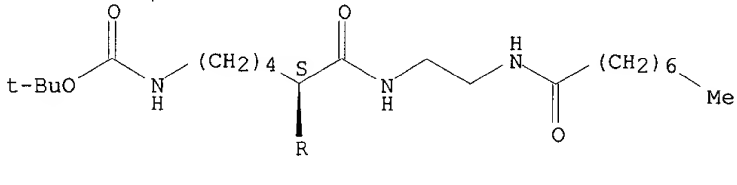


RN 273928-87-3 HCAPLUS
CN L-Lysinamide, N-acetyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-aspartyl-N6-[(1,1-dimethylethoxy)carbonyl]-N-[2-[(1-oxooctyl)amino]ethyl]-, tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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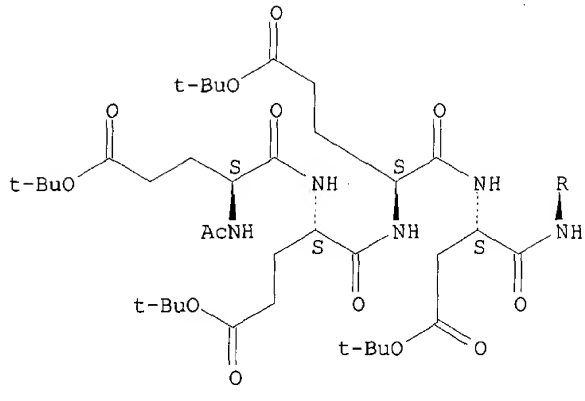
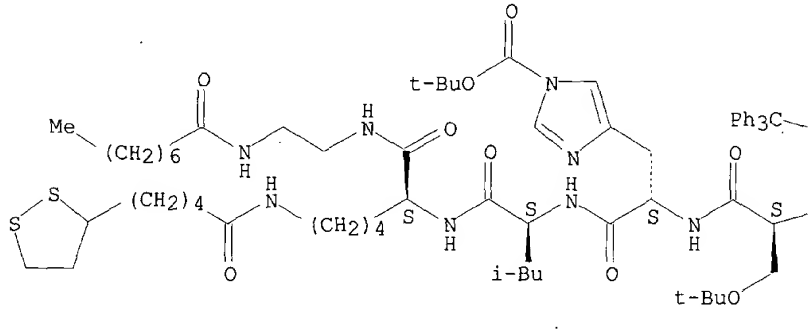


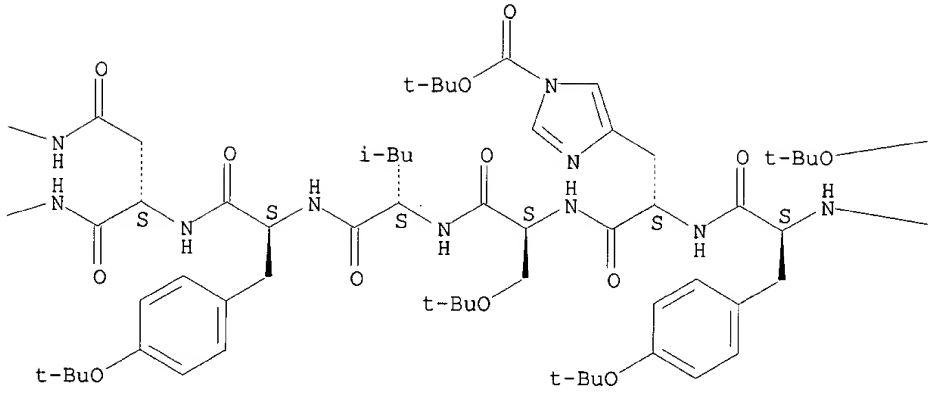
RN 273928-89-5 HCAPLUS
CN L-Lysinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-.alpha.-glutamyl-L-.alpha.-aspartyl-O-(1,1-dimethylethyl)-L-tyrosyl-1-[(1,1-dimethylethoxy)carbonyl]-L-histidyl-O-(1,1-dimethylethyl)-L-seryl-L-leucyl-O-(1,1-dimethylethyl)-L-tyrosyl-N-(triphenylmethyl)-L-asparaginyl-O-(1,1-dimethylethyl)-L-seryl-1-[(1,1-dimethylethoxy)carbonyl]-L-histidyl-L-leucyl-N6-[5-(1,2-dithiolan-3-yl)-1-oxopentyl]-N-[2-[(1-oxooctyl)amino]ethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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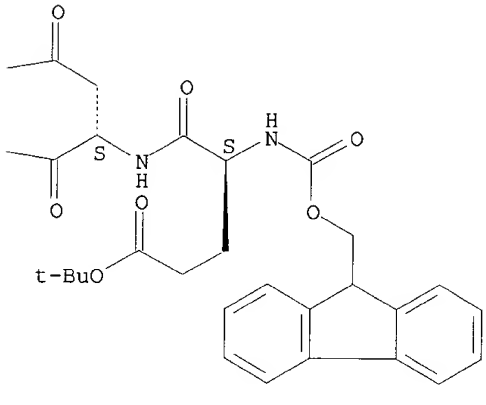


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 4

L23 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:94050 HCAPLUS
DOCUMENT NUMBER: 132:308627
TITLE: The conformation of denovo designed amphiphilic peptides with six or nine L-2-(2,2,2-trifluoroethyl)glycines as the hydrophobic amino acid
AUTHOR(S): Arai, Toru; Imachi, Takashi; Kato, Tamaki; Nishino, Norikazu
CORPORATE SOURCE: Dep. Appl. Chem., Fac. Eng., Kyushu Institute of Technology, Tobata-ku, Kitakyushu, 804-8550, Japan
SOURCE: Bull. Chem. Soc. Jpn. (2000), 73(2), 439-445
CODEN: BCSJA8; ISSN: 0009-2673
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

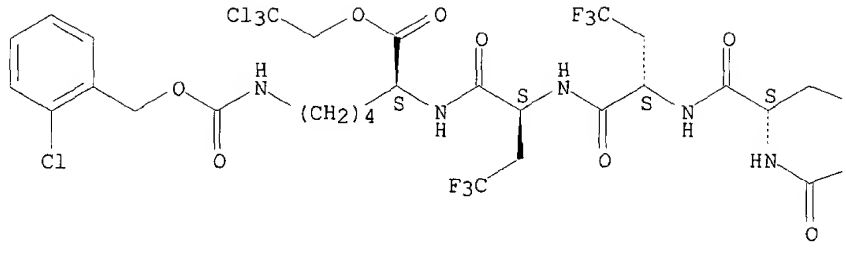
AB Amphiphilic 21-peptides contg. six and nine L-2-(2,2,2-trifluoroethyl)glycines (L-Tfeg) as the hydrophobic amino acids and lysine and glutamic acid as the hydrophilic amino acids were synthesized. The CD spectra showed that these peptides with L-Tfeg took a random conformation in H2O. On the contrary, similar amphiphilic 21-peptides with leucine as the hydrophobic amino acids took a helical conformation in H2O. The peptides with L-Tfeg took a helical conformation in H2O contg. a greater than 20% vol. of 2,2,2-trifluoroethanol. These facts suggested the hydrophobic nature of L-Tfeg. The peptide with six L-Tfeg residues took a helical structure in methanol, however it slowly changed into the .beta.-structure within 24 h. Interestingly, the peptide with nine L-Tfeg residues formed a stable helix under the same conditions. The peptide with nine L-Tfeg residues preferred a helical structure, probably because assembling of the Tfeg side chains was more effective in forming its helix rather than the .beta.-structure.

IT **266325-39-7P 266325-40-0P 266325-41-1P**
266325-42-2P 266325-59-1P 266325-60-4P
266325-62-6P 266325-63-7P 266325-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conformation of amphiphilic peptides contg. (2,2,2-trifluoroethyl)glycines as **hydrophobic** amino acids along with Lys and Glu as hydrophilic amino acids)

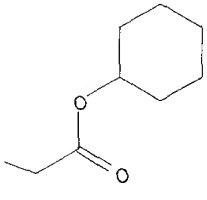
RN 266325-39-7 HCAPLUS
CN L-Lysine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-, 1-cyclohexyl 4-(2,2,2-trichloroethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

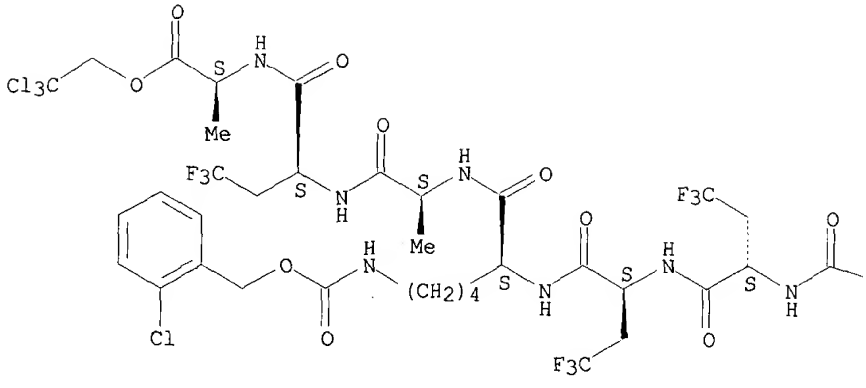


OBu-t

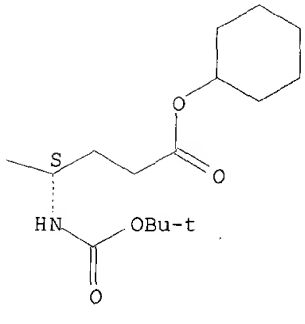
RN 266325-40-0 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-, 1-cyclohexyl 7-(2,2,2-trichloroethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



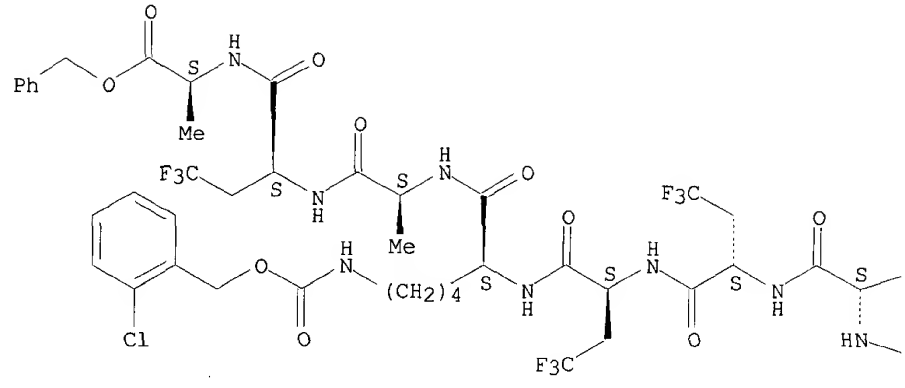
PAGE 1-B



RN 266325-41-1 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-, 1-cyclohexyl 7-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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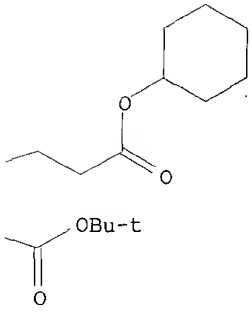
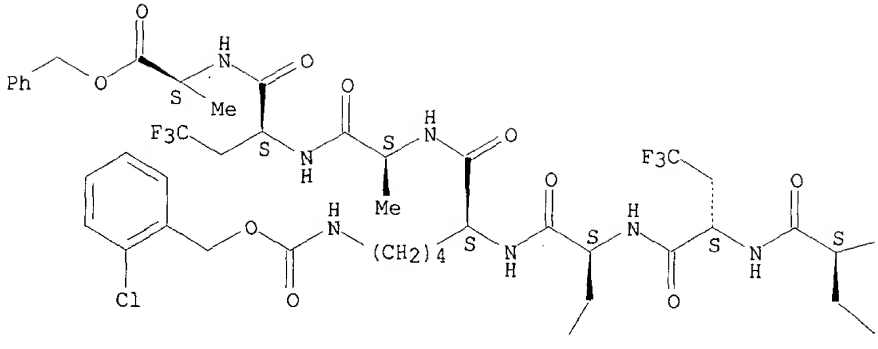


RN 266325-42-2 HCAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-L-alanyl-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-L-alanyl-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-, 1,8,15-tricyclohexyl 21-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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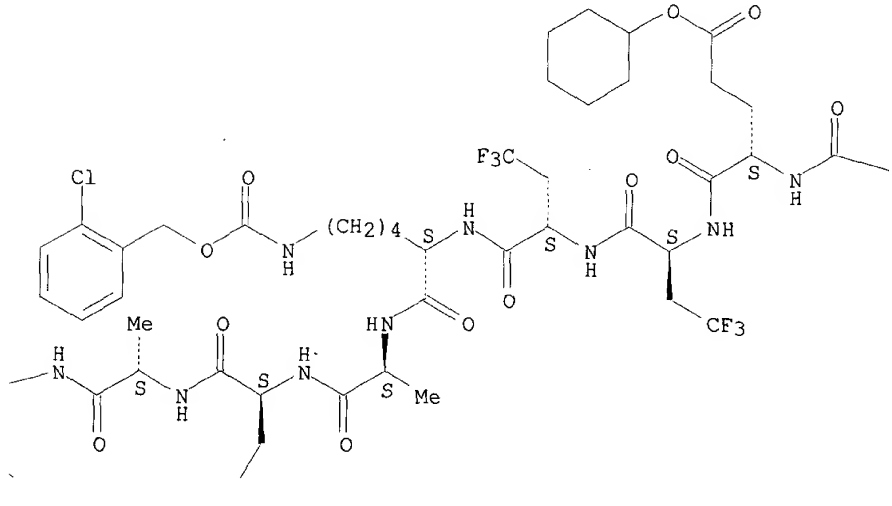

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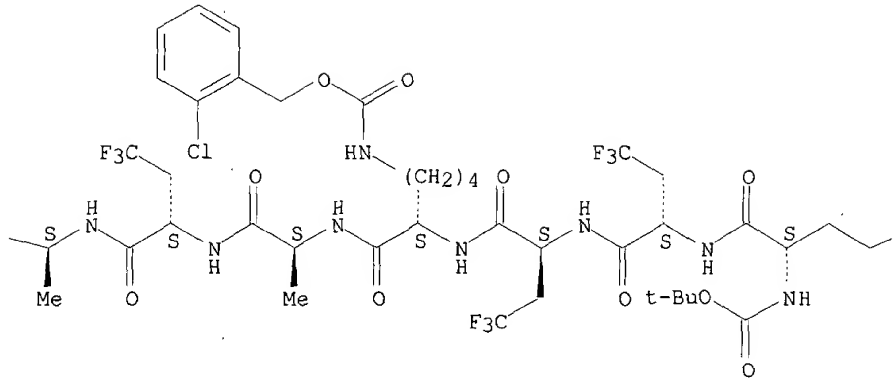

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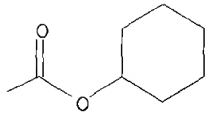

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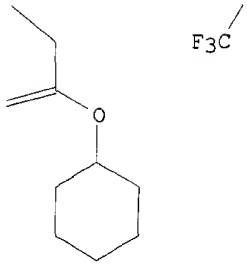
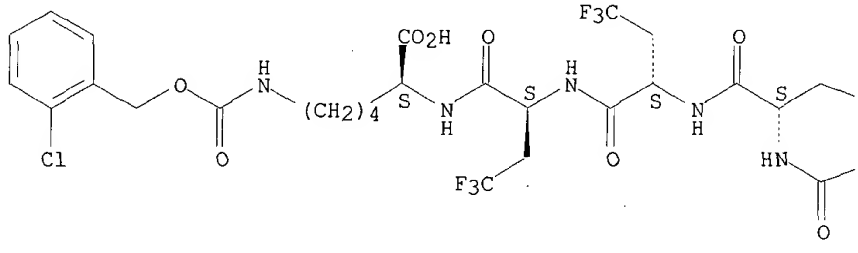
PAGE 2-B



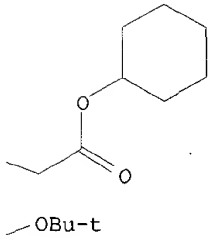
RN 266325-59-1 HCAPLUS
CN L-Lysine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-, 1-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

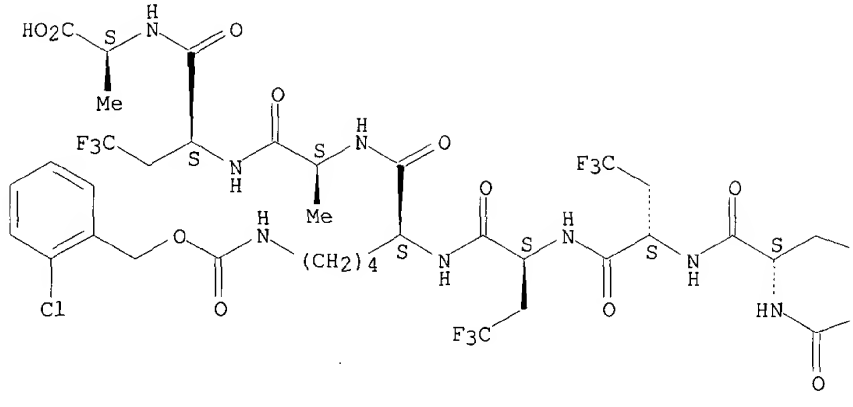


OBu-t

RN 266325-60-4 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-, 1-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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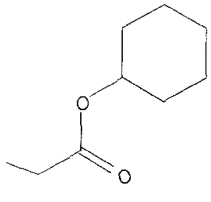


OBu-t

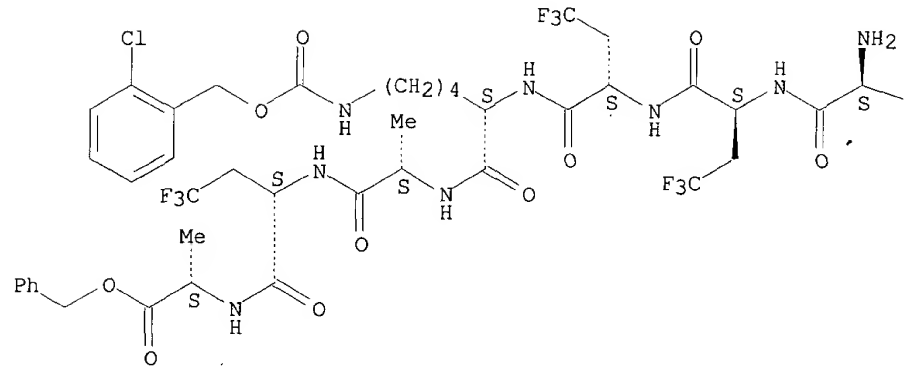
RN 266325-62-6 HCAPLUS
CN L-Alanine, L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-, 1-cyclohexyl 7-(phenylmethyl) ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 266325-61-5
CMF C50 H64 Cl F9 N8 O12

Absolute stereochemistry.

PAGE 1-A



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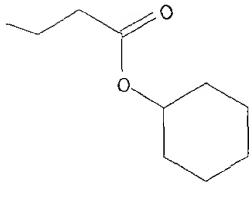
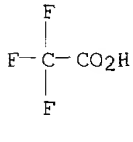


CM 2

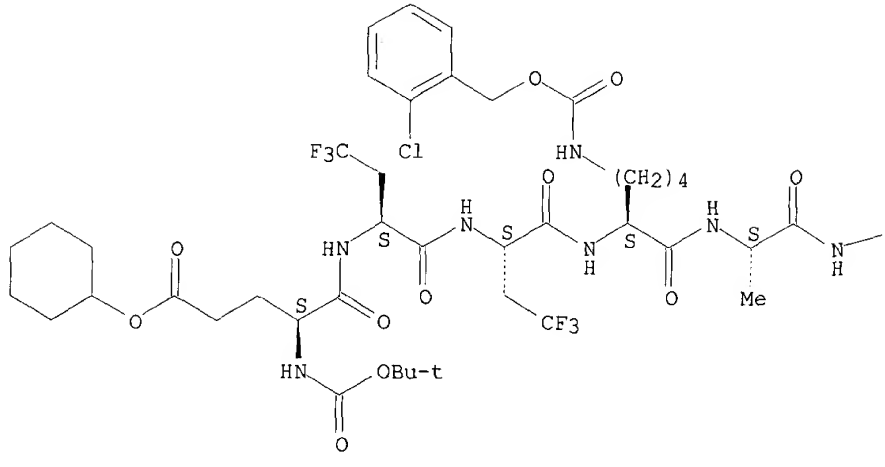
CRN 76-05-1
CMF C2 H F3 O2



RN 266325-63-7 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-L-alanyl-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-, 1,8-dicyclohexyl 14-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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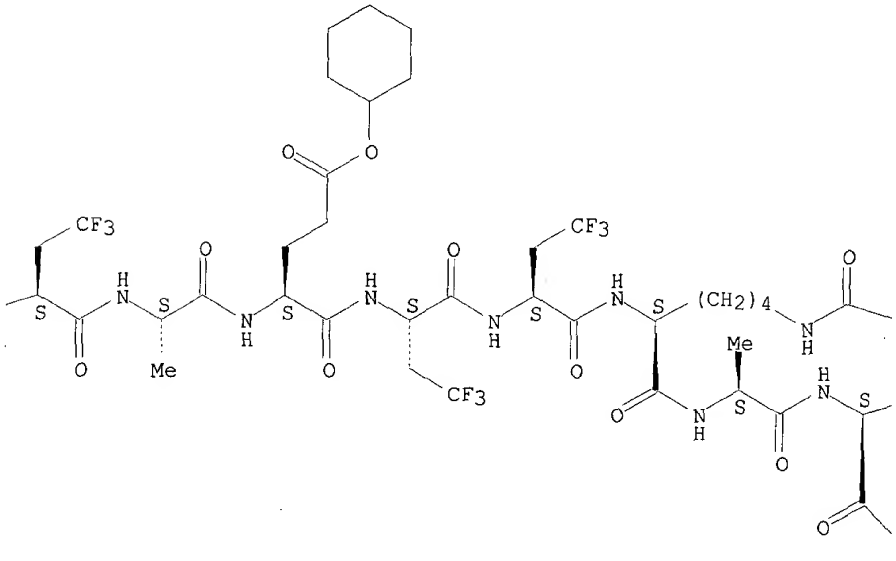

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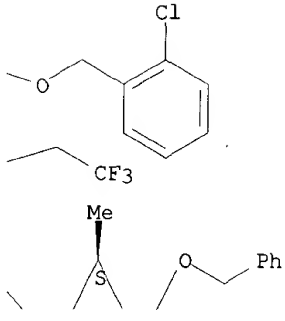

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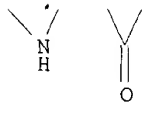


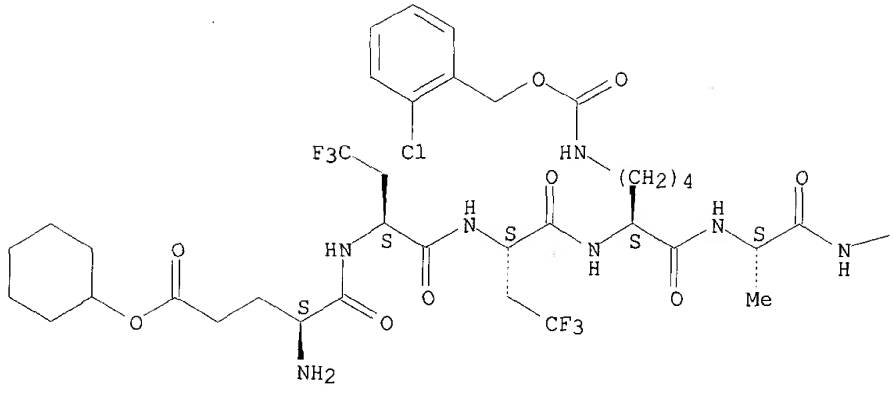
RN 266325-65-9 HCAPLUS
CN L-Alanine, L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-L-alanyl-L-.alpha.-glutamyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-alanyl-(2S)-2-amino-4,4,4-trifluorobutanoyl-, 1,8-dicyclohexyl 14-(phenylmethyl) ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 266325-64-8
CMF C93 H120 Cl2 F18 N16 O23

Absolute stereochemistry.

PAGE 1-A



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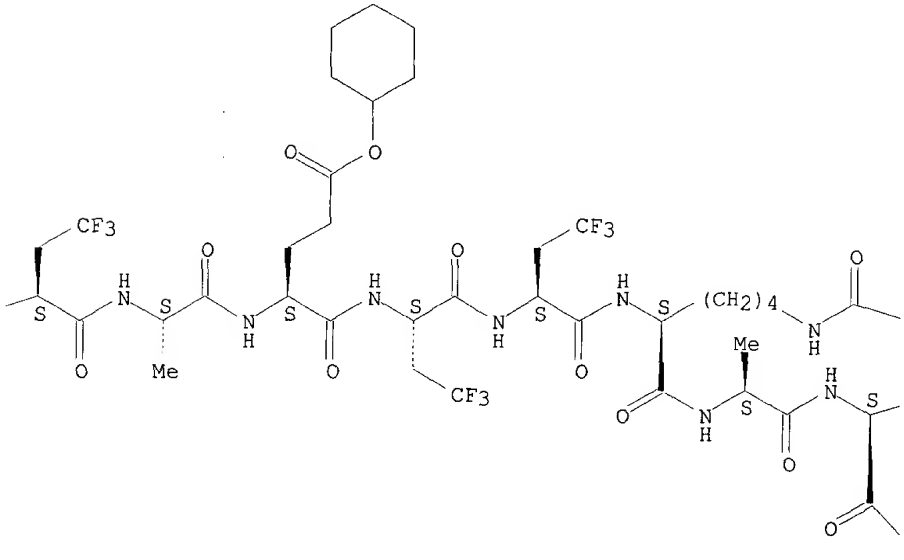
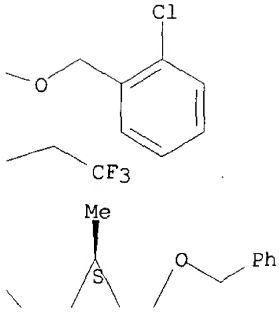


PAGE 1-C



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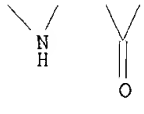
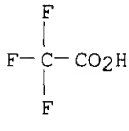


CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 5

L23 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:470226 HCAPLUS
DOCUMENT NUMBER: 131:224119
TITLE: Peptide mini-vectors for gene delivery
AUTHOR(S): Cooper, Robert G.; Harbottle, Richard P.; Schneider, Holm; Coutelle, Charles; Miller, Andrew D.
CORPORATE SOURCE: The Imperial College Genetic Therapies Centre Department of Chemistry, Imperial College of Science, Technology and Medicine, London, SW7 2AY, UK
SOURCE: Angew. Chem., Int. Ed. (1999), 38(13/14), 1949-1952
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

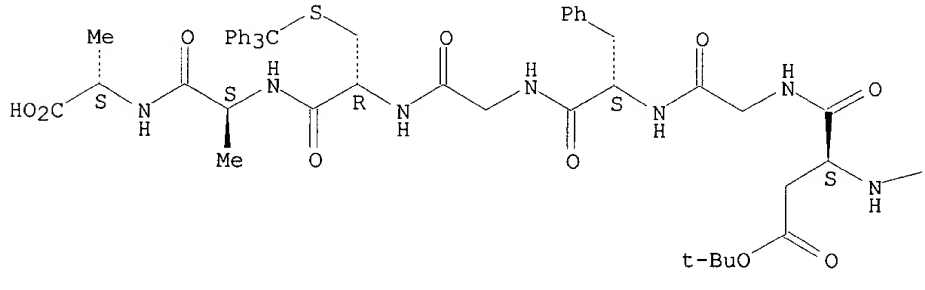
AB Described is an alternative to cationic liposome vector transfection systems based on peptides, providing one of the smallest and simplest vector systems yet reported for the delivery of nucleic acids. The system originates from the discovery that a peptide contg. a cyclic N-terminal moiety and a hexadeca(L-lysine) moiety could mediate gene delivery in vivo. The cyclic N-terminal moiety contains an Arg-Gly-Asp (RGD) peptide motif shown to interact with integrins. The peptides are expected to bind nucleic acids by means of the poly-lysine moiety and then enter cells via integrin binding and receptor-mediated endocytosis.

IT **243988-87-6 243988-88-7**
RL: RCT (Reactant)
(peptide mini-vectors for gene **delivery**)

RN 243988-87-6 HCAPLUS
CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-S-(triphenylmethyl)-L-cysteinyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithylglycyl-L-.alpha.-aspartylglycyl-L-phenylalanylglycyl-S-(triphenylmethyl)-L-cysteinyl-L-alanyl-, 5-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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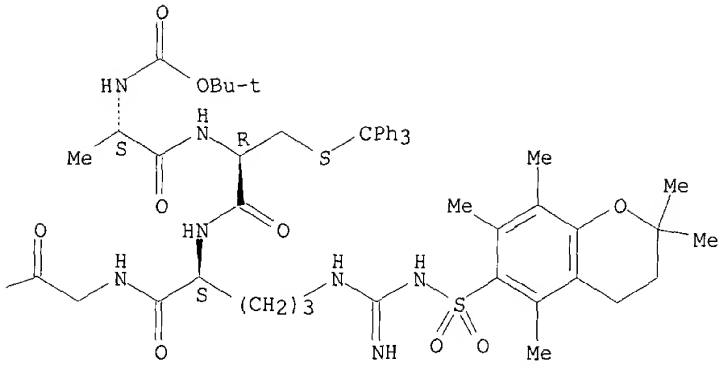
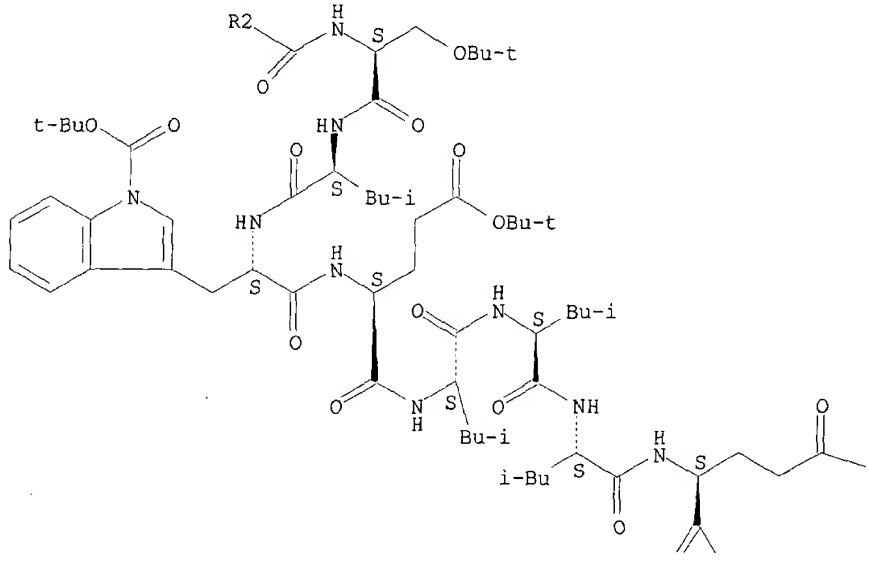


RN 243988-88-7 HCAPLUS
CN L-Alanine, glycyl-L-leucyl-L-phenylalanyl-L-.alpha.-glutamyl-L-alanyl-L-leucyl-L-leucyl-L-.alpha.-glutamyl-L-leucyl-L-leucyl-L-.alpha.-glutamyl-O-(1,1-dimethylethyl)-L-seryl-L-leucyl-1-[(1,1-dimethylethoxy)carbonyl]-L-tryptophyl-L-.alpha.-glutamyl-L-leucyl-L-leucyl-L-leucyl-L-.alpha.-glutamyl-, 4,8,11,15,19-pentakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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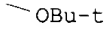

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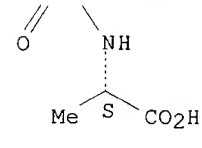

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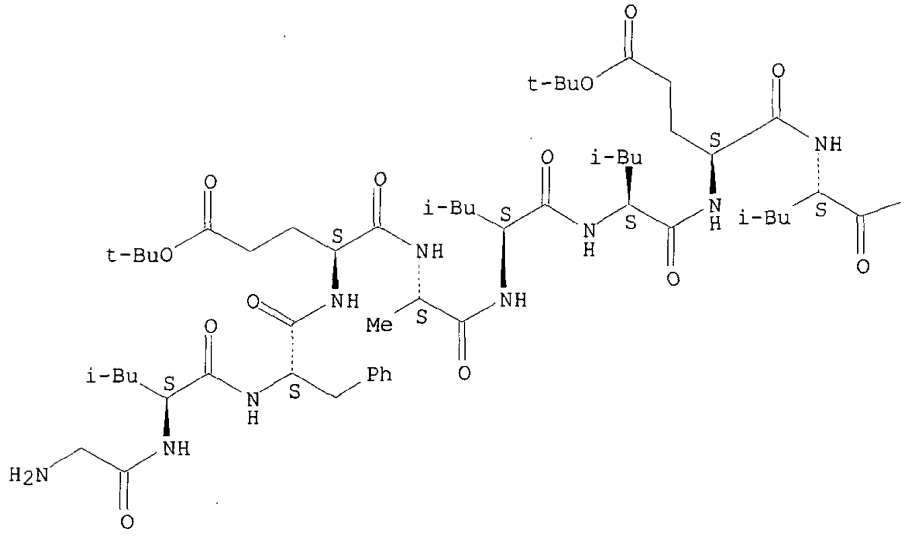
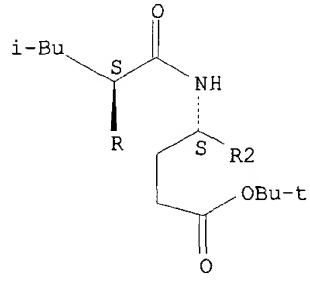


PAGE 3-B

PAGE 4-A



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L23 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:458425 HCAPLUS
DOCUMENT NUMBER: 132:148528
TITLE: Technetium-99m somatostatin analogues: effect of labelling methods and peptide sequence
AUTHOR(S): Decristoforo, Clemens; Mather, Stephen J.
CORPORATE SOURCE: Nuclear Medicine Research Laboratory, St. Bartholomew's Hospital, West Smithfield, London, EC1A 7BE, UK
SOURCE: European Journal of Nuclear Medicine (1999), 26(8), 869-876
CODEN: EJNMD9; ISSN: 0340-6997
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

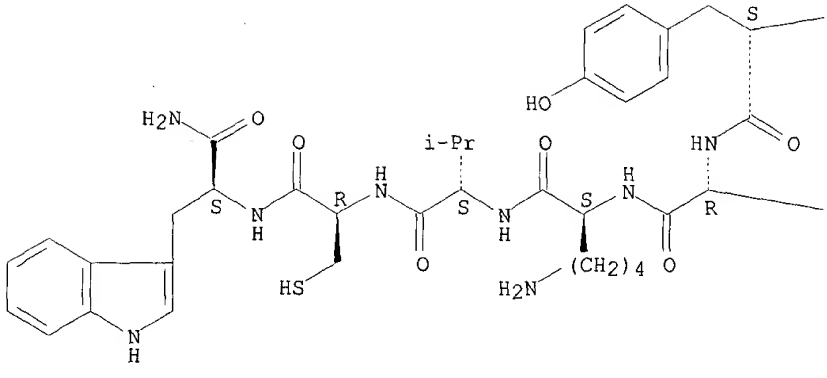
AB In this paper the preclin. evaluation of the somatostatin analog RC160 labeled with technetium-99m using bifunctional chelators (BFCs) based on the hydrazinonicotinamide (HYNIC) and N3S system is described and a comparison made with [Tyr3]-octreotide (TOC). Conjugates of both peptides with HYNIC, and of RC160 with benzoyl-MAG3 and an N3S-adipate deriv. were prepd. and radiolabelling performed at high specific activities using tricine, tricine/nicotinic acid and ethylenediamine-N,N'-diacetic acid (EDDA) as co-ligands for HYNIC conjugates. All conjugates and 99mTc-labeled peptides showed preserved binding affinity for the somatostatin receptor (IC50, Kd<5 nM). The biodistribution was markedly dependent on the BFC and co-ligand used, with the amidothiol ligands showing a greater degree of hepatobiliary clearance, the HYNIC/tricine complex higher blood levels and the HYNIC/EDDA complex the highest level of renal excretion and lowest blood levels. All peptide conjugates showed receptor-mediated uptake in tumor xenografts, but tumor uptake was significantly lower for the 99mTc-RC160 derivs. compared with 99mTc-EDDA/HYNIC-[Tyr3]-octreotide (0.2%-3.5%ID/g vs 9.7%ID/g) and correlated well with the reduced internalization rate for RC160 derivs. Our results show that the selection of the labeling approach as well as the right choice of the peptide structure are crucial for labeling peptides with 99mTc to achieve complexes with favorable biodistribution. Despite the relatively low tumor uptake compared with 99mTc-EDDA/HYNIC-[Tyr3]-octreotide, 99mTc-RC160 could play a role in imaging tumors that do not bind octreotide derivs.

IT **257943-18-3 257943-18-3D**, technetium-99 complex
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(technetium-99m complexes with somatostatin analogs: prepn., biodistribution and tumor **uptake**)

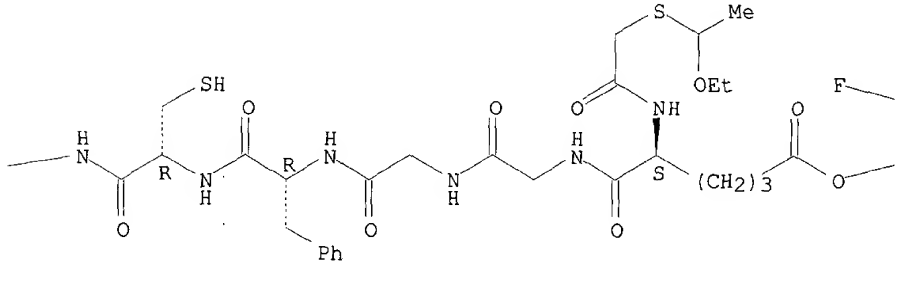
RN 257943-18-3 HCAPLUS
CN L-Tryptophanamide, N-[[(1-ethoxyethyl)thio]acetyl]-6-oxo-6-(2,3,5,6-tetrafluorophenoxy)-L-norleucylglycylglycyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl- (9CI) (CA INDEX NAME)

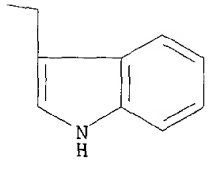
Absolute stereochemistry.

PAGE 1-A

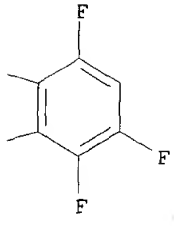


PAGE 1-B





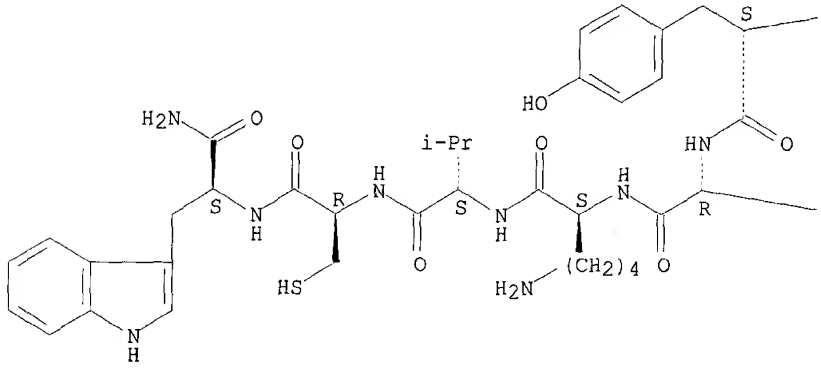
PAGE 1-C



RN 257943-18-3 HCAPLUS
CN L-Tryptophanamide, N-[[(1-ethoxyethyl)thio]acetyl]-6-oxo-6-(2,3,5,6-tetrafluorophenoxy)-L-norleucylglycylglycyl-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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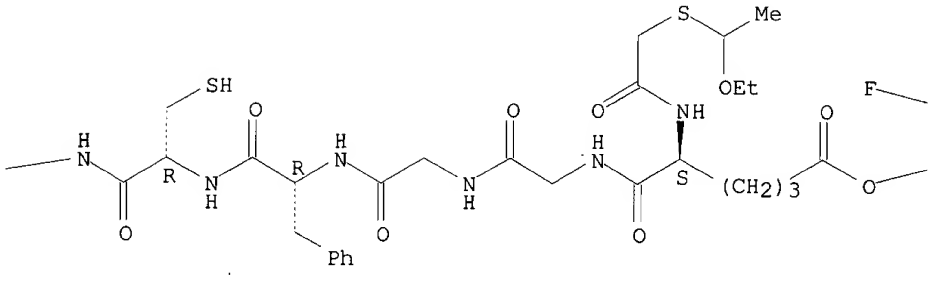

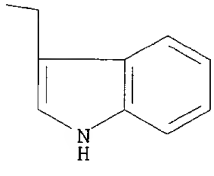

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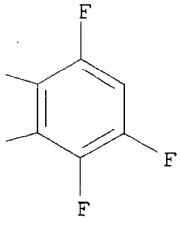


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 7

L23 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:12213 HCAPLUS
DOCUMENT NUMBER: 130:81892
TITLE: Preparation of therapeutic delivery using compounds self-assembled into high axial ratio microstructures
INVENTOR(S): Yager, Paul; Gelb, Michael H.; Carlson, Paul A.; Lee, Kyujin C.; Lukyanov, Anatoly N.; Goldstein, Alex S.
PATENT ASSIGNEE(S): University of Washington, USA
SOURCE: U.S., 26 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5851536	A	19981222	US 1996-752848	19961121
US 6180114	B1	20010130	US 1998-219057	19981222

PRIORITY APPLN. INFO.: US 1996-752848 A2 19961121
US 1998-87179P P 19980529

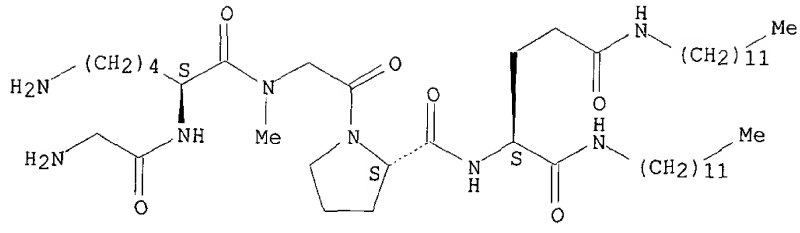
AB Therapeutic agents HARFM-Th or HARFM-S-Th (HARFM = high axial ratio forming material; Th = therapeutic agent; S = spacer group) were prepd. as therapeutic delivery agents. Thus, Gly-L-Lys-Sar-L-Pro-L-Glu[NH(CH2)11CH3]2 was prepd. via coupling the glutamine lipid with the corresponding diprotected peptide. Release of the therapeutic by the agent generally follows either 0-order kinetics or pseudo first order kinetics. A method for delivering drugs to animals or persons also was described. The method comprises administering an effective amt. of a therapeutic self-assembled into an HAR microstructure to the animal or person.

IT **218782-35-5P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of therapeutic **delivery** using compds. self-assembled into high axial ratio microstructures)
RN 218782-35-5 HCAPLUS
CN L-Glutamamide, glycyl-L-lysyl-N-methylglycyl-L-prolyl-N1,N5-didodecyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

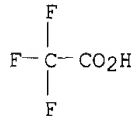
CRN 191354-73-1
CMF C45 H86 N8 O6

Absolute stereochemistry.



CM 2

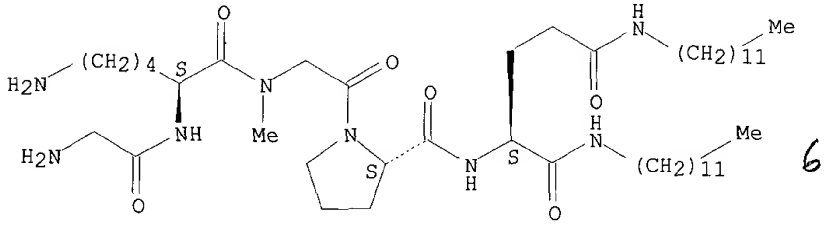
CRN 76-05-1
CMF C2 H F3 O2



IT **191354-73-1P 191354-82-2P 191354-83-3P**
191354-89-9P 218782-41-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of therapeutic **delivery** using compds. self-assembled into high axial ratio microstructures)

RN 191354-73-1 HCAPLUS
CN L-Glutamamide, glycyl-L-lysyl-N-methylglycyl-L-prolyl-N1,N5-didodecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



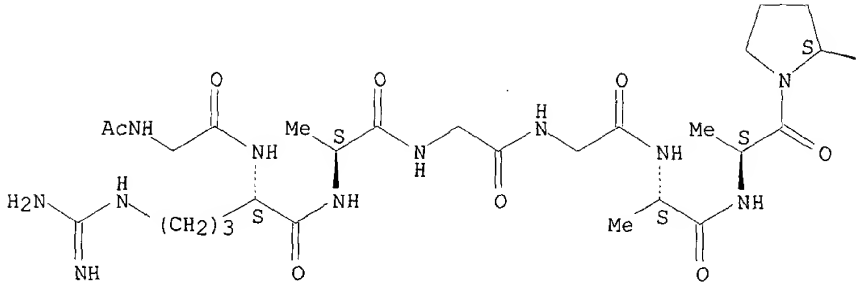
RN 191354-82-2 HCAPLUS
CN L-Glutamamide, N-acetylglycyl-L-arginyl-L-alanylglycylglycyl-L-alanyl-L-alanyl-L-prolyl-L-prolyl-L-prolyl-N1,N5-ditetradecyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

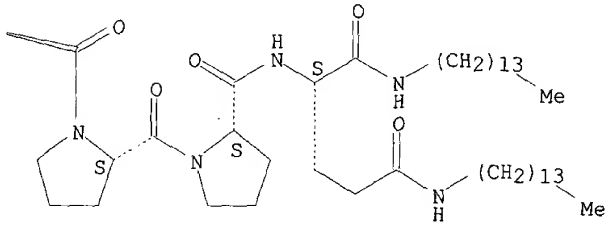
CRN 191354-81-1
CMF C71 H126 N16 O13

Absolute stereochemistry.

PAGE 1-A

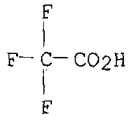


PAGE 1-B



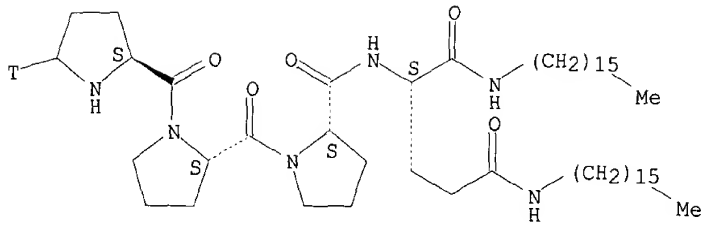
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 191354-83-3 HCAPLUS
CN L-Glutamamide, L-prolyl-5-t-L-prolyl-L-prolyl-N1,N5-dihexadecyl-, monohydrochloride (9CI) (CA INDEX NAME)

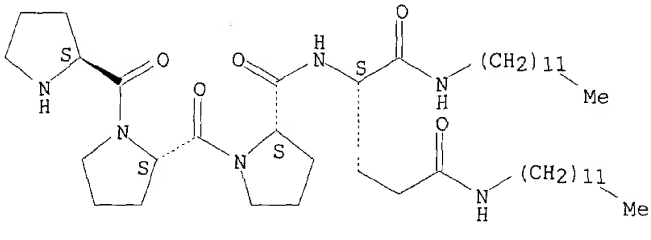
Absolute stereochemistry.



● HCl

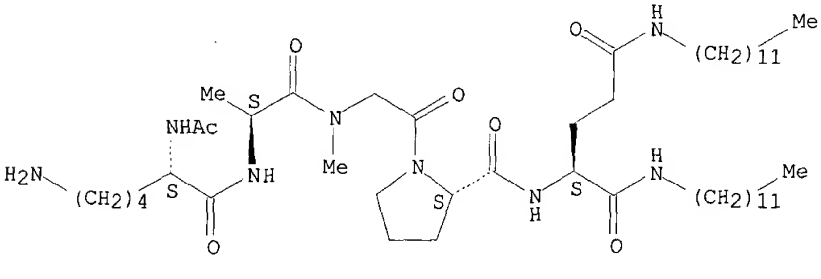
RN 191354-89-9 HCAPLUS
CN L-Glutamamide, L-prolyl-L-prolyl-L-prolyl-N1,N5-didodecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 218782-41-3 HCAPLUS
CN L-Glutamamide, N2-acetyl-L-lysyl-L-alanyl-N-methylglycyl-L-prolyl-N1,N5-didodecyl- (9CI) (CA INDEX NAME)

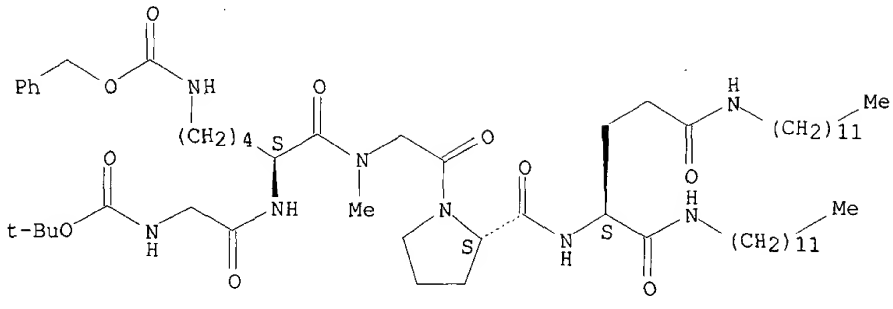
Absolute stereochemistry.



IT **128701-85-9P 191354-80-0P 191354-87-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of therapeutic **delivery** using compds. self-assembled into high axial ratio microstructures)
RN 128701-85-9 HCAPLUS
CN L-Glutamamide, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-N-methylglycyl-L-prolyl-N1,N5-didodecyl-

(9CI) (CA INDEX NAME)

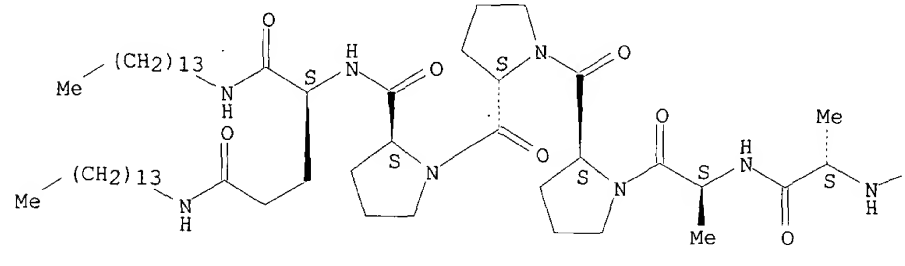
Absolute stereochemistry.



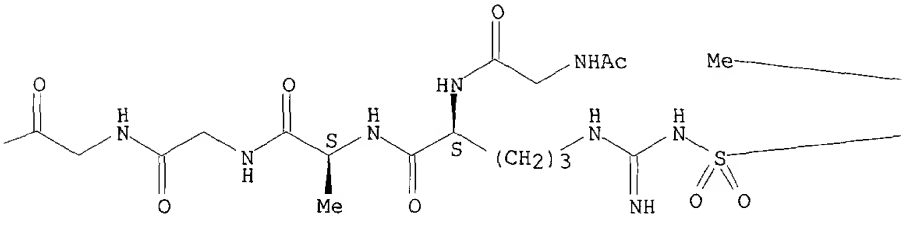
RN 191354-80-0 HCAPLUS
CN L-Glutamamide, N-acetylglycyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-alanylglycylglycyl-L-alanyl-L-alanyl-L-prolyl-L-prolyl-L-prolyl-N1,N5-ditetradecyl- (9CI) (CA INDEX NAME)

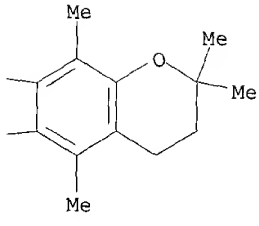
Absolute stereochemistry.

PAGE 1-A



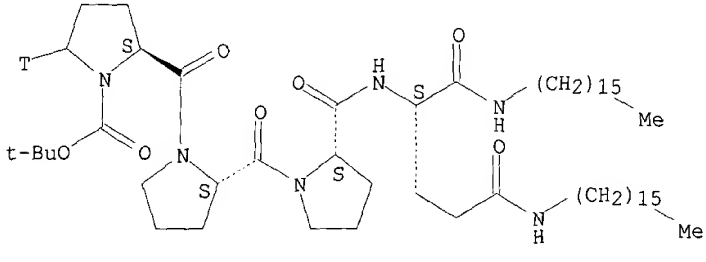
PAGE 1-B





RN 191354-87-7 HCAPLUS
CN L-Glutamamide, 1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-5-t-L-prolyl-L-prolyl-N1,N5-dihexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 8

L23 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:448098 HCAPLUS
DOCUMENT NUMBER: 127:70860
TITLE: Therapeutic delivery using compounds self-assembled into high-axial-ratio microstructures
INVENTOR(S): Yager, Paul; Gelb, Michael H.; Carlson, Paul A.; Lukyanov, Anatoly N.; Goldstein, Alex S.; Lee, Kyujin C.
PATENT ASSIGNEE(S): University of Washington, USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718840	A2	19970529	WO 1996-US18850	19961121
WO 9718840	A3	19971009		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9712738	A1	19970611	AU 1997-12738	19961121

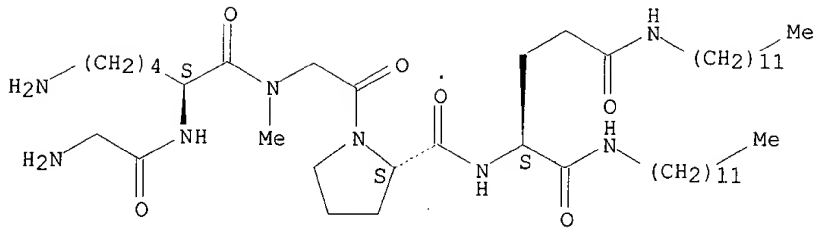
PRIORITY APPLN. INFO.: US 1995-25137 19951122
WO 1996-US18850 19961121

AB Therapeutic agents comprising plural therapeutic compds. self-assembled into high-axial-ratio microstructures such as tubules, cochleate cylinders, helical ribbons, and twisted ribbons are described. A therapeutic compd. may alternatively be coupled to an agent forming such microstructures, directly or through an enzymically cleavable spacer, for delivery of the drug to an animal. High-axial-ratio microstructure-forming agents include glutamate- or polyglutamate-based amphiphiles, phosphatidylcholines with tricosadiynoyl fatty acyl chains, and fatty acyl galactocerebrosides. Release of the therapeutic compd. by the conjugate generally follows either zero-order or pseudo-1st-order kinetics. Synthesis of some self-assembling glutamine-based lipids and ceramides is described.

IT **191354-73-1P 191354-81-1P 191354-82-2P**
191354-83-3P 191354-89-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(therapeutic **delivery** using compds. self-assembled into high-axial-ratio microstructures)

RN 191354-73-1 HCAPLUS
CN L-Glutamamide, glycyl-L-lysyl-N-methylglycyl-L-prolyl-N1,N5-didodecyl- (9CI) (CA INDEX NAME)

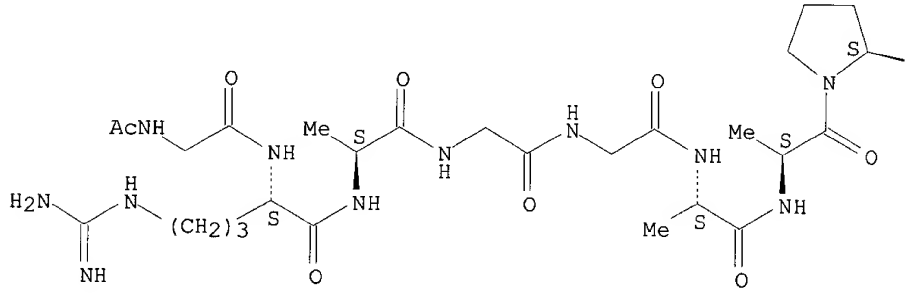
Absolute stereochemistry.



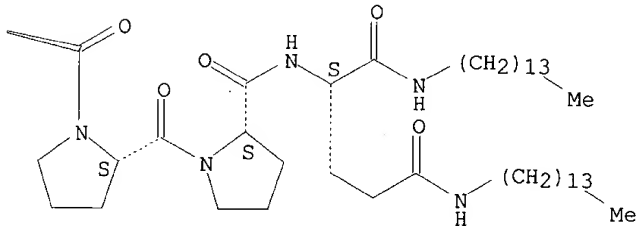
RN 191354-81-1 HCAPLUS
CN L-Glutamamide, N-acetylglycyl-L-arginyl-L-alanylglycylglycyl-L-alanyl-L-alanyl-L-prolyl-L-prolyl-L-prolyl-N1,N5-ditetradecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 191354-82-2 HCAPLUS
CN L-Glutamamide, N-acetylglycyl-L-arginyl-L-alanylglycylglycyl-L-alanyl-L-alanyl-L-prolyl-L-prolyl-L-prolyl-N1,N5-ditetradecyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

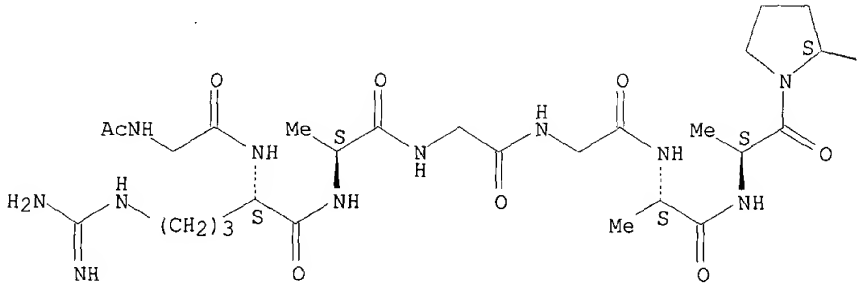
CM 1

CRN 191354-81-1

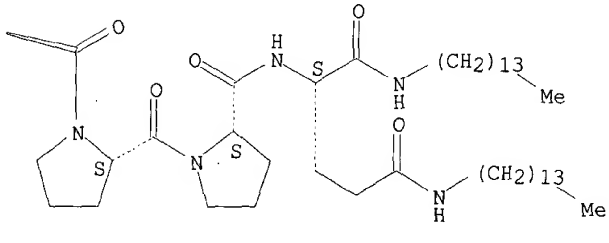
CMF C71 H126 N16 O13

Absolute stereochemistry.

PAGE 1-A

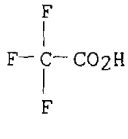


PAGE 1-B



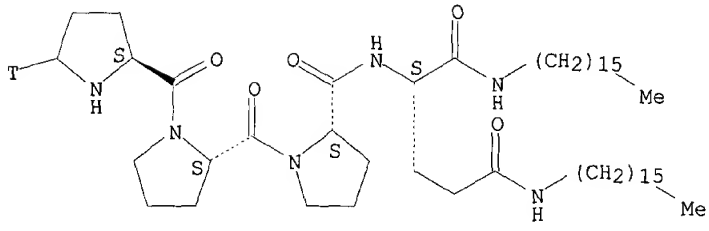
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 191354-83-3 HCAPLUS
CN L-Glutamamide, L-prolyl-5-t-L-prolyl-L-prolyl-N1,N5-dihexadecyl-, monohydrochloride (9CI) (CA INDEX NAME)

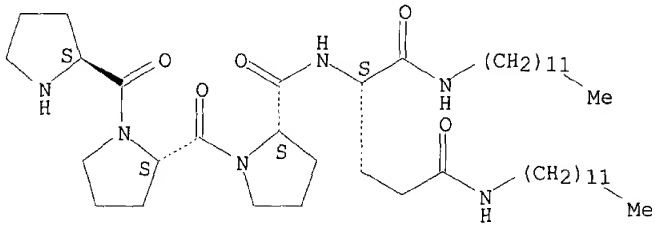
Absolute stereochemistry.



● HCl

RN 191354-89-9 HCAPLUS
CN L-Glutamamide, L-prolyl-L-prolyl-L-prolyl-N1,N5-didodecyl- (9CI) (CA INDEX NAME)

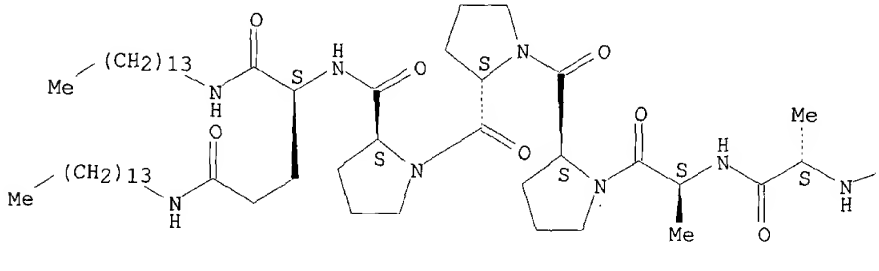
Absolute stereochemistry.



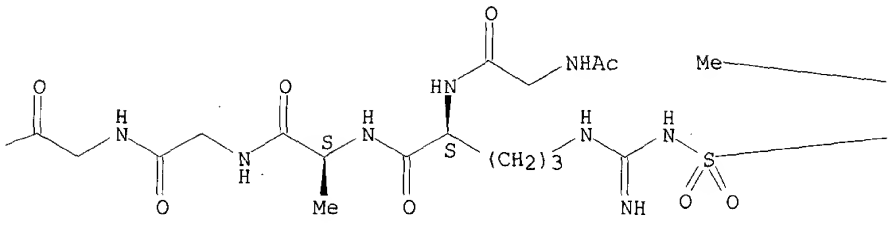
IT **191354-80-0**
RL: RCT (Reactant)
(therapeutic **delivery** using compds. self-assembled into high-axial-ratio microstructures)
RN 191354-80-0 HCAPLUS
CN L-Glutamamide, N-acetylglycyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-alanylglycylglycyl-L-alanyl-L-alanyl-L-prolyl-L-prolyl-L-prolyl-N1,N5-ditetradecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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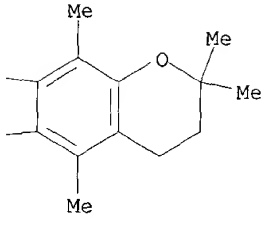
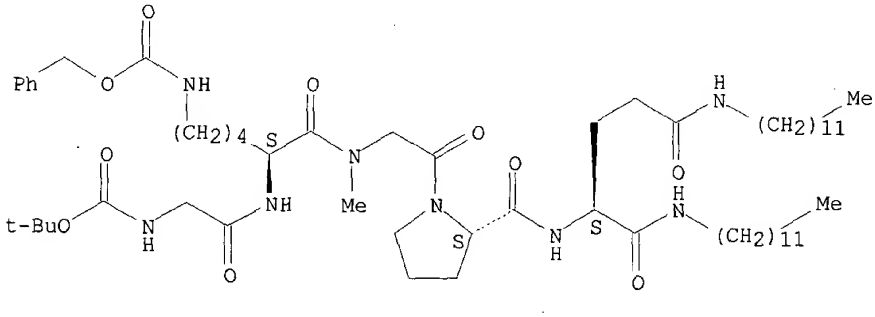


IT **128701-85-9P 191354-72-0P 191354-87-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(therapeutic **delivery** using compds. self-assembled into high-axial-ratio microstructures)

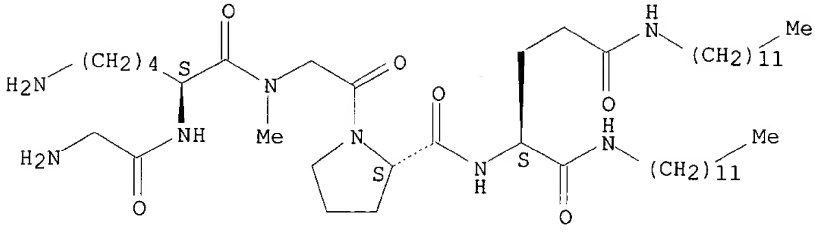
RN 128701-85-9 HCAPLUS
CN L-Glutamamide, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-N-methylglycyl-L-prolyl-N1,N5-didodecyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191354-72-0 HCAPLUS
CN L-Glutamamide, glycyl-L-lysyl-N-methylglycyl-L-prolyl-N1,N5-didodecyl-, hydrochloride (9CI) (CA INDEX NAME)

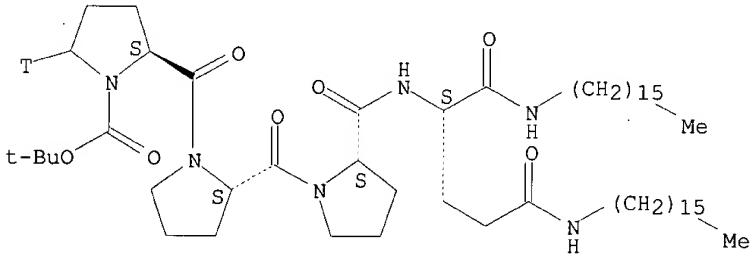
Absolute stereochemistry.



●x HCl

RN 191354-87-7 HCAPLUS
CN L-Glutamamide, 1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-5-t-L-prolyl-L-prolyl-N1,N5-dihexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



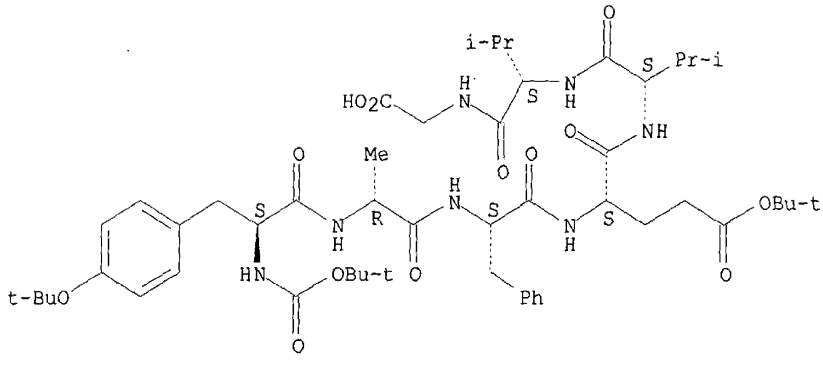
=> d ibib abs hitstr 9

L23 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:299434 HCAPLUS
DOCUMENT NUMBER: 126:347220
TITLE: Peptide Targeting and Delivery across the Blood-Brain Barrier Utilizing Synthetic Triglyceride Esters: Design, Synthesis, and Bioactivity
AUTHOR(S): Patel, Dinesh; McKinley, Brian D.; Davis, Thomas P.; Porreca, Frank; Yamamura, Henry I.; Hruby, Victor J.
CORPORATE SOURCE: Departments of Chemistry and Pharmacology, University of Arizona, Tucson, AZ, 85721, USA
SOURCE: Bioconjugate Chem. (1997), 8(3), 434-441
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB As an approach to the development of therapeutically useful peptide pharmaceuticals that can penetrate the blood-brain barrier, we have designed and demonstrated the application of a carrier-targeting system. We have developed a prodrug design strategy that is designed to utilize membrane-bound enzymes whereby release of a bioactive peptide from a highly lipophilic triglyceride peptide-carrier is achieved in situ, thus attaining high localized concns. of the bioactive peptide. Following localization of such a system, normal peptidase and lipase action is utilized to release the active peptide (deltorphin II) intact and in high concn. At present, the exact mechanisms are unclear, but the obsd. results in which analgesia is obsd. following peripheral administration suggest that the active peptide is able to cross the blood-brain barrier and sustain prolonged periods of analgesia as detd. by antinociception tests by release of the bioactive peptide. In vitro tests of binding and bioactivity by the peptide conjugate show essentially no potency in either target or control analogs, but potent antinociceptive effects are obsd. following peripheral administration.

IT **189625-55-6P 189625-57-8P 189625-62-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(peptide targeting and **delivery** across the blood-brain barrier utilizing synthetic triglyceride esters)
RN 189625-55-6 HCAPLUS
CN Deltorphin B, 1-[N-[(1,1-dimethylethoxy)carbonyl]-O-(1,1-dimethylethyl)-L-tyrosine]-7-glycine-, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

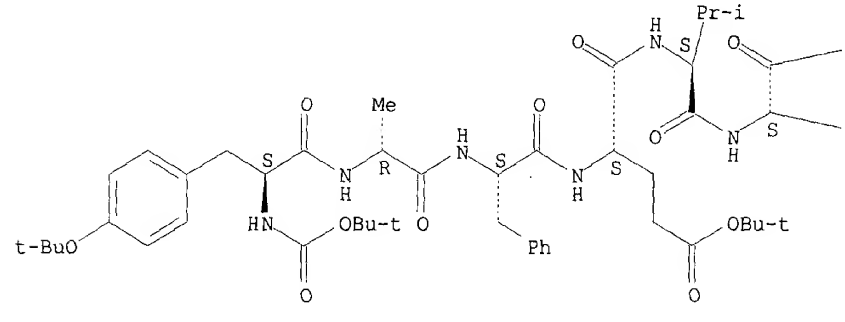
Absolute stereochemistry.



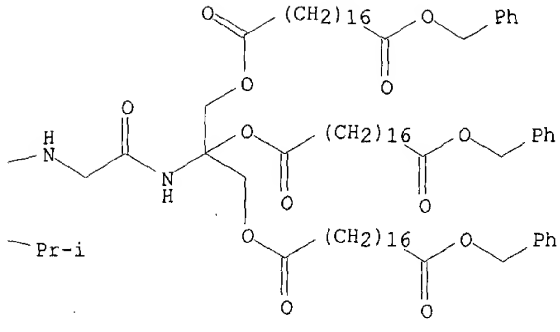
RN 189625-57-8 HCAPLUS
CN Deltorphin B, 1-[N-[(1,1-dimethylethoxy)carbonyl]-O-(1,1-dimethylethyl)-L-tyrosine]-7-[N-[1,2-bis[[1,18-dioxo-18-(phenylmethoxy)octadecyl]oxy]-1-[[[1,18-dioxo-18-(phenylmethoxy)octadecyl]oxy]methyl]ethyl]glycinamide]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

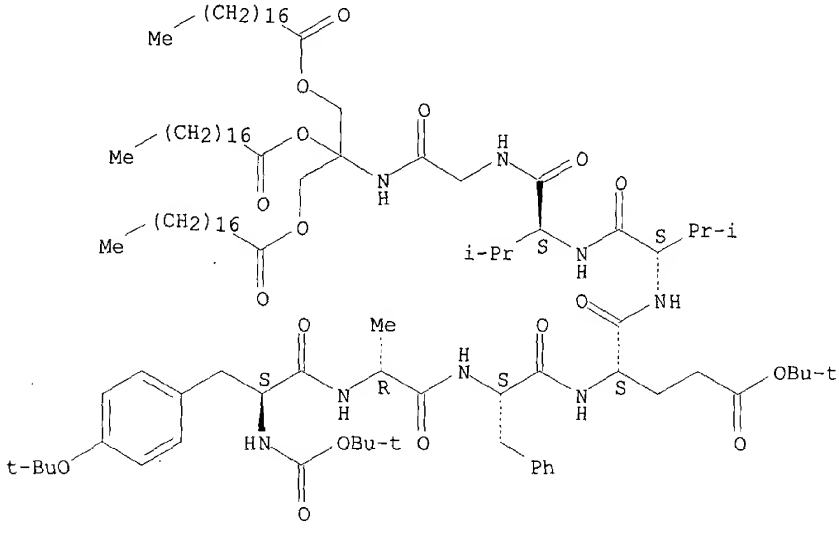


PAGE 1-B



RN 189625-62-5 HCAPLUS
CN Deltorphin B, 1-[N-[(1,1-dimethylethoxy)carbonyl]-O-(1,1-dimethylethyl)-L-tyrosine]-7-[N-[1,2-bis[(1-oxooctadecyl)oxy]-1-[[(1-oxooctadecyl)oxy]methyl]ethyl]glycinamide]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib abs hitstr 10

L23 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:678152 HCAPLUS
DOCUMENT NUMBER: 126:4284
TITLE: Lipoconjugates: structure-activity studies for pheromone analogs of Ustilago maydis with varied **lipophilicity**
AUTHOR(S): Koppitz, M.; Spellig, T.; Kahmann, R.; Kessler, H.
CORPORATE SOURCE: Institute Organic Chemistry & Biochemistry, Technical Univ. Munich, Garching, Germany
SOURCE: Int. J. Pept. Protein Res. (1996), 48(4), 377-390
CODEN: IJPPC3; ISSN: 0367-8377
PUBLISHER: Munksgaard
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis, biol. activities and conformational behavior of a variety of analogs of the mating pheromones of the basidiomycete U. maydis are reported. The pheromone analogs derived from the two allelic forms H-G-R-D-N-G-S-P-I-G-Y-S-S-Xaa-Z and H-N-R-G-Q-P-G-Y-Y-Xaa-Z, with Xaa-Z being an unidentified **lipophilic** cysteine deriv., all differ in the C-terminal residue and include -Cys(farnesyl)-OMe, -Cys(farnesyl)-OH, -Cys(prenyl)-OMe, -Cys-OMe, -Cys(n-dodecyl)-OMe and the unnatural residues -Ahds-OMe (Ahds=.chi.-aminohexadecanoic acid), -Ahds-OH, -Ads-OMe (Ads = .chi.-aminodecanoic acid) and -N-Hdg-OMe (N-Hdg = N-hexadecylglycine). The synthesis of the unnatural Me ester analogs was carried out by condensation of the fully protected fragments Fmoc-G-R(Pmc)-D(tBu)-N(Trt)-G-S(tBu)-P-I-G-Y(tBu)-S(tBu)-OH (I) and Fmoc-N(Trt)-R(Pmc)-G-Q(Trt)-P-G-Y(tBu)-Y(tBu)-OH (II), resp., prepd. by Fmoc-SPPS, with the appropriate Me ester compds. and subsequent deprotection with TFA/scavenger and piperidine. Synthesis and physicochem. properties of the unnatural **lipophilic** amino acid Me esters are described. The prepn. of the cysteine analogs was performed by condensation of I or II with H-Cys(Trt)-OMe and subsequent deprotection with TFA/scavenger. Alkylation of the thiol function and Fmoc-deprotection was achieved in a novel 1-pot reaction by treatment with alkyl bromide and DIPEA, quenching with EDT, and Fmoc removal by addn. of 20% piperidine. Hydrolysis of the Me esters was carried out by treatment with NaOH in MeOH/H2O. The results of the biol. assay reveal an increase in activity with increasing chain length of the **lipophilic** anchor, with alkyl being better than prenyl and S not being essential, while the position of the anchor is optimal at C.chi. and the Me ester moiety is important. NMR studies of 2 chosen analogs in DMSO and SDS/water demonstrate that the **lipophilic** C-terminal residue has no influence on the structural behavior of the peptides. Chem.-shift and NOE patterns indicate a main all-trans conformation of the peptide backbone and a weakly populated cis conformation around the Xaa-Pro peptide bond in all 8 cases without formation of a defined folded structure. No evidence is seen that the membrane-simulating system SDS/water has a structure-inducing effect on the bound peptide. Therefore, the lipomodification in mating pheromones of U. maydis apparently acts to increase the effective concn. of the drug in the target cell membrane without addnl. structure-inducing or receptor-binding effects.

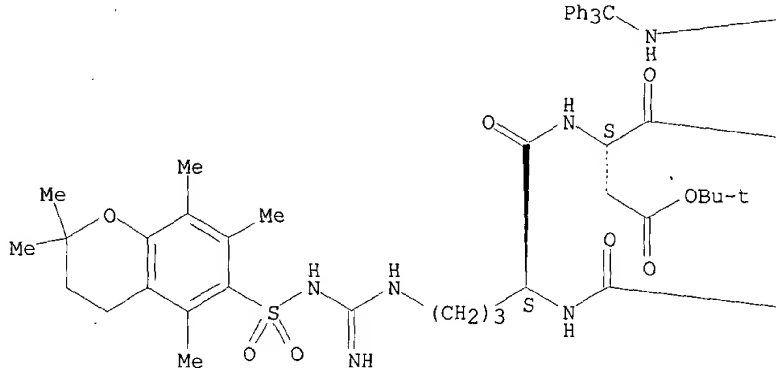
IT **183441-58-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. by solid-state synthesis and condensation reaction with Me esters synthesis of pheromone **lipoconjugate** analogs of Ustilago maydis)

RN 183441-58-9 HCAPLUS

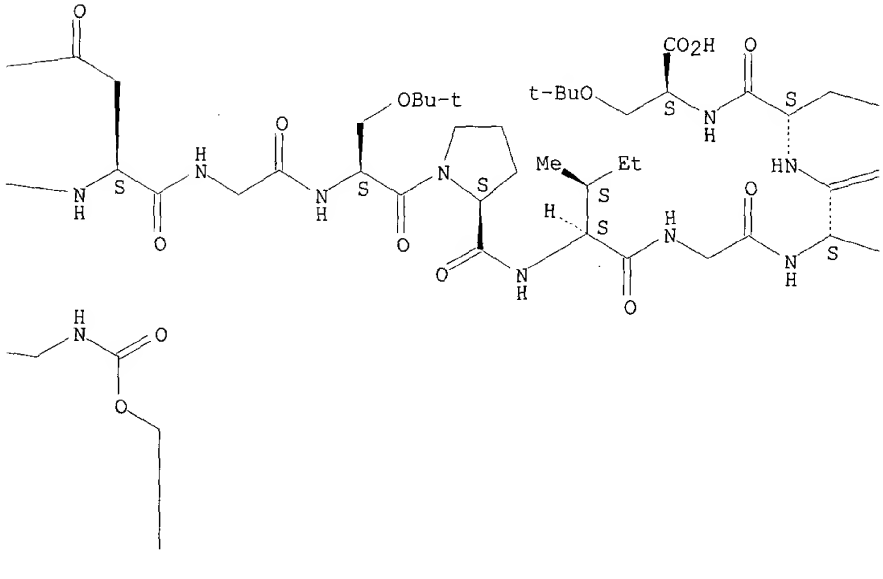
CN L-Serine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-.alpha.-aspartyl-N-(triphenylmethyl)-L-asparaginylglycyl-O-(1,1-dimethylethyl)-L-seryl-L-prolyl-L-isoleucylglycyl-O-(1,1-dimethylethyl)-L-tyrosyl-O-(1,1-dimethylethyl)-L-seryl-O-(1,1-dimethylethyl)-, 3-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

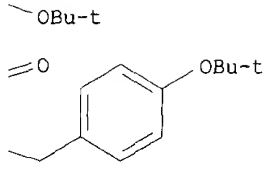
PAGE 1-A



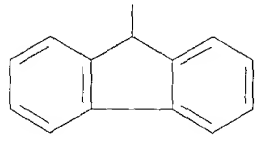
PAGE 1-B



PAGE 1-C



PAGE 2-B



=> d ibib abs hitstr 11

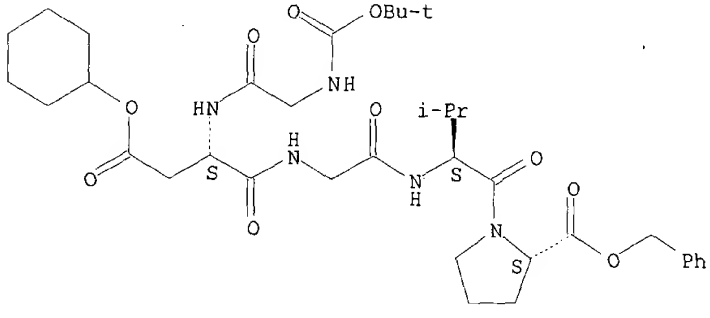
L23 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:668663 HCAPLUS
DOCUMENT NUMBER: 123:340850
TITLE: Non-linear hydrophobic-induced pKa shifts: implications for efficiency of conversion to chemical energy
AUTHOR(S): Urry, Dan W.; Gowda, D. Channe; Peng, Shao Qing; Parker, Timothy M.
CORPORATE SOURCE: Laboratory of Molecular Biophysics, The University of Alabama at Birmingham, VH300, Birmingham, AL, 35294-0019, USA
SOURCE: Chem. Phys. Lett. (1995), 239(1,2,3), 67-74
CODEN: CHPLBC; ISSN: 0009-2614
DOCUMENT TYPE: Journal
LANGUAGE: English

AB By using one Asp or one Glu per thirty residues in a polytricosapeptide capable of exhibiting a hydrophobic folding and assembly transition and stepwise converting a set of the five Val residues (most proximal to the Asp or Glu residue) to more-hydrophobic Phe residues, a non-linear hydrophobic-induced pKa shift was obsd. with a .DELTA.pKa of 0.4 (Asp) and 0.3 (Glu) on addn. of 2 Phe residues per 30mer but with a .DELTA.pKa of 4.7 (Asp) and 2.7 (Glu) on going from 4 Phe/30mer to 5 Phe/30mer. As a shift in pKa can be equiv. to the conversion to chem. energy from whatever energy input (mech., chem., electrochem., pressure or light) which effects a change in hydrophobicity, the non-linear hydrophobic-induced pKa shift means increased efficiency of energy conversion with increased hydrophobicity of the protein-based polymer.

IT **170742-56-0P 170742-57-1P 170742-60-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of polytricosapeptides, their non-linear **hydrophobic** -induced pKa shifts, and implications for efficiency of conversion to chem. energy)

RN 170742-56-0 HCAPLUS
CN L-Proline, 1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]glycyl]-L-.alpha.-aspartyl]glycyl]-L-valyl]-, 4-cyclohexyl 2-(phenylmethyl) ester (9CI) (CA INDEX NAME)

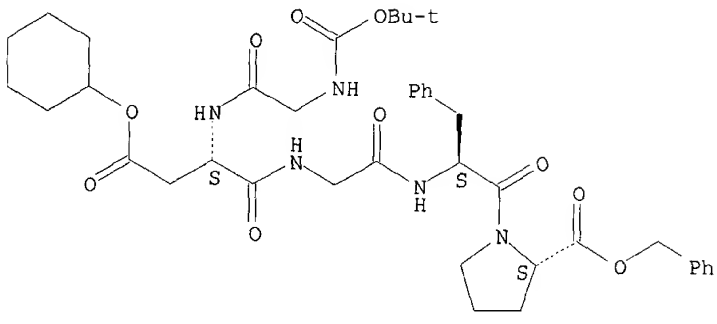
Absolute stereochemistry.



RN 170742-57-1 HCAPLUS
CN L-Proline, 1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]glycyl]-L-.alpha.-aspartyl]glycyl]-L-phenylalanyl]-, 4-cyclohexyl 2-(phenylmethyl) ester

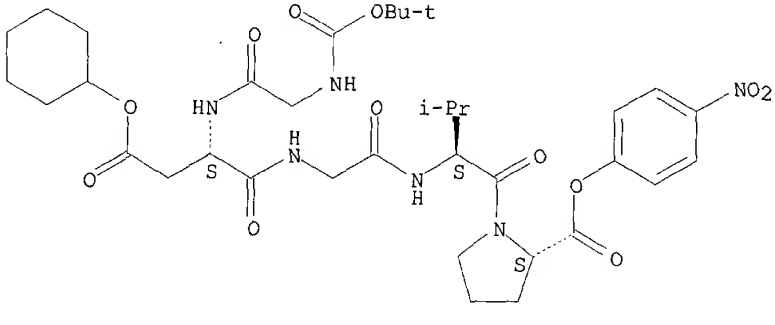
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170742-60-6 HCAPLUS
CN L-Proline, 1-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]glycyl]-L-.alpha.-aspartyl]glycyl]-L-valyl]-, 4-cyclohexyl 2-(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d ibib abs hitstr 12

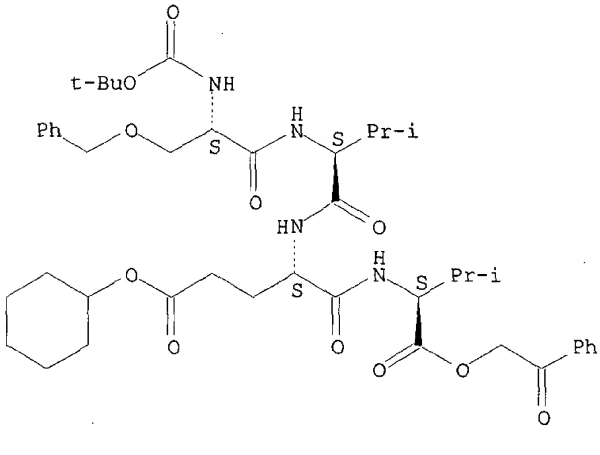
L23 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:624577 HCAPLUS
DOCUMENT NUMBER: 123:286618
TITLE: Effect of hydrophobic amino acid residue on the stabilization of amphipathic .beta.-structure
AUTHOR(S): Yamamoto, Yoichi; Ono, Shin; Sakai, Yukiko; Yoshimura, Toshiaki; Shimasaki, Choichiro; Tsukurimichi, Eiichi
CORPORATE SOURCE: Faculty Engineering, Toyama University, Toyama, 930, Japan
SOURCE: Pept. Chem. (1995), Volume Date 1994, 32nd, 473-6
CODEN: PECHDP; ISSN: 0388-3698
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Linear octapeptides composed of alternating hydrophilic and hydrophobic amino acid residues were prepd. and found to assume amphipathic .beta.-structure in aq. soln. in peptide concn.- and pH-dependent manner. Hydrophobic amino acid residues having side-chains branched at .beta.-carbon were suggested to be favorable for stabilization of amphipathic .beta.-structure as predicted for globular proteins.

IT **169753-24-6P 169753-26-8P 169753-27-9P**
169753-28-0P 169753-41-7P 169753-42-8P
169753-43-9P 169753-44-0P 169753-45-1P
169753-46-2P 169753-47-3P 169753-48-4P
169753-49-5P 169753-50-8P 169753-51-9P
169753-52-0P 169753-54-2P 169753-56-4P
169753-58-6P 169753-60-0P 169753-61-1P
169753-62-2P 169753-63-3P 169753-64-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(effect of **hydrophobic** amino acid residue on stabilization of amphipathic beta-structure)

RN 169753-24-6 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-valyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl 1-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



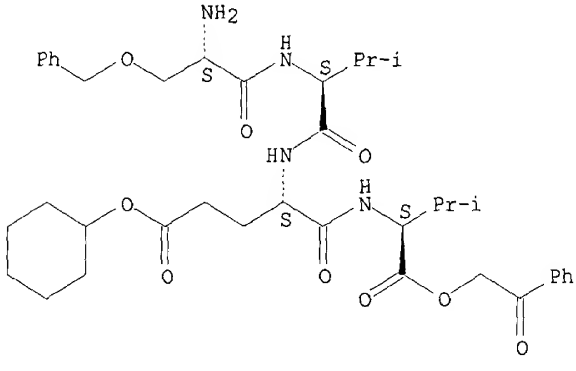
12, 14
w/ rxn's =

RN 169753-26-8 HCAPLUS
CN L-Valine, N-[N-[N-[O-(phenylmethyl)-L-seryl]-L-valyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl 1-(2-oxo-2-phenylethyl) ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

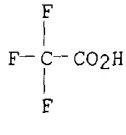
CRN 169753-25-7
CMF C39 H54 N4 O9
CDES 5:ALL,L

Absolute stereochemistry.



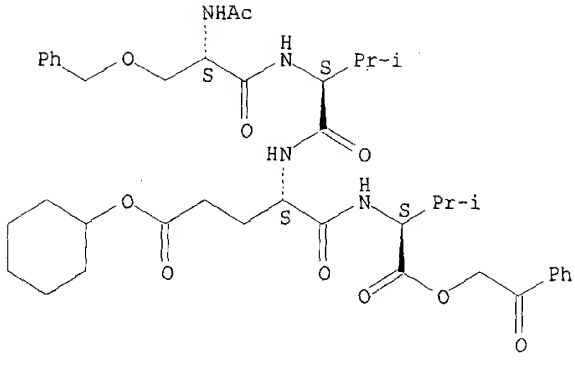
CM 2

CRN 76-05-1
CMF C2 H F3 O2



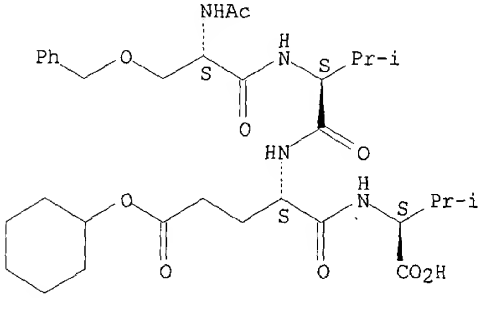
RN 169753-27-9 HCAPLUS
CN L-Valine, N-[N-[N-[N-acetyl-O-(phenylmethyl)-L-seryl]-L-valyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl 1-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



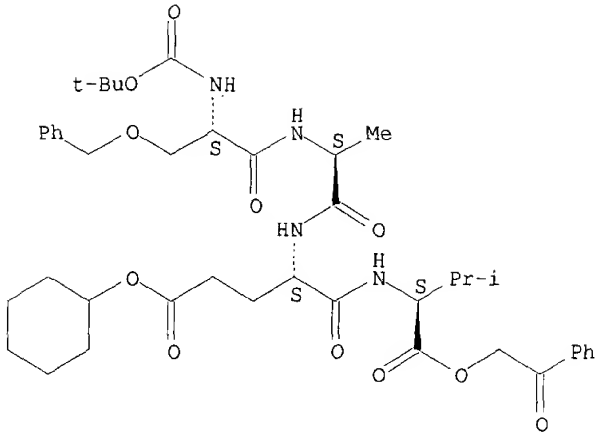
RN 169753-28-0 HCAPLUS
CN L-Valine, N-[N-[N-[N-acetyl-O-(phenylmethyl)-L-seryl]-L-valyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



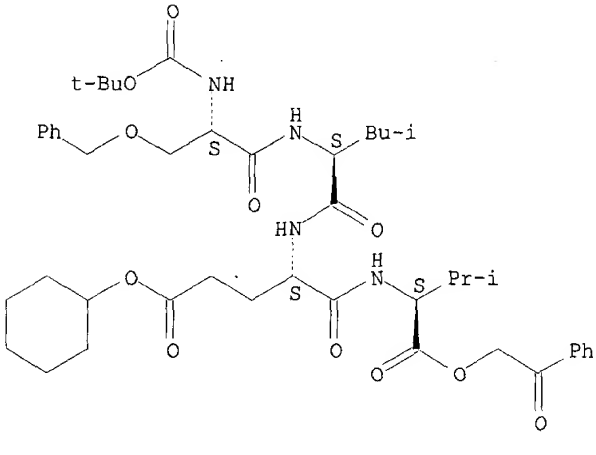
RN 169753-41-7 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-alanyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl 1-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



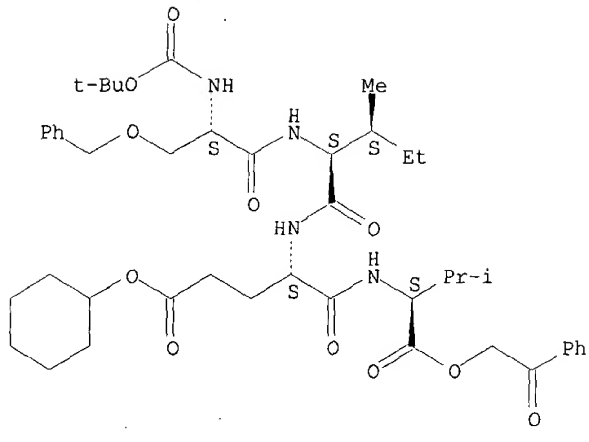
RN 169753-42-8 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-leucyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl 1-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



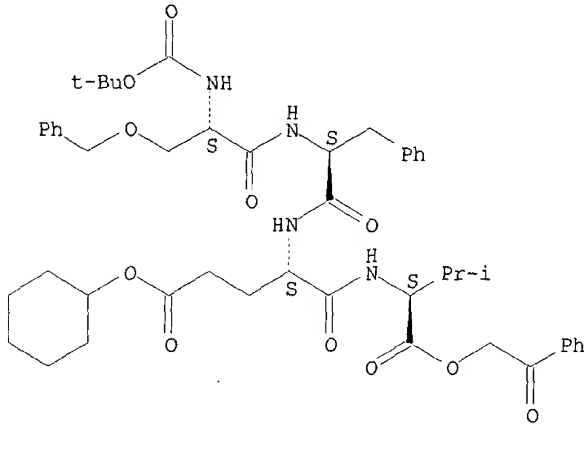
RN 169753-43-9 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-isoleucyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl 1-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



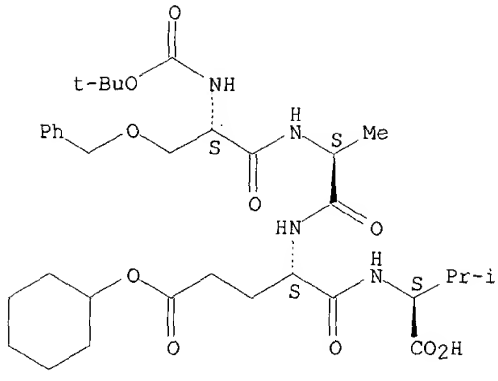
RN 169753-44-0 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-phenylalanyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl 1-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



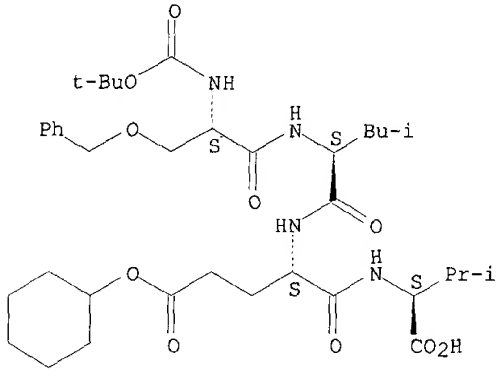
RN 169753-45-1 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-alanyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



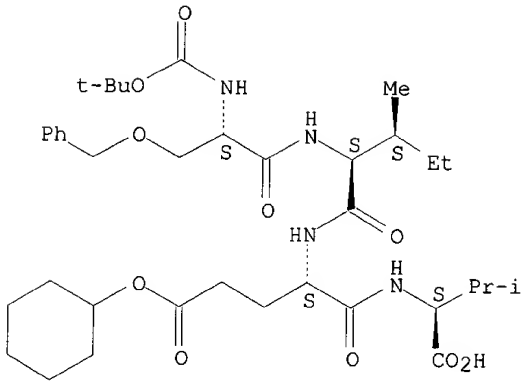
RN 169753-46-2 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-leucyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



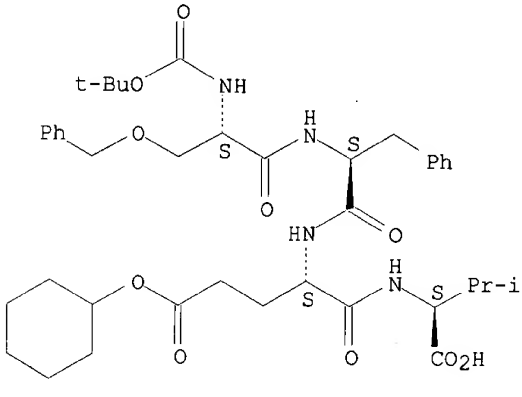
RN 169753-47-3 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-isoleucyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



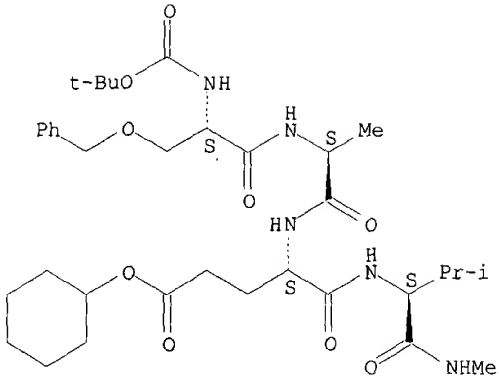
RN 169753-48-4 HCAPLUS
CN L-Valine, N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-phenylalanyl]-L-.alpha.-glutamyl]-, 5-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



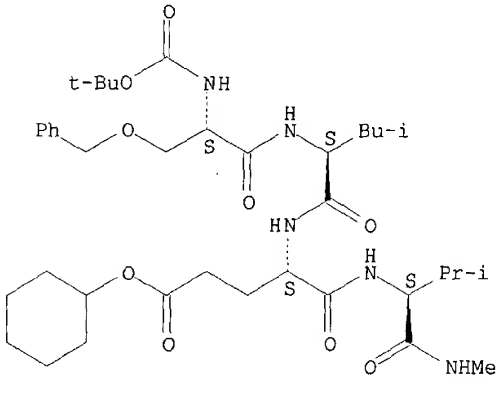
RN 169753-49-5 HCAPLUS
CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl-L-alanyl-L-.alpha.-glutamyl-N-methyl-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



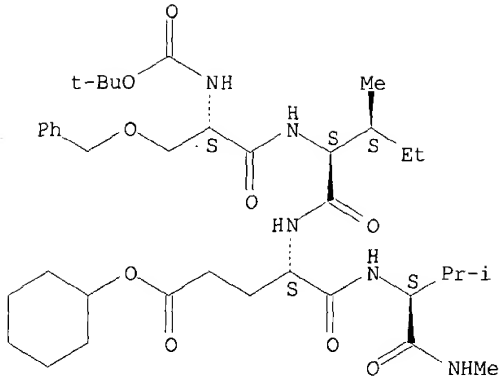
RN 169753-50-8 HCAPLUS
CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl-L-leucyl-L-.alpha.-glutamyl-N-methyl-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



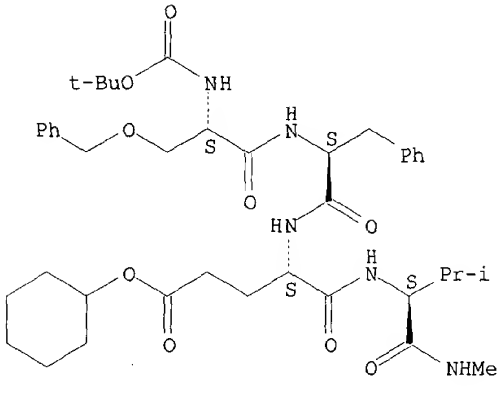
RN 169753-51-9 HCAPLUS
CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl-L-isoleucyl-L-.alpha.-glutamyl-N-methyl-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 169753-52-0 HCAPLUS
CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl-L-phenylalanyl-L-.alpha.-glutamyl-N-methyl-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

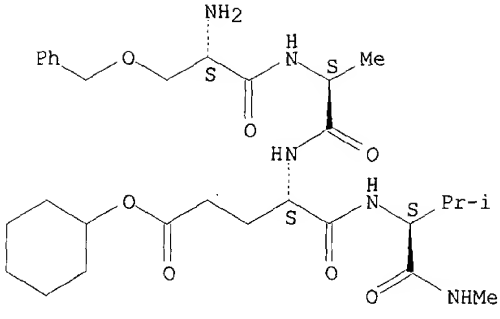


RN 169753-54-2 HCAPLUS
CN L-Valinamide, O-(phenylmethyl)-L-seryl-L-alanyl-L-.alpha.-glutamyl-N-methyl-, cyclohexyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

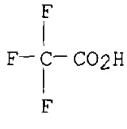
CRN 169753-53-1
CMF C30 H47 N5 O7
CDES 5:ALL,L

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

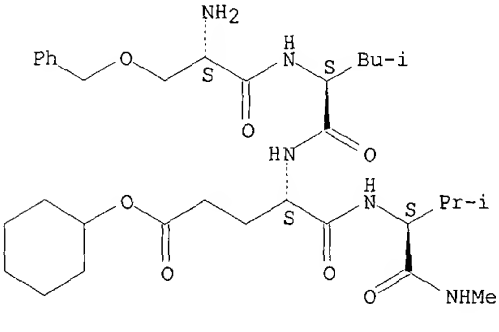


RN 169753-56-4 HCAPLUS
CN L-Valinamide, O-(phenylmethyl)-L-seryl-L-leucyl-L-.alpha.-glutamyl-N-methyl-, cyclohexyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

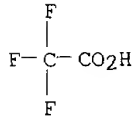
CRN 169753-55-3
CMF C33 H53 N5 O7
CDES 5:ALL,L

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

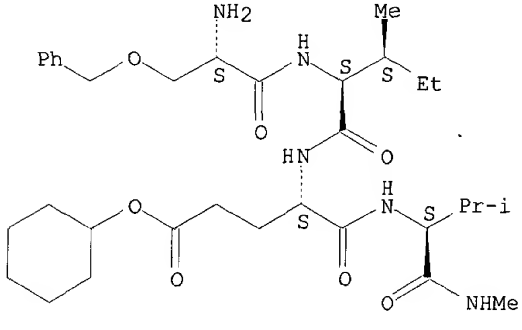


RN 169753-58-6 HCAPLUS
CN L-Valinamide, O-(phenylmethyl)-L-seryl-L-isoleucyl-L-.alpha.-glutamyl-N-methyl-, cyclohexyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

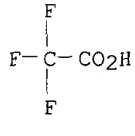
CRN 169753-57-5
CMF C33 H53 N5 O7
CDES 5:ALL,L

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



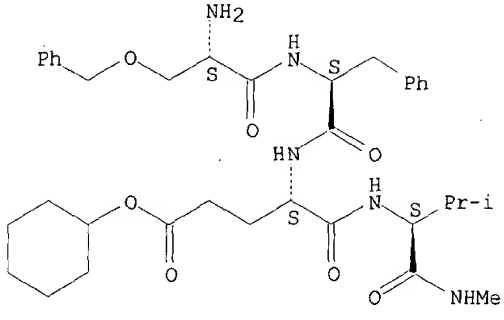
RN 169753-60-0 HCAPLUS
CN L-Valinamide, O-(phenylmethyl)-L-seryl-L-phenylalanyl-L-.alpha.-glutamyl-N-methyl-, cyclohexyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 169753-59-7
CMF C36 H51 N5 O7

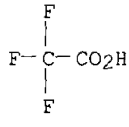
CDES 5:ALL,L

Absolute stereochemistry.



CM 2

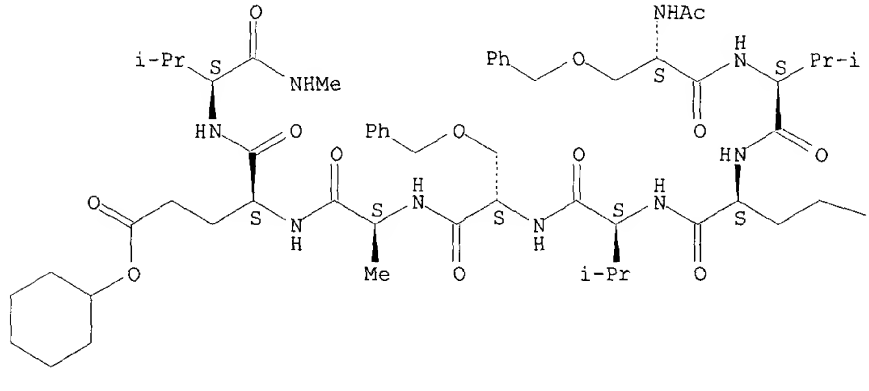
CRN 76-05-1
CMF C2 H F3 O2



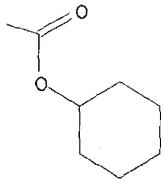
RN 169753-61-1 HCAPLUS
CN L-Valinamide, N-acetyl-O-(phenylmethyl)-L-seryl-L-valyl-L-.alpha.-glutamyl-L-valyl-O-(phenylmethyl)-L-seryl-L-alanyl-L-.alpha.-glutamyl-N-methyl-, dicyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



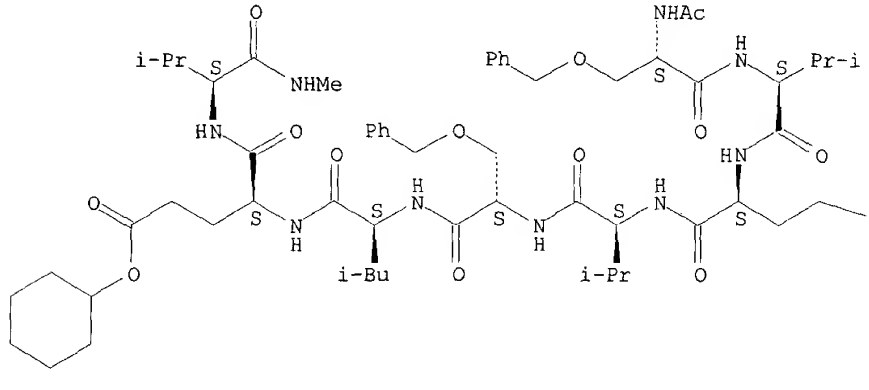
PAGE 1-B



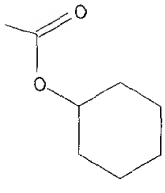
RN 169753-62-2 HCAPLUS
CN L-Valinamide, N-acetyl-O-(phenylmethyl)-L-seryl-L-valyl-L-.alpha.-glutamyl-L-valyl-O-(phenylmethyl)-L-seryl-L-leucyl-L-.alpha.-glutamyl-N-methyl-, dicyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



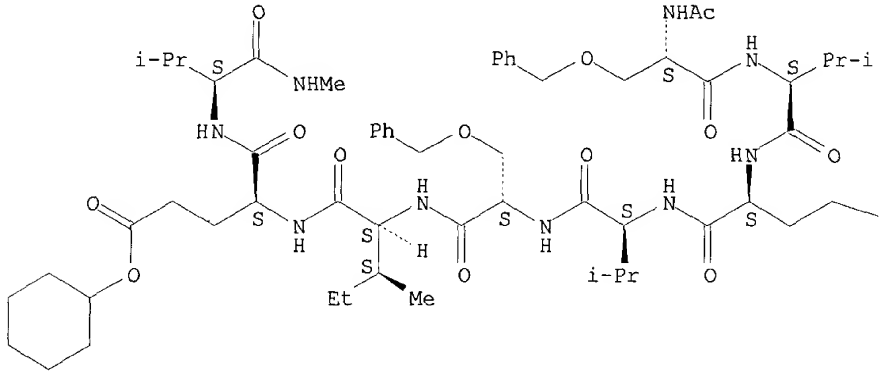
PAGE 1-B



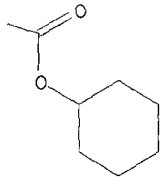
RN 169753-63-3 HCAPLUS
CN L-Valinamide, N-acetyl-O-(phenylmethyl)-L-seryl-L-valyl-L-.alpha.-glutamyl-L-valyl-O-(phenylmethyl)-L-seryl-L-isoleucyl-L-.alpha.-glutamyl-N-methyl-, dicyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



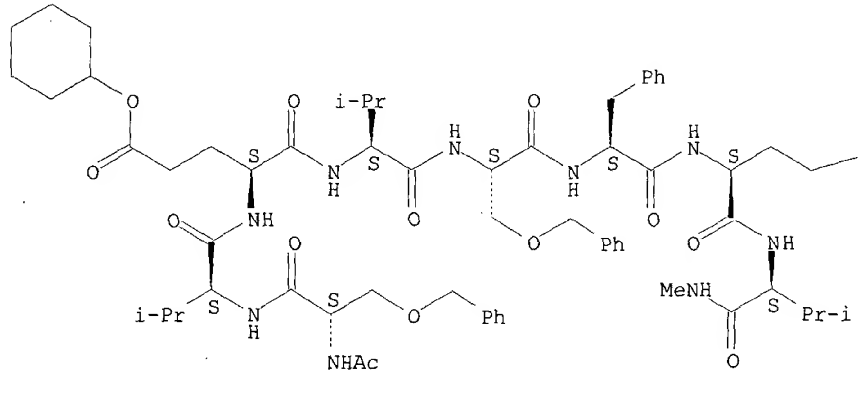
PAGE 1-B



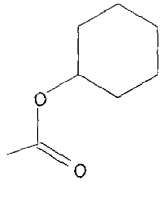
RN 169753-64-4 HCAPLUS
CN L-Valinamide, N-acetyl-O-(phenylmethyl)-L-seryl-L-valyl-L-.alpha.-glutamyl-L-valyl-O-(phenylmethyl)-L-seryl-L-phenylalanyl-L-.alpha.-glutamyl-N-methyl-, dicyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



=> d ibib abs hitstr 13

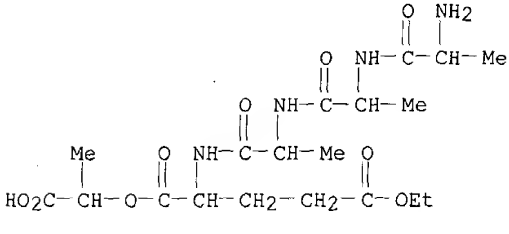
L23 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:88461 HCAPLUS
DOCUMENT NUMBER: 114:88461
TITLE: Sequential polydepsipeptides as biodegradable carriers for drug delivery systems
AUTHOR(S): Yoshida, Masaru; Asano, Masaharu; Kumakura, Minoru; Katakai, Ryoichi; Mashimo, Tooru; Yuasa, Hisako; Imai, Kyoichi; Yamanaka, Hidetoshi
CORPORATE SOURCE: Takasaki Radiat. Chem. Res. Establ., Japan At. Energy Res. Inst., Takasaki, 370-12, Japan
SOURCE: J. Biomed. Mater. Res. (1990), 24(9), 1173-84
CODEN: JBMRBG; ISSN: 0021-9304
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Sequential polydepsipeptides contg. both peptide and ester bonds, poly[(L-alanyl)n-.gamma.-Et L-glutamyl-L-lactyl] (n = 0, 1, 2, and 3) (poly[(Ala)n-Glu(OEt)-Lac]), were prepd. for application as biodegradable carriers for drug delivery systems. The in vivo degrdn. of these polymers was evaluated by s.c. implantation in the backs of male rats, and was strongly influenced by the no. (n) of Ala units in poly[(Ala)n-Glu(OEt)-Lac]. The resulting poly(Ala-Ala-Glu(OEt)-Lac) gave the highest degradability, in which 100% degrdn. was obsd. 24 wk from the start of implantation. A luteinizing-hormone-releasing hormone agonist des-Gly10-[D-Leu6]-LH-RH ethylamide (LH-RH agonist), was incorporated into a sequential poly(Ala-Ala-Glu(OEt)-Lac) carrier by the melt-pressing technique, which gave fine cylindrical polymer formulations with different structures of drug dispersion, e.g., blend-type and sandwich-type formulations. The rate of in vivo release of LH-RH agonist from a blend-type formulation showed a linear decrease with time until its release was finished after 6 wk' implantation. In contrast, in a sandwich-type formulation, the in vivo release rate was apparently maintained const. over a period of 16 wk (24 mg/day).

IT **130927-96-7P 130943-90-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as biodegradable **drug delivery** system)
RN 130927-96-7 HCAPLUS
CN L-Glutamic acid, N-[N-(N-L-alanyl-L-alanyl)-L-alanyl]-, 1-(1-carboxyethyl) 5-ethyl ester, (S)-, homopolymer (9CI) (CA INDEX NAME)

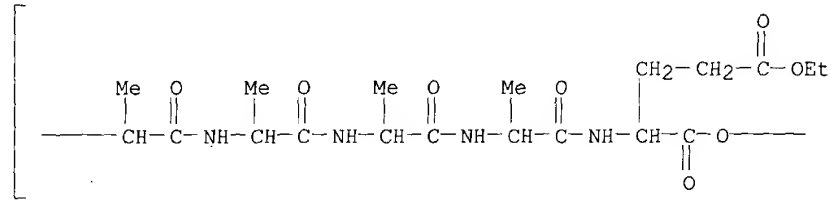
CM 1

CRN 130927-95-6
CMF C19 H32 N4 O9
CDES *



RN 130943-90-7 HCAPLUS
CN Poly[oxy[2-(3-ethoxy-3-oxopropyl)-1-oxo-1,2-ethanediyl]imino(2-methyl-1-oxo-1,2-ethanediyl)imino(2-methyl-1-oxo-1,2-ethanediyl)imino(2-methyl-1-oxo-1,2-ethanediyl)imino(2-methyl-1-oxo-1,2-ethanediyl)], stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

=> d ibib abs hitstr 14

L23 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:34506 HCAPLUS
DOCUMENT NUMBER: 108:34506
TITLE: Membrane anchor conjugates with active agents, their preparation and uses
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3546150	A1	19870122	DE 1985-3546150	19851227
FI 8602631	A	19861225	FI 1986-2631	19860619
FI 94419	B	19950531		
FI 94419	C	19950911		
EP 210412	A2	19870204	EP 1986-108324	19860619
EP 210412	A3	19900207		
EP 210412	B1	19951213		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 131491	E	19951215	AT 1986-108324	19860619
DK 8602940	A	19861225	DK 1986-2940	19860623
DK 172399	B1	19980518		
NO 8602511	A	19861229	NO 1986-2511	19860623
NO 174207	B	19931220		
NO 174207	C	19940330		
AU 8658943	A1	19870108	AU 1986-58943	19860623
AU 611385	B2	19910613		
ZA 8604657	A	19870225	ZA 1986-4657	19860623
JP 62063600	A2	19870320	JP 1986-145031	19860623
ES 556417	A1	19880216	ES 1986-556417	19860623
SU 1823876	A3	19930623	SU 1986-4027766	19860623
NO 9200356	A	19861229	NO 1992-356	19920127
US 6024964	A	20000215	US 1995-466695	19950606
US 6074650	A	20000613	US 1995-465709	19950606

PRIORITY APPLN. INFO.:
DE 1985-3522512 A1 19850624
DE 1985-3546150 A 19851227
US 1986-876479 B1 19860620
NO 1986-2511 A1 19860623
DE 1988-3813821 A 19880422
US 1988-229770 B1 19880801
US 1989-340833 B2 19890420
US 1989-427914 B1 19891024
DE 1989-3937412 A 19891110
US 1990-588794 B2 19900827
US 1990-610222 B1 19901108
US 1992-966603 B2 19921026
US 1993-84091 B1 19930630
US 1995-387624 B3 19950213

AB Active agents (antigens, antibiotics, hormones, enzymes, labels, etc.) are conjugated to compds. which can be inserted into cell membranes. The conjugates are useful e.g. to promote cell fusion, to provide cells with fluorescent or spin labels, etc. The extracytoplasmic region of the EGF receptor encompassing residues 516-529 was constructed by the Merrifield

resin method, coupled to fluorenylmethoxycarbonyl(tert-butyl)serine and S-[2,3-bis(palmitoyloxy)propyl]-N-palmitoylcysteinylserine(Pam3Cys-Ser) (the N-terminus of the outer membrane lipoprotein of Escherichia coli) as adjuvant, cleaved from the resin, and administered once i.p. to mice. A high titer of antibodies to the EGF receptor peptide was detected within 2 wk.

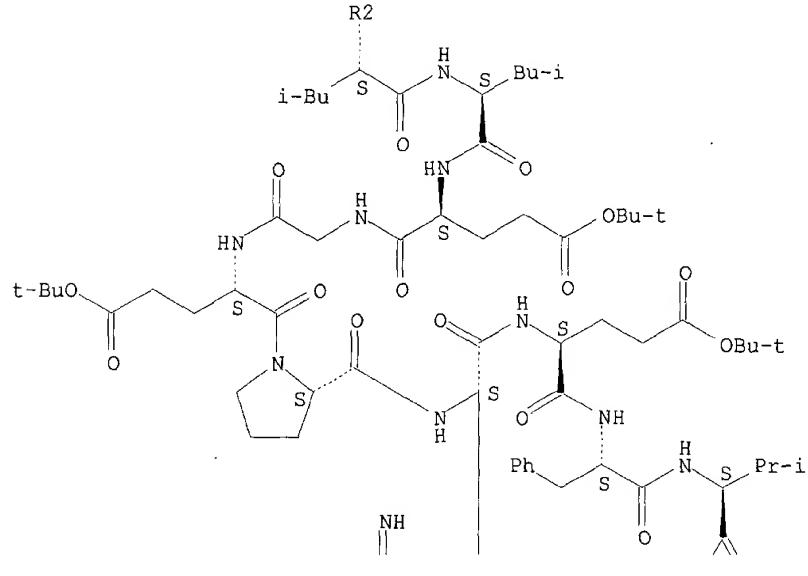
IT **112208-19-2DP**, alkoxybenzyl esters, reaction products with styrene-divinylbenzene copolymer
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, in prepn. of EGF peptide-membrane anchor **conjugates**)

RN 112208-19-2 HCAPLUS

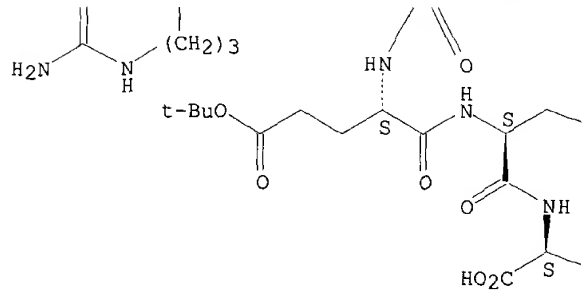
CN L-Serine, S-[2,3-bis[(1-oxohexadecyl)oxy]propyl]-N-(1-oxohexadecyl)-L-cysteinyl-O-(1,1-dimethylethyl)-L-seryl-L-asparaginyl-L-leucyl-L-leucyl-L-.alpha.-glutamylglycyl-L-.alpha.-glutamyl-L-prolyl-L-arginyl-L-.alpha.-glutamyl-L-phenylalanyl-L-valyl-L-.alpha.-glutamyl-L-asparaginyl-O-(1,1-dimethylethyl)-, 6,8,11,14-tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

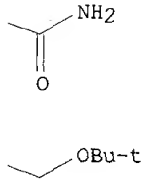
PAGE 1-A



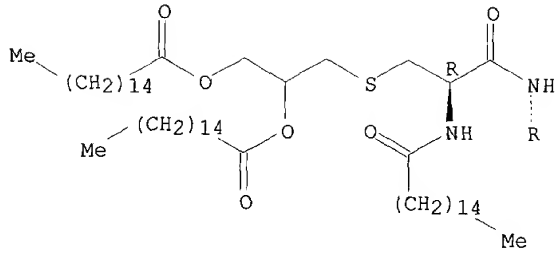
PAGE 2-A

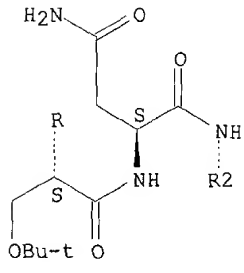


PAGE 2-B



PAGE 3-A




H2N
O
O
R
S
NH
NH
R2
S
OBu-t
O

=> d ibib abs hitstr 1-25

L24 ANSWER 1 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:816796 HCAPLUS
DOCUMENT NUMBER: 135:359144
TITLE: Sulfonated [8,9]benzophenoxazine dyes and the use of their labelled conjugates
INVENTOR(S): Yan, Xiongwei; Yuan, Pau Miau
PATENT ASSIGNEE(S): Applera Corporation, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083621	A2	20011108	WO 2001-US14110	20010501

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

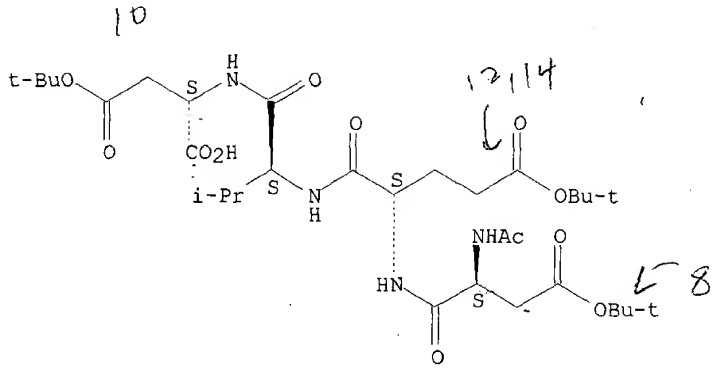
PRIORITY APPLN. INFO.: US 2000-564417 A 20000502
OTHER SOURCE(S): MARPAT 135:359144

AB Fluorescent, sulfonated 3,7-diamino-[8,9]benzophenoxazine dyes are provided that are esp. useful for labeling biopolymers and other substrates. The dye-labeled conjugates can be used in a variety of contexts, including cell surface assays employing intact, live cells and in nucleic acid detection methods. The new dyes are water sol. and can be conjugated to a variety of substrates, such as polynucleotides, nucleosides, nucleotides, peptides, proteins, antibodies, carbohydrates, ligands, particles and surfaces.

IT **223539-69-3P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonated [8,9]benzophenoxazine dyes and their use as labeled **conjugates**)

RN 223539-69-3 HCAPLUS
CN L-Aspartic acid, N-acetyl-L-.alpha.-aspartyl-L-.alpha.-glutamyl-L-valyl-, 1,2,44-tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 2 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:798301 HCAPLUS
DOCUMENT NUMBER: 135:348868
TITLE: RGD (Arg-Gly-Asp) coupled to (neuro)peptides
INVENTOR(S): De Jong, Marion; Krenning, Eric Paul; Van Hagen, Petrus Martinus
PATENT ASSIGNEE(S): Mallinckrodt, Inc., USA
SOURCE: PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081426	A2	20011101	WO 2001-EP4764	20010426

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2000-201499 A 20000426

AB The invention relates to compds. having a binding affinity for both the .alpha.v.beta.3 receptor and a (neuro)peptide receptor, in particular the somatostatin receptor, which compd. comprises a first peptide part comprising at least once the amino acid sequence Arg-Gly-Asp, and a second peptide part coupled thereto, optionally via a linker, which second peptide part is a (neuro)peptide. The peptides may be radiolabeled for autoradiog. expts.

IT **371161-34-1D**, resin **conjugates** **371161-39-6D**, resin **conjugates**
RL: RCT (Reactant); RACT (Reactant or reagent)
(RGD (Arg-Gly-Asp) coupled to (neuro)peptides for radiolabeling)

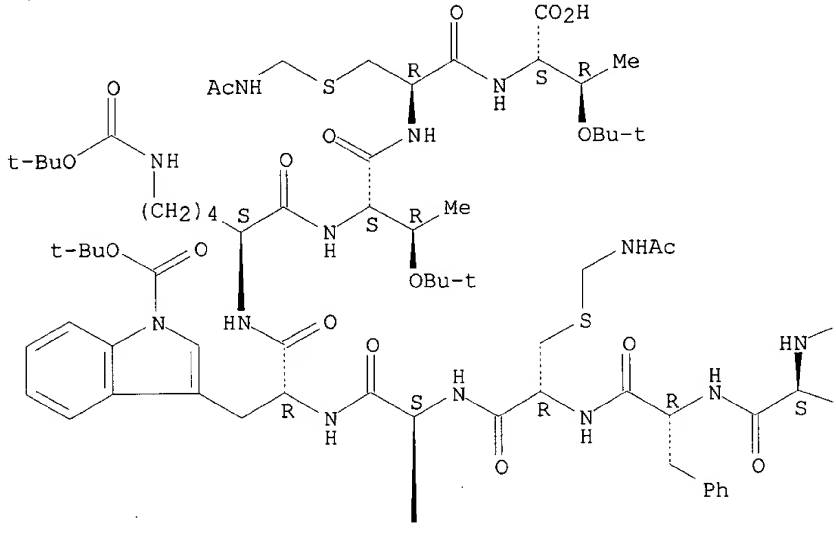
RN 371161-34-1 HCAPLUS

CN L-Threonine, N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithylglycyl-L-.alpha.-aspartyl-O-(1,1-dimethylethyl)-D-tyrosyl-L-.alpha.-aspartyl-N6-[(4-methylphenyl)diphenylmethyl]-L-lysyl-D-phenylalanyl-S-

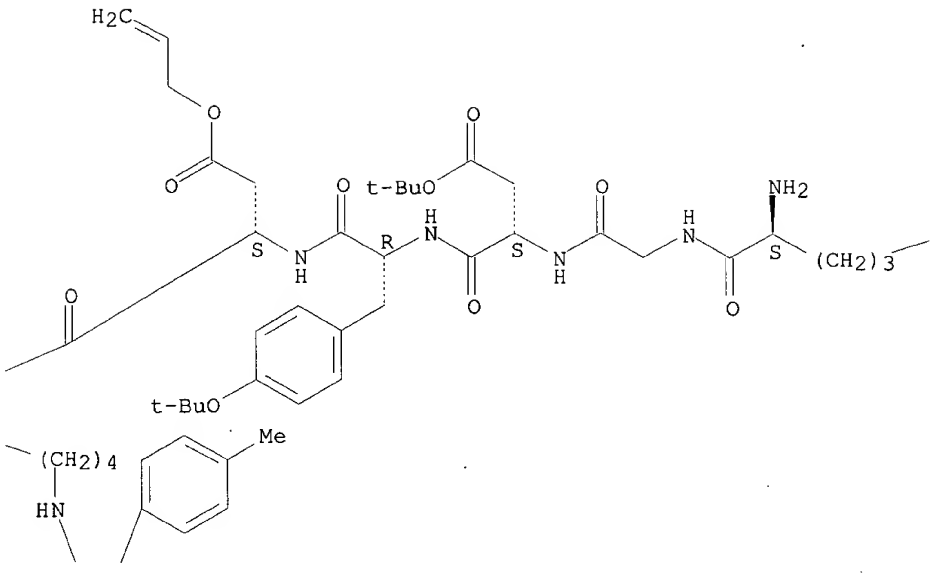
[(acetylamino)methyl]-L-cysteinyl-O-(1,1-dimethylethyl)-L-tyrosyl-1-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-O-(1,1-dimethylethyl)-L-threonyl-S-[(acetylamino)methyl]-L-cysteinyl-O-(1,1-dimethylethyl)-, 3-(1,1-dimethylethyl) 5-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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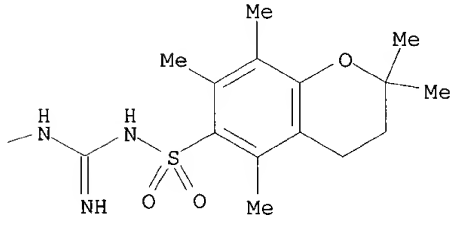
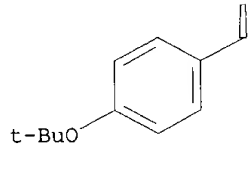


PAGE 2-A

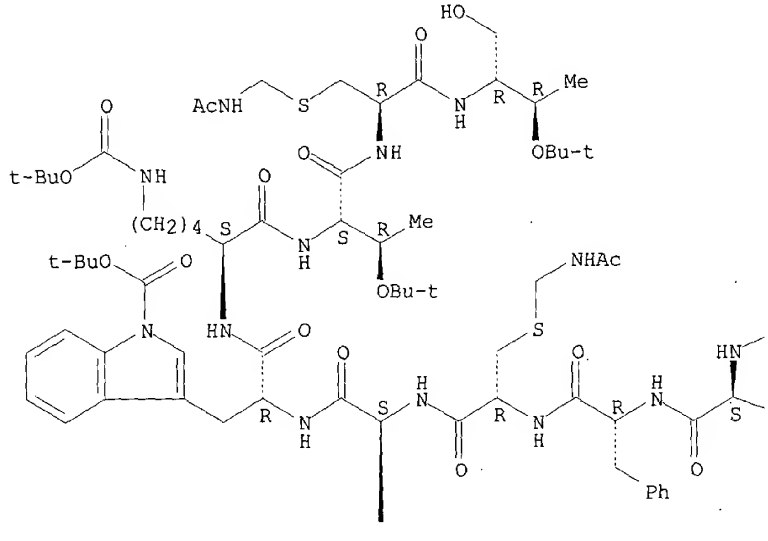


PAGE 2-B

RN 371161-39-6 HCAPLUS
CN L-Cysteinamide, N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithylglycyl-L-.alpha.-aspartyl-O-(1,1-dimethylethyl)-D-tyrosyl-L-.alpha.-aspartyl-N6-[(4-methylphenyl)diphenylmethyl]-L-lysyl-D-phenylalanyl-S-[(acetylamino)methyl]-L-cysteinyl-O-(1,1-dimethylethyl)-L-tyrosyl-1-[(1,1-dimethylethoxy)carbonyl]-D-tryptophyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-O-(1,1-dimethylethyl)-L-threonyl-S-[(acetylamino)methyl]-N-[(1R,2R)-2-(1,1-dimethylethoxy)-1-(hydroxymethyl)propyl]-, 3-(1,1-dimethylethyl) 5-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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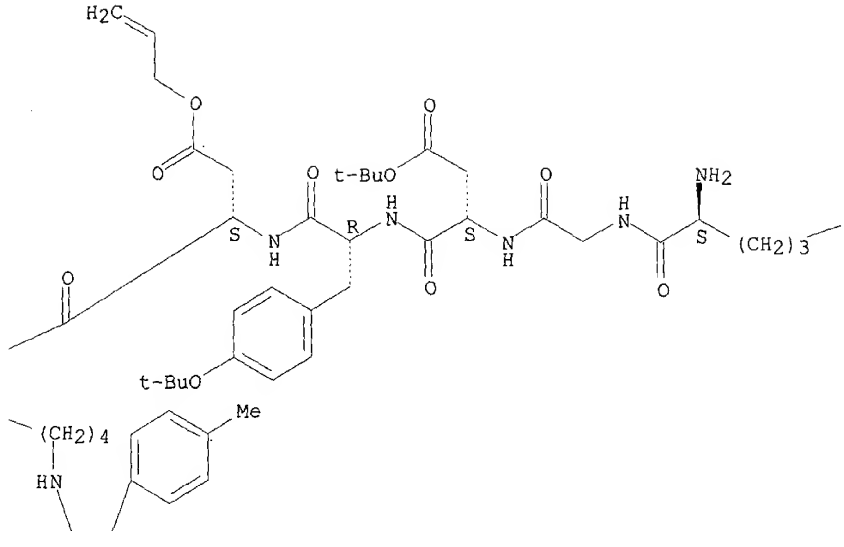

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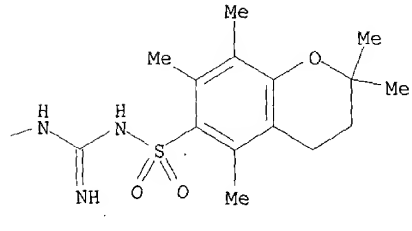

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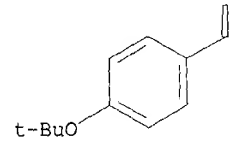
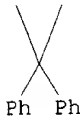




L24 ANSWER 3 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:743883 HCAPLUS
DOCUMENT NUMBER: 136:135007
TITLE: Highly efficient synthesis of peptide-oligonucleotide conjugates: chemoselective oxime and thiazolidine formation
AUTHOR(S): Forget, Damien; Boturyn, Didier; Defrancq, Eric; Lhomme, Jean; Dumy, Pascal
CORPORATE SOURCE: LEDSS, UMR CNRS 5616, Universite Joseph Fourier, Grenoble, 38041, Fr.
SOURCE: Chemistry--A European Journal (2001), 7(18), 3976-3984
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

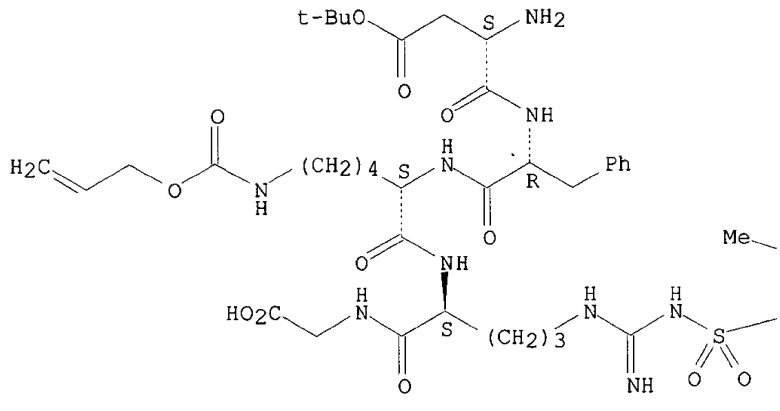
AB A convergent strategy for the synthesis of peptide-oligonucleotide conjugates (POC) is presented. Chemoselective ligation of peptide to oligonucleotide was accomplished by oxime and thiazolidine formation. Oxime conjugation was performed by treating an oxyamine-contg. peptide with an aldehyde-contg. oligonucleotide or vice versa. Ligation by thiazolidine formation was achieved by coupling a peptide, acylated with a cysteine residue, to an oligonucleotide that was derivatised by an aldehyde function. For both approaches, the conjugates were obtained in good yield without the need for a protection strategy and under mild aq. conditions. Moreover, the oxime ligation proved useful for directly conjugating duplex oligonucleotides. Combined with mol. biol. tools, this methodol. opens up new prospects for post-functionalization of high-mol.-wt. DNA structures.

IT **343312-38-9 388633-60-1D**, resin-bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of peptide-oligonucleotide **conjugates** via oxime and thiazolidine formation by coupling and hybridization properties of oligodeoxyribonucleotide duplexes)

RN 343312-38-9 HCAPLUS
CN Glycine, L-.alpha.-aspartyl-D-phenylalanyl-N6-[(2-propenyloxy)carbonyl]-L-lysyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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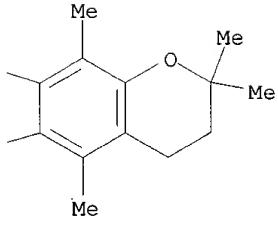
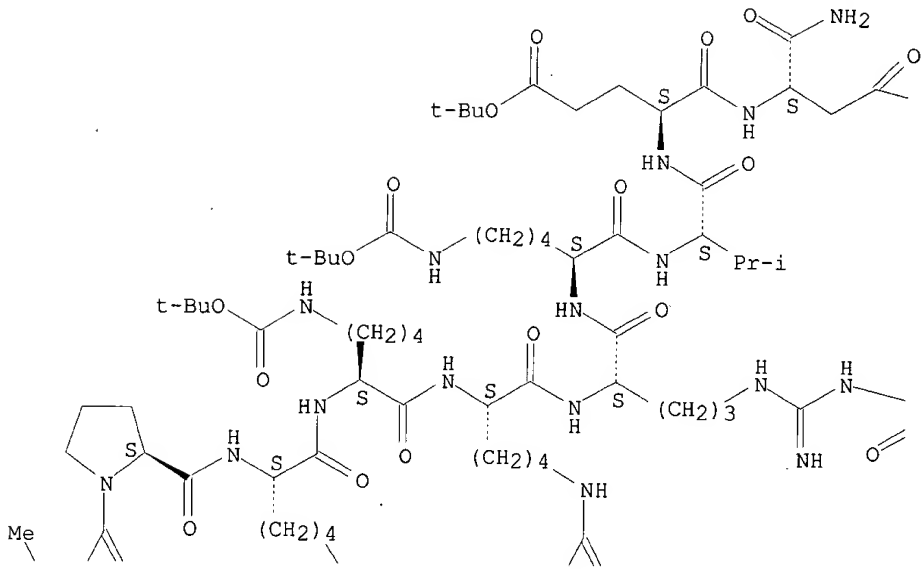


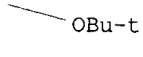
RN 388633-60-1 HCAPLUS
CN L-.alpha.-Asparagine, L-alanyl-L-prolyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-valyl-L-.alpha.-glutamyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

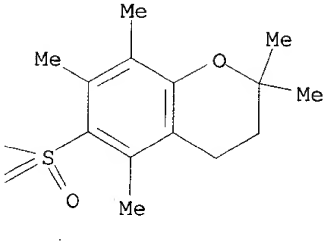
Absolute stereochemistry.

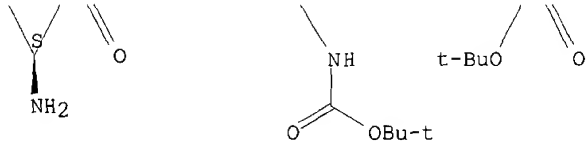
PAGE 1-A



PAGE 1-B







REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:300756 HCAPLUS
DOCUMENT NUMBER: 134:320857
TITLE: Modified peptides and peptidomimetics for use in immunotherapy
INVENTOR(S): Van Staveren, Catherina Joanna; Timmers, Cornelis Marius; Van Galen, Philippus Johannes Marie; Knegtel, Rnaldus Marcellus Alphonsus; Boots, Anna Maria Helena; Miltenburg, Andreas Martinus Maria
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029081	A1	20010426	WO 2000-EP10230	20001012

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

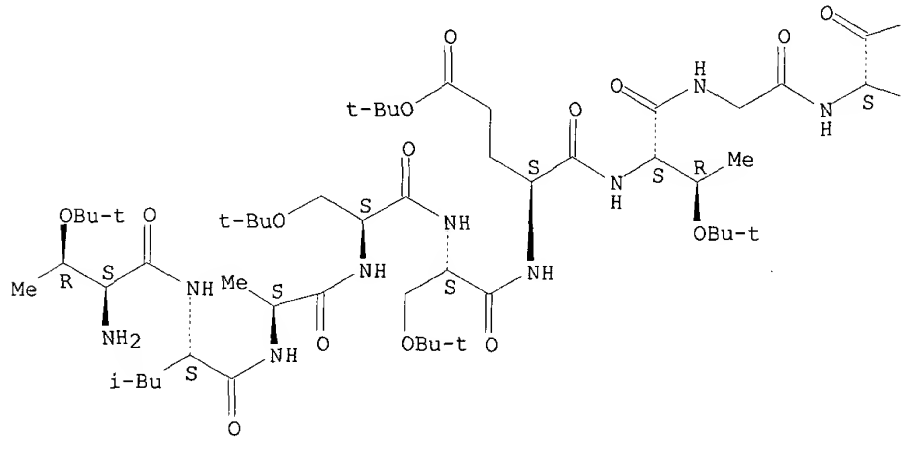
PRIORITY APPLN. INFO.: EP 1999-203427 A 19991018
OTHER SOURCE(S): MARPAT 134:320857

AB The invention relates to a modified peptide derived from formula I peptide H-Arg-Ser-Phe-Thr-Leu-Ala-Ser-Ser-Glu-Thr-Gly-Val-Gly-OH (peptide (263-275) of cartilage-derived protein human cartilage gp-39 (HC gp-39)) having general formula (II): Q-A1-A2-A3-A4-A5-A6-A7-A8-A9-A10-A11-A12-A13-Z. In general formula (II), A1 through A13 correspond with the amino acids of formula (I), Q corresponds with H and Z corresponds with OH. The modifications according to the present invention are selected from one or more of the groups a, b or c, consisting of (a) substitution of 1-6, preferably 1-4 amino acids at A1 through A13 with non-natural amino acids or .beta. amino acids; (b) substitution of one or more amide bonds with reduced amide bonds or ethylene isosteres; (c) substitutions at Q and/or Z and, optionally, (d) substitution of natural amino acids up to a total of 6 modifications. The peptides can be used for inducing tolerance induction in patients suffering from autoimmune diseases. The most potent compds. were Ac-Arg-Ser-Phe-Thr-Leu-Ala-Ser-Ser-Glu-Thr-Gly-Val-Gly-OH, Ac-Arg-Ser-Phe-Thr-Leu-Ala-Ser-Ser-Glu-Thr-Gly-Val-.psi.[CH2NH]-Gly-NH2, Ac-Arg-NhSer-Phe-Thr-Leu-Ala-Ser-Ser-Glu-Thr-Gly-Val-Gly-NH2 and Ac-Arg-NhSer-Phe-Thr-Leu-Ala-Ser-Ser-Glu-Thr-Gly-Val-.psi.[CH2NH]-Gly-NH2.

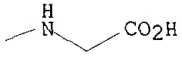
IT **335598-61-3D, conjugates** with PAC-PEG-PS resin
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(modified peptides and peptidomimetics based on peptide from human cartilage glycoprotein 39 for use in immunotherapy)
RN 335598-61-3 HCAPLUS
CN Glycine, O-(1,1-dimethylethyl)-L-threonyl-L-leucyl-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-O-(1,1-dimethylethyl)-L-seryl-L-.alpha.-glutamyl-O-(1,1-dimethylethyl)-L-threonylglycyl-L-valyl-, 6-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



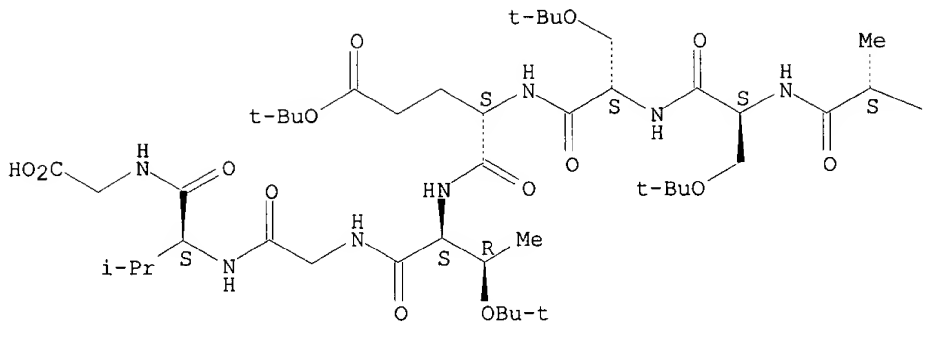
PAGE 1-B



IT **335598-62-4DP, conjugates** with PAL-PEG-PS resin
335598-68-0DP, conjugates with PAL-PEG-PS resin
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(modified peptides and peptidomimetics based on peptide from human cartilage glycoprotein 39 for use in immunotherapy)
RN 335598-62-4 HCAPLUS
CN Glycine, O-(1,1-dimethylethyl)-N-[(2S)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-phenylpropyl]-L-threonyl-L-leucyl-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-O-(1,1-dimethylethyl)-L-seryl-L-.alpha.-glutamyl-O-(1,1-dimethylethyl)-L-threonylglycyl-L-valyl-, 6-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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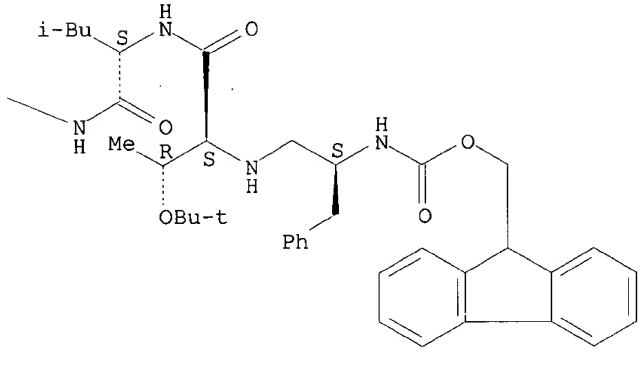
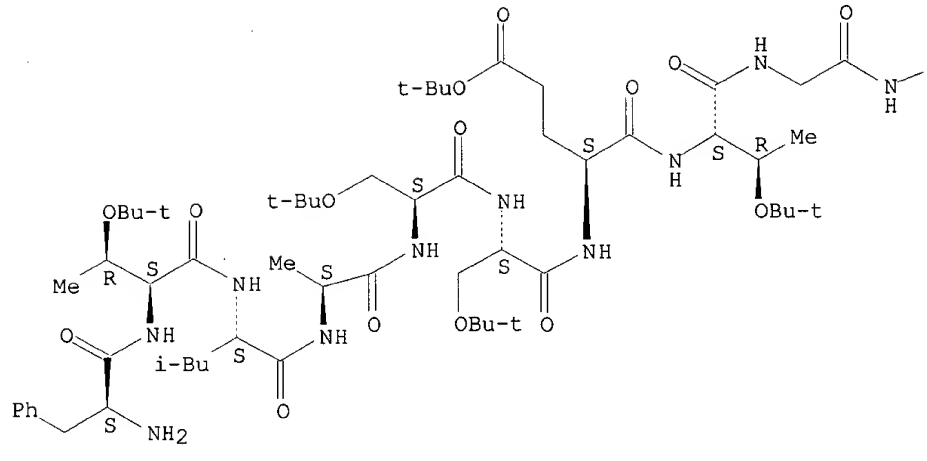


RN 335598-68-0 HCAPLUS
CN Glycine, L-phenylalanyl-O-(1,1-dimethylethyl)-L-threonyl-L-leucyl-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-O-(1,1-dimethylethyl)-L-seryl-L-.alpha.-glutamyl-O-(1,1-dimethylethyl)-L-threonylglycyl-L-valyl-.psi.(CH2-NH)-, 7-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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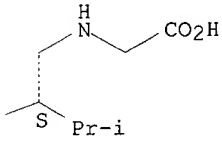


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:87230 HCAPLUS
DOCUMENT NUMBER: 134:252642
TITLE: Towards the development of antitumor vaccines: a synthetic conjugate of a tumor-associated MUC1 glycopeptide antigen and a tetanus toxin epitope
AUTHOR(S): Keil, Stefanie; Claus, Christine; Dippold, Wolfgang; Kunz, Horst
CORPORATE SOURCE: Institut fur Organische Chemie der Universitat Mainz, Mainz, 55099, Germany
SOURCE: Angewandte Chemie, International Edition (2001), 40(2), 366-369
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In work aimed at synthesis of glycopeptides of the tumor-assocd. mucin NUC1, the authors have prepd. TN-, T-, and sialyl-Tn-antigen glycopeptides from the tandem repeat region of NUC1, in which the tumor-assocd. MUC1 glycopeptide antigen was combines with a T-cell epitope of tetanus toxin using a flexible spacer to prep. a conjugate. The whole construct was

formed from two lage portions using a solid-phase condensation technique. For immunol. evaluation, the conjugate was tested on four samples of peripheric blood lymphocytes, with re-stimulation carried out after seven days, leading to prodn. of interferon-.gamma., proof of antigen-specific reactivity. Anal. showed proliferation of CD3-pos. T-cells, which showed that the conjugate could induce cytotoxic T-cell response.

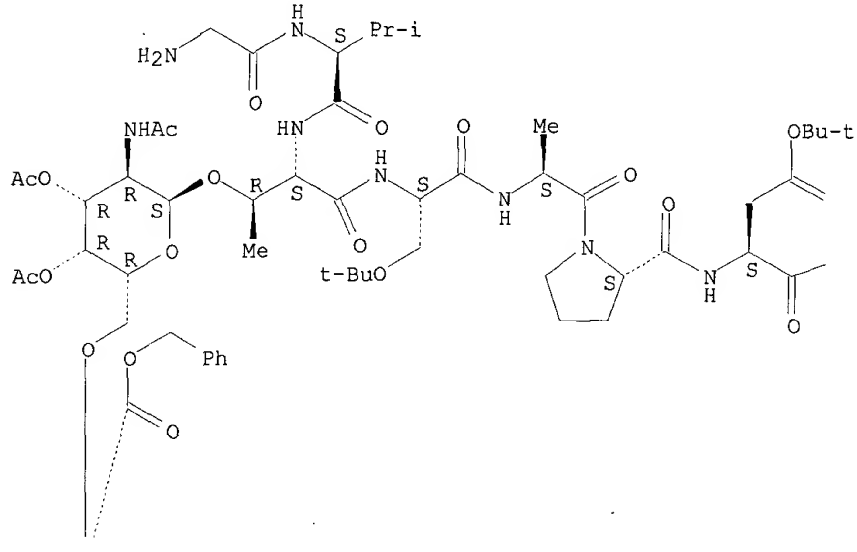
IT **330846-53-2DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **conjugate** of a tumor-assocd. MUC1 glycopeptide antigen and a tetanus toxin epitope for use as antitumor vaccine)

RN 330846-53-2 HCAPLUS

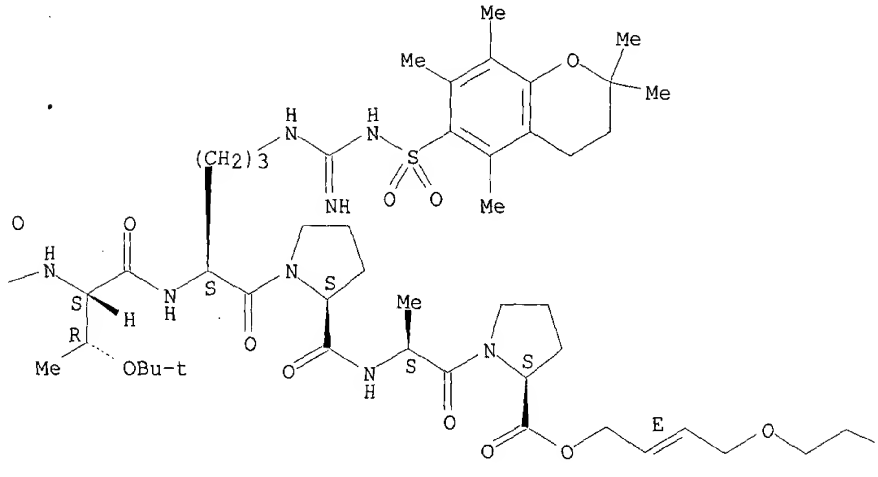
CN .beta.-Alanine, glycyl-L-valyl-O-[3,4-di-O-acetyl-2-(acetylamino)-6-O-[N-acetyl-4,7,8,9-tetra-O-acetyl-1-(phenylmethyl)-.alpha.-neuraminosyl]-2-deoxy-.alpha.-D-galactopyranosyl]-L-threonyl-O-(1,1-dimethylethyl)-L-seryl-L-alanyl-L-prolyl-L-.alpha.-aspartyl-O-(1,1-dimethylethyl)-L-threonyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-prolyl-L-alanyl-L-prolyl-(15E)-17-hydroxy-4,7,10,13-tetraoxaheptadec-15-enoyl-, 7-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



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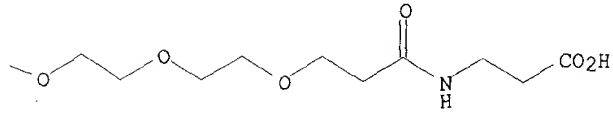
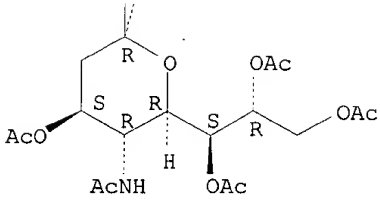




REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:772489 HCAPLUS
DOCUMENT NUMBER: 133:355232
TITLE: Enzymatically activated polymeric drug conjugates
INVENTOR(S): Pachence, James M.; Belinka, Benjamin A.; Ramani, Thulasi
PATENT ASSIGNEE(S): Veritas Medical Technologies, Inc., USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064486	A2	20001102	WO 2000-US11670	20000428
WO 2000064486	A3	20010426		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1176985	A2	20020206	EP 2000-928630	20000428

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:
US 1999-131404P P 19990428
US 1999-163090P P 19991102
WO 2000-US11670 W 20000428

AB The present invention relates to a polymeric drug conjugate with one or more biol. active agents conjugated via an enzymically cleavable linker to either a regular repeating linear unit comprising a water sol. polymer segment and a multifunctional chem. moiety, or a branched polymer comprising two or more water sol. polymer segments each bound to a common multifunctional chem. moiety, as well as to methods of making such conjugates. The present invention is also directed to pharmaceutical compns. comprising such conjugates and to the use of such conjugates to treat pathol. conditions. A conjugate consisting of Fmoc-doxorubicin-14-O-hemiglutarate deriv. as an active agent, tetrapeptide Val-Gly-Pro-Ala as an enzymically cleaved linker, a multifunctional chem. moiety prepd. from N-fluorenylmethoxycarbonyl-O-tert-butylserine, N-(benzyloxycarbonyl)-

ethane-1,2-diamine, and tetrahydropyranyl ether, and polyethylene glycol 2000 was prepd.

IT **304851-38-5P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(polymeric drug **conjugate** contg. water-sol. polymers and multifunctional chem. moieties and enzymically cleavable linkers and biol. active agents)

RN 304851-38-5 HCAPLUS

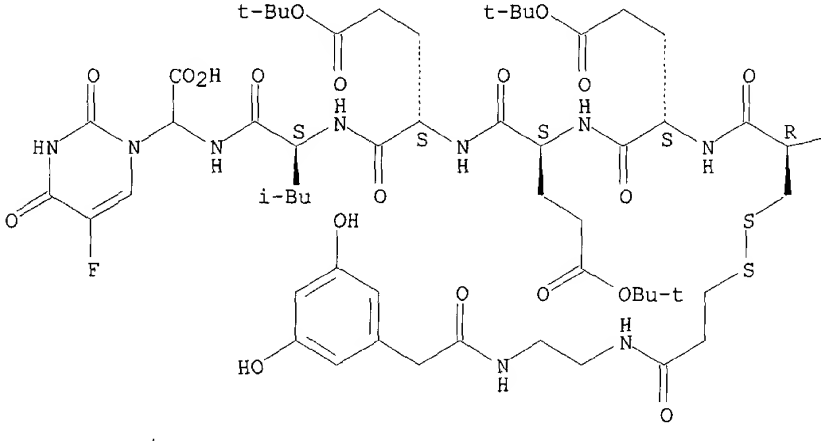
CN Glycine, 3-[[3-[[2-[[(3,5-dihydroxyphenyl)acetyl]amino]ethyl]amino]-3-oxopropyl]dithio]-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-leucyl-2-(5-fluoro-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 2,3,4-tris(1,1-dimethylethyl) ester, polymer with .alpha.-[(4-methylphenyl)sulfonyl]-.omega.-[[(4-methylphenyl)sulfonyl]oxy]poly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

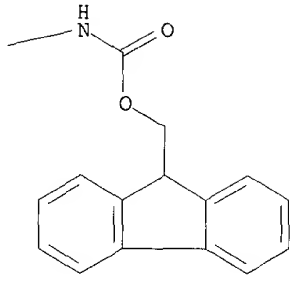
CM 1

CRN 304851-37-4
CMF C70 H93 F N10 O21 S2

Absolute stereochemistry.

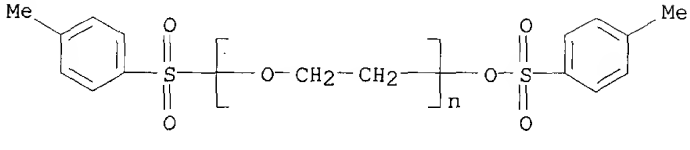
PAGE 1-A





CM 2

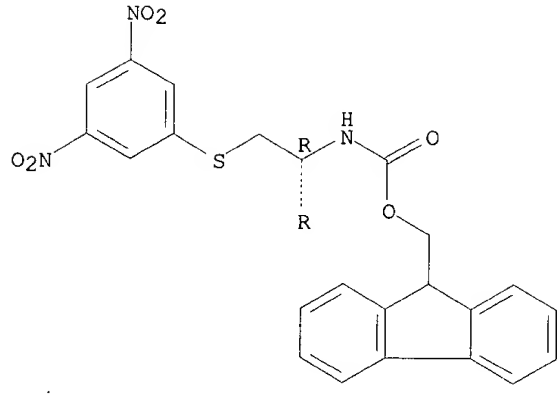
CRN 35164-96-6
CMF (C2 H4 O)n C14 H14 O5 S2
CCI PMS



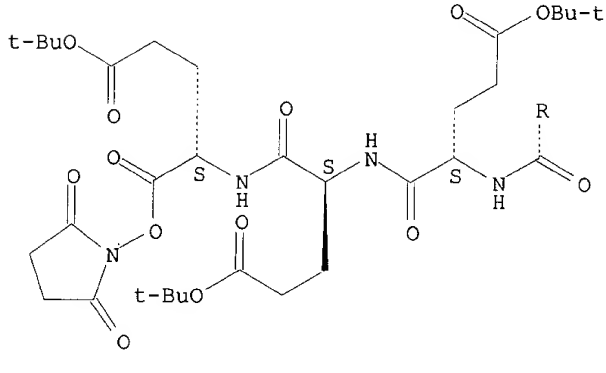
IT **304851-29-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of polymeric drug **conjugate** contg. water-sol. polymers and multifunctional chem. moieties and enzymically cleavable linkers and biol. active agents)
RN 304851-29-4 HCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[S-(3,5-dinitrophenyl)-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-cysteinyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl]oxy]-, tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



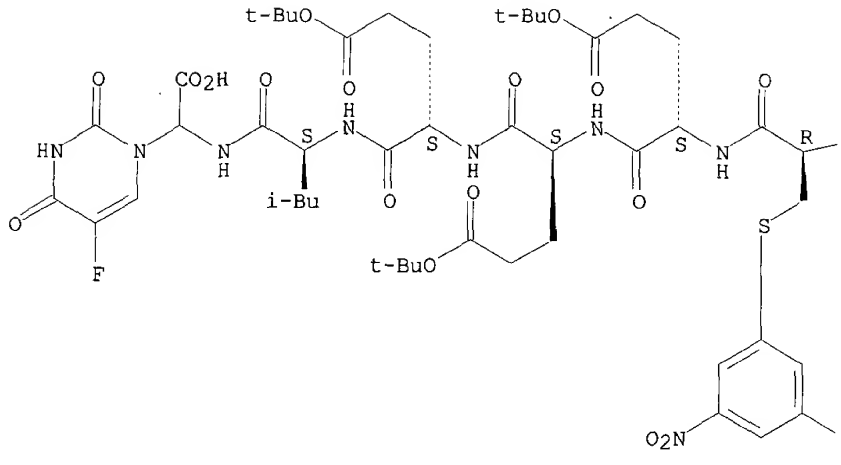
IT **304851-30-7P 304851-31-8P 304851-37-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of polymeric drug **conjugate** contg. water-sol. polymers and multifunctional chem. moieties and enzymically cleavable linkers and biol. active agents)

RN 304851-30-7 HCAPLUS

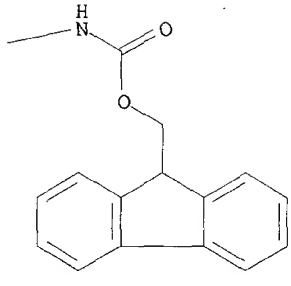
CN Glycine, S-(3,5-dinitrophenyl)-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-cysteinyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-leucyl-2-(5-fluoro-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 2,3,4-tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

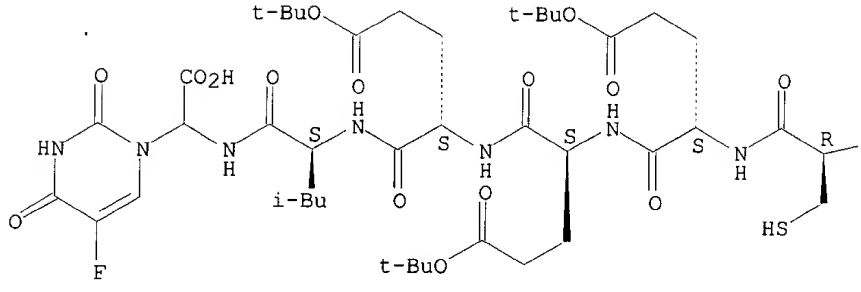


NO_2

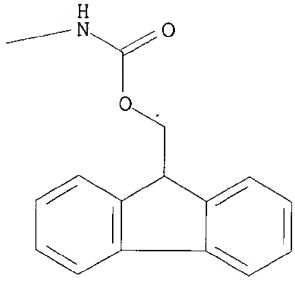
RN 304851-31-8 HCAPLUS
CN Glycine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-cysteinyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-leucyl-2-(5-fluoro-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 2,3,4-tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



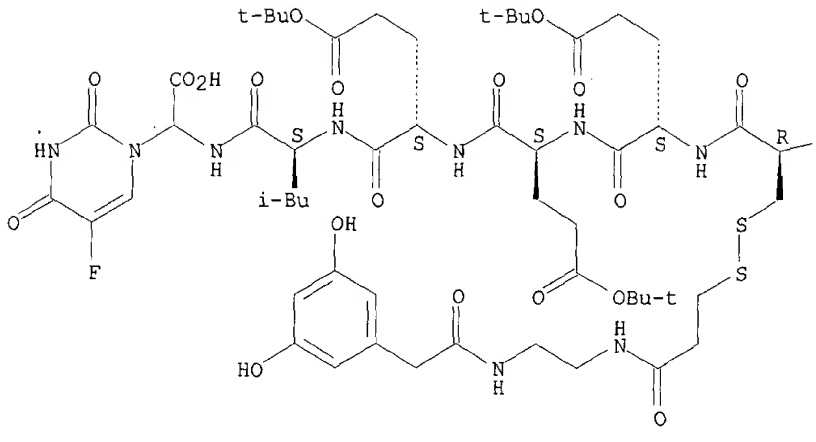
PAGE 1-B



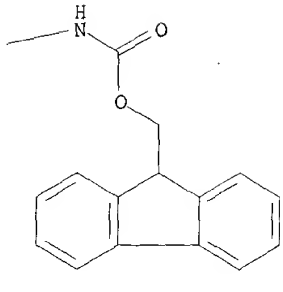
RN 304851-37-4 HCAPLUS
CN Glycine, 3-[[3-[[2-[[(3,5-dihydroxyphenyl)acetyl]amino]ethyl]amino]-3-oxopropyl]dithio]-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-leucyl-2-(5-fluoro-3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 2,3,4-tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L24 ANSWER 7 OF 25 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	2000:458458 HCAPLUS
DOCUMENT NUMBER:	133:238288
TITLE:	A novel synthesis of oligonucleotide-peptide conjugates with a base-labile phosphate linker between the two components according to the allyl-protected phosphoramidite strategy
AUTHOR(S):	Sakakura, Akira; Hayakawa, Yoshihiro
CORPORATE SOURCE:	Laboratory of Bioorganic Chemistry, Graduate School of Human Informatics, Nagoya University, Nagoya, 464-8601, Japan
SOURCE:	Tetrahedron (2000), 56(26), 4427-4435 CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal

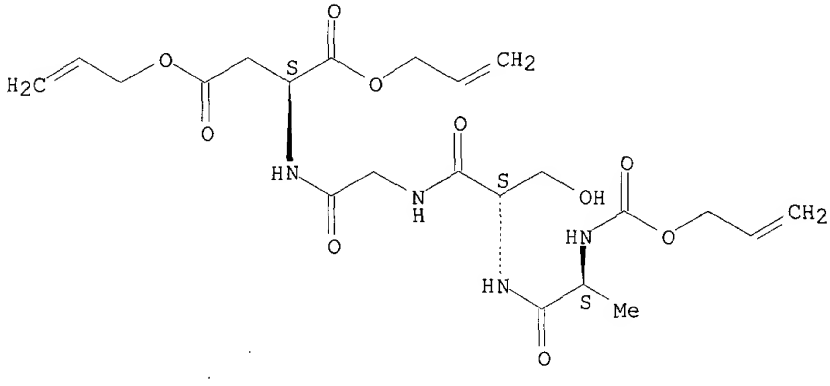
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:238288

AB An efficient synthesis of base-labile nucleotide-peptide conjugates was accomplished, in which the two components are directly linked between the terminal OH of a nucleotide and the OH of a serine or threonine residue of a peptide by a phosphodiester bond. This synthesis utilizes the phosphoramidite method with allyl for the phosphate linkages and the C-terminus of the peptide, and allyloxycarbonyl for the nucleoside bases and the N-terminus of the peptide. The removal of the allylic protecting groups and the detachment of the products was achieved under non-basic or mild basic conditions without conspicuous decompn. of the labile phosphate linker, and thus, the target conjugates were obtained at a high purity and in high yields.

IT **292177-58-3P 292177-59-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of oligonucleotide-peptide **conjugates** with phosphate linker by phosphoramidite strategy)

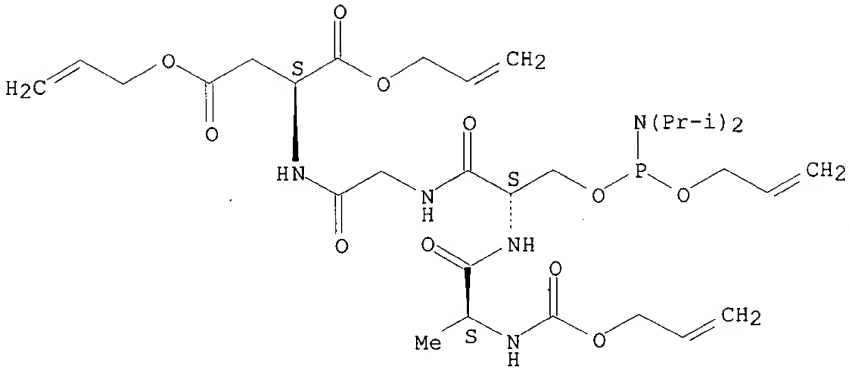
RN 292177-58-3 HCAPLUS
CN L-Aspartic acid, N-[(2-propenyloxy)carbonyl]-L-alanyl-L-serylglycyl-, di-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 292177-59-4 HCAPLUS
CN L-Aspartic acid, N-[(2-propenyloxy)carbonyl]-L-alanyl-O-[[bis(1-methylethyl)amino](2-propenyloxy)phosphino]-L-serylglycyl-, di-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:487221 HCAPLUS
DOCUMENT NUMBER: 131:130287
TITLE: Chemical derivatives of autoantigens and autoimmune-suppressive peptides and pharmaceutical composition containing the same
INVENTOR(S): Bai, Jane Pei-Fan
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937315	A1	19990729	WO 1999-US1884	19990127
W: AU, BR, CA, CN, IL, JP, MX, RU				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9925667	A1	19990809	AU 1999-25667	19990127

PRIORITY APPLN. INFO.:
US 1998-72702P P 19980127
US 1998-90677P P 19980625
US 1998-104663P P 19981016
WO 1999-US1884 W 19990127

AB Compds. are disclosed in which autoantigen, analogs of said autoantigen, peptide fragments of said autoantigen, and analogs of said peptide are chem. conjugated to fatty acids in various forms. Such derivs. effectively modulate the immune responses in an autoantigen-specific way and are therefore useful for autoimmune diseases, such as juvenile diabetes, multiple sclerosis, rheumatoid arthritis, and many others.

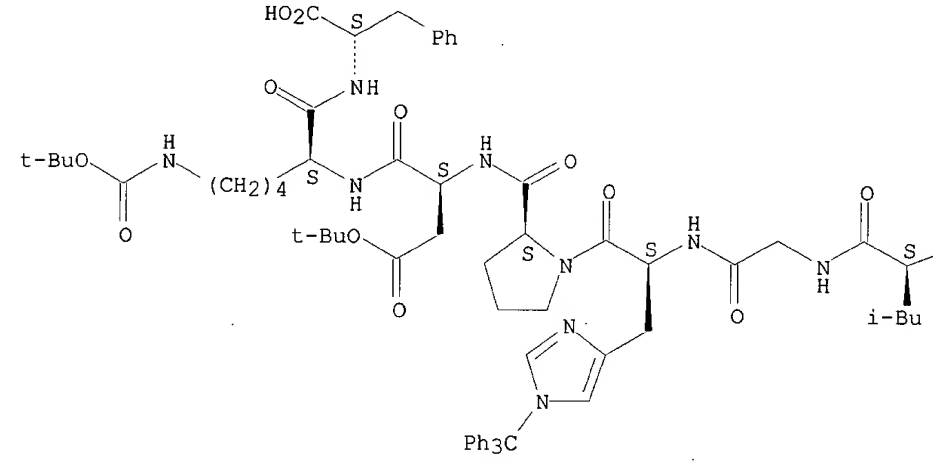
IT **233660-48-5DP**, polyethylene glycol-PS resin **conjugates**
RL: PNU (Preparation, unclassified); PRP (Properties); RCT (Reactant); PREP (Preparation)
(chem. derivs. of autoantigens and autoimmune-suppressive peptides for therapeutic use)

RN 233660-48-5 HCAPLUS
CN L-Phenylalanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-1-(triphenylmethyl)-

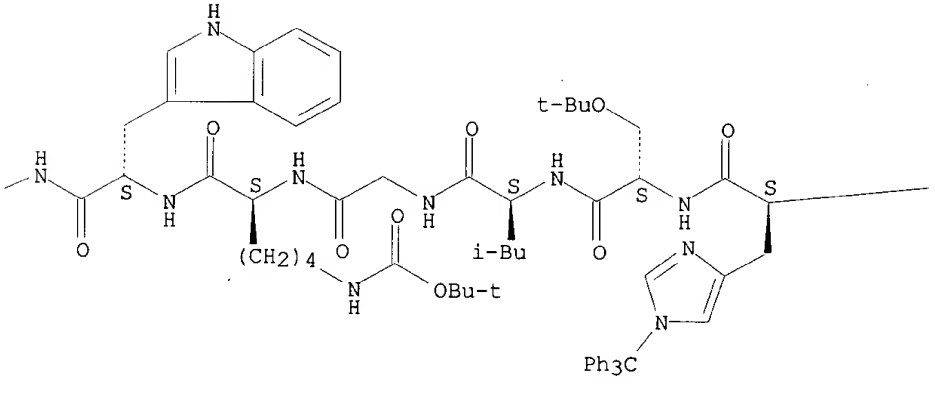
L-histidyl-O-(1,1-dimethylethyl)-L-seryl-L-leucylglycyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-tryptophyl-L-leucylglycyl-1-(triphenylmethyl)-L-histidyl-L-prolyl-L-.alpha.-aspartyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-, 11-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

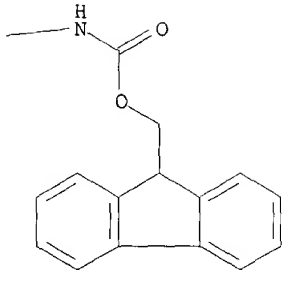
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:133618 HCAPLUS
DOCUMENT NUMBER: 130:187175
TITLE: Conjugates targeted to the interleukin-2 receptor
INVENTOR(S): Prakash, Ramesh K.
PATENT ASSIGNEE(S): Theratech, Inc., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907324	A2	19990218	WO 1998-US16290	19980805
WO 9907324	A3	19990415		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1011705	A2	20000628	EP 1998-939226	19980805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
ZA 9807181	A	19990323	ZA 1998-7181	19980811

PRIORITY APPLN. INFO.: US 1997-914042 A 19970805
WO 1998-US16290 W 19980805

AB A compn. for intracellular delivery of a chem. agent into an interleukin-2-receptor-bearing cell, e.g. an activated T cell, includes a

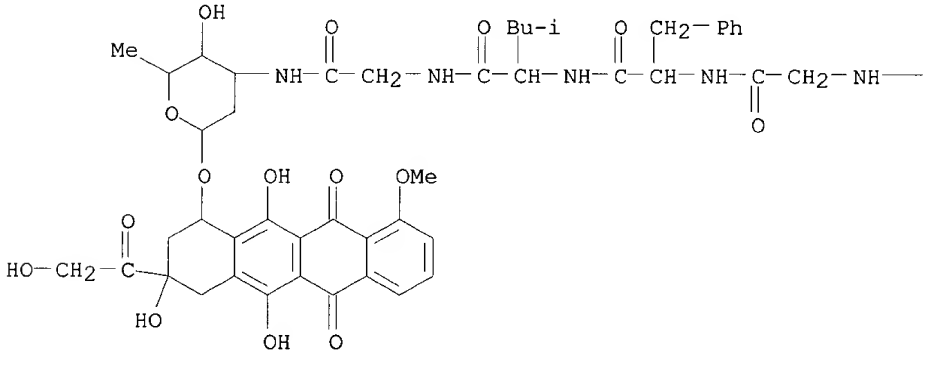
chem. agent and at least two copies of an interleukin-2-receptor-binding and endocytosis-inducing ligand coupled to a water sol. polymer. The ligand binds to a receptor on the interleukin-2-receptor-bearing cell and elicits endocytosis of the compn. The compn. also optionally includes a spacer for coupling the chem. agent and the ligand to the polymer. Chem. agents can include cytotoxins, transforming nucleic acids, gene regulators, labels, antigens, drugs, and the like. A preferred water sol. polymer is polyalkylene oxide, such as polyethylene glycol and polyethylene oxide, and activated derivs. thereof. The compn. can further comprise a carrier such as another water sol. polymer, liposome, or particulate. Methods of using these compns. for delivering a chem. agent in vivo or in vitro are also disclosed.

IT **220680-39-7P**
RL: BAC (Biological activity or effector, except adverse); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**conjugates** targeted to the interleukin-2 receptor)

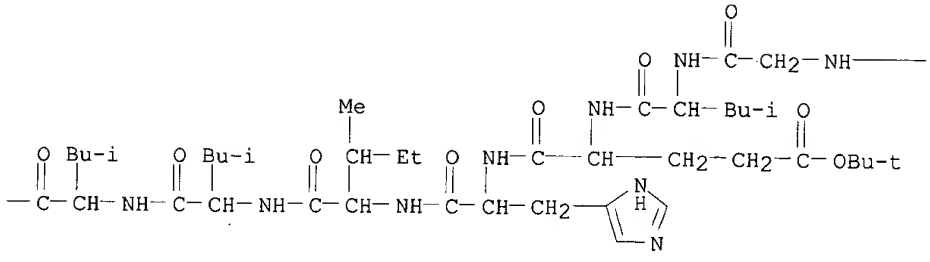
RN 220680-39-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), .alpha.-hydro-.omega.-hydroxy-, 1-ether with (8S,10S)-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-(2-hydroxyethyl)glycyl-L-leucyl-L-.alpha.-glutamyl-L-histidyl-L-isoleucyl-L-leucyl-L-leucylglycyl-L-phenylalanyl-L-leucylglycyl]amino]-.alpha.-L-lyxo-hexopyranosyl]oxy]-5,12-naphthacenedione 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



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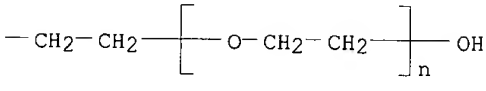


L24 ANSWER 10 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:1383 HCAPLUS
DOCUMENT NUMBER: 128:61804
TITLE: aPL immunoreactive peptides and their conjugates for treatment of aPL antibody-mediated pathologies
INVENTOR(S): Victoria, Edward Jess; Marquis, David Matthew; Jones, David S.; Yu, Lin
PATENT ASSIGNEE(S): Lajolla Pharmaceutical Company, USA; Victoria, Edward Jess; Marquis, David Matthew; Jones, David S.; Yu, Lin
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9746251	A1	19971211	WO 1997-US10075	19970606
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6207160	B1	20010327	US 1996-660092	19960606
AU 9736404	A1	19980105	AU 1997-36404	19970606
AU 734638	B2	20010621		
EP 954531	A1	19991110	EP 1997-933138	19970606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512981	T2	20001003	JP 1998-500927	19970606
NO 9805636	A	19990208	NO 1998-5636	19981203

PRIORITY APPLN. INFO.: US 1996-660092 A2 19960606
US 1996-760508 A 19961205
US 1995-482651 A2 19950607
WO 1997-US10075 W 19970606

AB APL analogs that bind specifically to B cells to which an aPL epitope binds are disclosed. Optimized analogs lacking T cell epitope(s) are useful as conjugates for treating aPL antibody-mediated diseases. Conjugates comprising aPL analogs and nonimmunogenic valency platform mols. are provided as are novel nonimmunogenic valency platform mols. and linkers. Methods of prepg. and identifying said analogs, methods of treatment using said analogs, methods and compns. for prepg. conjugates of said analogs and diagnostic immunoassays for aPL antibodies are disclosed.

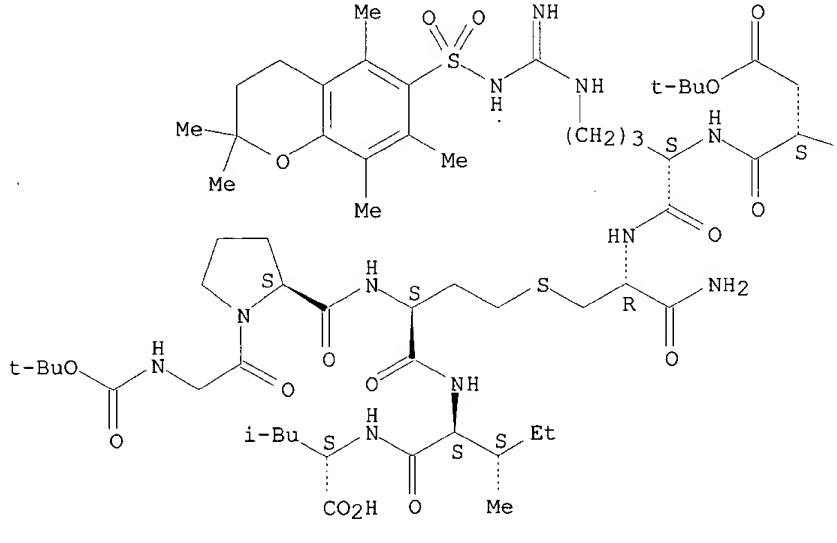
IT **200291-34-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(aPL immunoreactive peptides and their **conjugates** for treatment of aPL antibody-mediated pathologies)

RN 200291-34-5 HCAPLUS

CN L-Cysteinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-leucyl-L-alanyl-2-methyl-L-prolyl-L-.alpha.-aspartyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-, 1,1-dimethylethyl ester, (6.fwdarw.3')-thioether with N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-prolyl-L-homocysteinyl-L-isoleucyl-L-leucine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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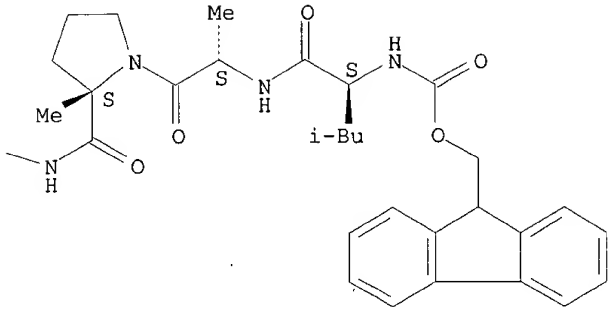
PAGE 1-B



L24 ANSWER 11 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:633658 HCAPLUS
DOCUMENT NUMBER: 127:293529
TITLE: Synthesis and structural characterization of conjugates of adenosine and tetra-aspartate, novel analogs of ATP
AUTHOR(S): Pehk, Tonis; Uri, Asko
CORPORATE SOURCE: Inst. Chemical Physics and Biophysics, Tallinn, EE0026, Estonia
SOURCE: Bioorg. Med. Chem. Lett. (1997), 7(17), 2159-2164
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

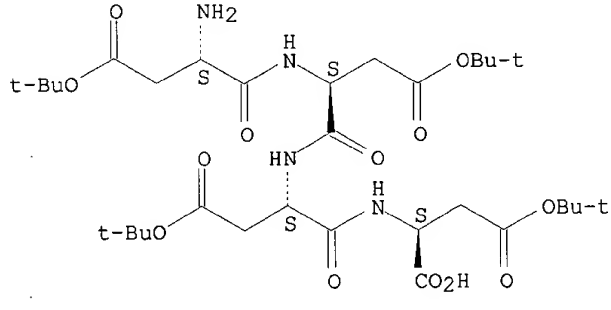
AB Solid phase synthesis of conjugates of adenosine and tetra-aspartate, potential ligands of P2 (ATP) receptors, is described. Different spatial arrangement of the peptide chain relative to the adenosine moiety in these highly charged compds. is shown by 1H and 13C NMR spectroscopy. PKa values for the three internal aspartates and adenine base were detd.

IT **196945-02-5D**, resin bound
RL: RCT (Reactant)
(prepn. and structural characterization of **conjugates** of adenosine and tetra-aspartate, novel analogs of ATP)

RN 196945-02-5 HCAPLUS

CN L-Aspartic acid, L-.alpha.-aspartyl-L-.alpha.-aspartyl-L-.alpha.-aspartyl-, 1,2,3,44-tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 12 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:440179 HCAPLUS
DOCUMENT NUMBER: 127:51009
TITLE: Peptide conjugates derived from thymic hormones and their compositions for use as drugs
INVENTOR(S): Dussourd, D'hinterland Lucien; Pinel, Anne-Marie
PATENT ASSIGNEE(S): Societe D'etude Et De Recherche De Pathologie Appliquee - Serpa, Fr.; Dussourd D'hinterland, Lucien; Pinel, Anne-Marie
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718239	A1	19970522	WO 1996-FR1812	19961115
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2741076	A1	19970516	FR 1995-13544	19951115
FR 2741076	B1	19980130		
CA 2237995	AA	19970522	CA 1996-2237995	19961115
AU 9676832	A1	19970605	AU 1996-76832	19961115
EP 861266	A1	19980902	EP 1996-939132	19961115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000500447	T2	20000118	JP 1997-518639	19961115
US 6211155	B1	20010403	US 1998-68767	19980824

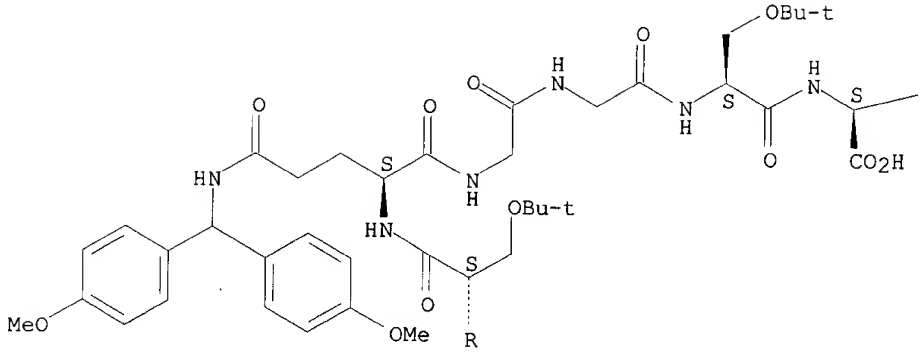
PRIORITY APPLN. INFO.: FR 1995-13544 A 19951115
WO 1996-FR1812 W 19961115
OTHER SOURCE(S): MARPAT 127:51009

AB Peptide conjugates have been synthesized which have a sequence of at least 3 amino acids derived from a thymic hormone selected from thymuline and thymopoletine (the amino acids are in the D, L, or DL form) and in which the sequence is conjugated to a mono- or dicarboxylic acid. The peptide conjugates are used in pharmacetutical or cosmetic compns. Thus, Ac-Pyro-Ala-Lys-Ser-Gln-Gly-Gly-Ser-Asn-NH2 was prepd. and tested in regards to cellular activity.

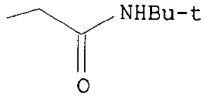
IT **191221-06-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(peptide **conjugates** derived from thymic hormones and their compns. for use as drugs)
RN 191221-06-4 HCAPLUS
CN 2-9-Thymulin (swine peptide moiety), 3-[N6-[(1,1-dimethylethoxy)carbonyl]-L-lysine]-4-[O-(1,1-dimethylethyl)-L-serine]-5-[N-[bis(4-methoxyphenyl)methyl]-L-glutamine]-8-[O-(1,1-dimethylethyl)-L-serine]-9-[N-(1,1-dimethylethyl)-L-asparagine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

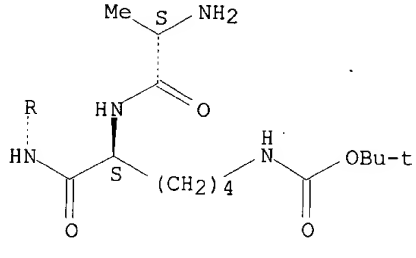
PAGE 1-A



PAGE 1-B

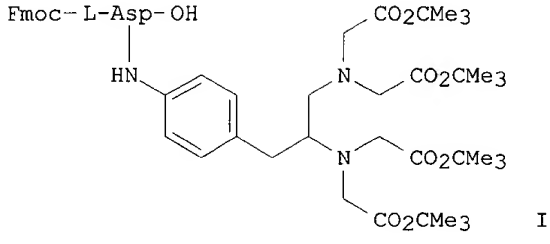


PAGE 2-A



L24 ANSWER 13 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:154992 HCAPLUS
DOCUMENT NUMBER: 126:199815
TITLE: Synthesis of an Amino Acid Analog To Incorporate p-Aminobenzyl-EDTA in Peptides
AUTHOR(S): Song, Anne In.; Rana, Tariq M.
CORPORATE SOURCE: Department of Pharmacology Robert Wood Johnson Medical School, University of Medicine and Dentistry of New Jersey, Piscataway, NJ, 08854, USA
SOURCE: Bioconjugate Chem. (1997), 8(2), 249-252
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:199815
GI



I

AB A convenient and straightforward synthesis of an amino acid analog I (Fmoc = 9-fluorenylmethoxycarbonyl), compatible with Fmoc solid phase peptide synthesis strategy is described. I was used to incorporate p-aminobenzyl-EDTA at an internal sequence position in an HIV-1 Tat protein fragment. After cleavage from the resin and std. deprotection, the peptide was purified by high-performance liq. chromatog. and characterized by mass spectrometry. Through this methodol., flexible linkers of different lengths and contg. various structures can be placed between the .alpha.-carbon backbone of peptides and metal chelates. These peptides will provide a new class of affinity cleaving reagents that can be directed against protein and nucleic acid targets.

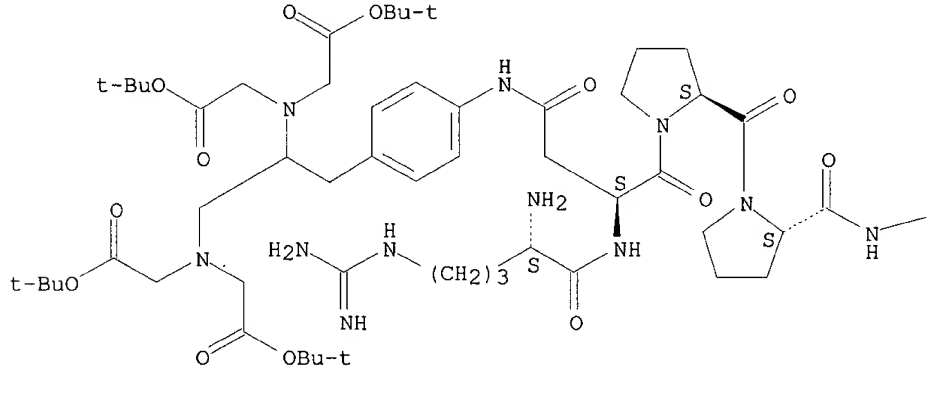
IT **187671-20-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of a protected aspartic acid aminobenzyl-EDTA **conjugate** for incorporation into peptides)

RN 187671-20-1 HCAPLUS

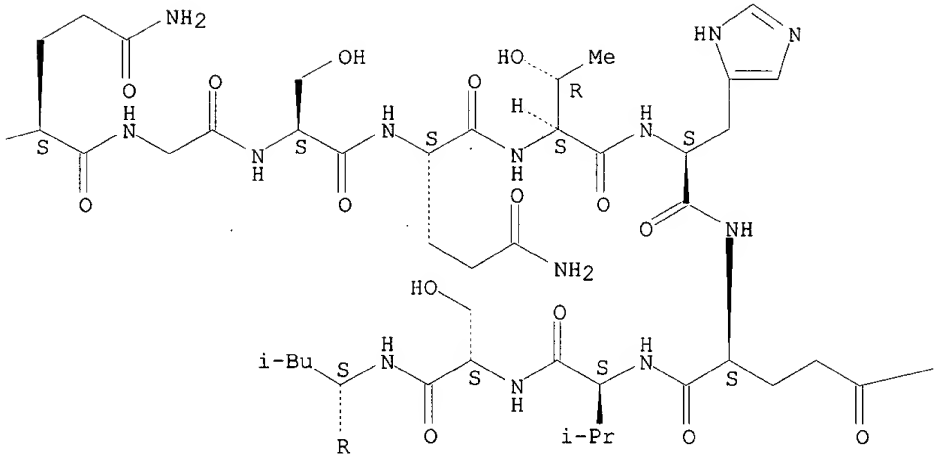
CN L-Glutamine, L-arginyl-N-[4-[2,3-bis[bis[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]propyl]phenyl]-L-asparaginyl-L-prolyl-L-prolyl-L-glutaminylglycyl-L-seryl-L-glutaminyl-L-threonyl-L-histidyl-L-glutaminyl-L-valyl-L-seryl-L-leucyl-L-seryl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

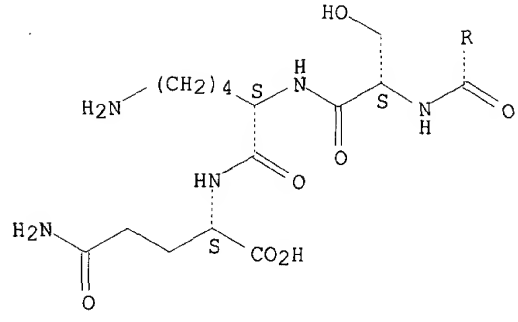


PAGE 1-B



PAGE 1-C

—NH_2



L24 ANSWER 14 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:718838 HCAPLUS
DOCUMENT NUMBER: 126:89748
TITLE: Design and synthesis of flavin-conjugated peptides and assembly on a gold electrode
AUTHOR(S): Sakamoto, Seiji; Aoyagi, Haruhiko; Nakashima, Naotoshi; Mihara, Hisakazu
CORPORATE SOURCE: Dep. Applied Chem., Fac. Eng., Nagasaki Univ., Nagasaki, 852, Japan
SOURCE: J. Chem. Soc., Perkin Trans. 2 (1996), (11), 2319-2326
CODEN: JCPKBH; ISSN: 0300-9580
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Flavin-conjugated peptides composed of one or two amphiphilic .alpha.-helix segments have been designed and synthesized. 7-Acetyl-10-methylisoalloxazine (Fla) as a model flavin has been introduced on the side chain of Cys at the 6th, 7th or 8th position of each .alpha.-helical 14-peptide. A CD study in aq. soln. revealed that the position of Fla on the peptide strongly influenced the peptide secondary structure. Addnl., CD spectra indicated that the Fla in the peptides was oriented in a different manner depending on the position when the peptide took on the .alpha.-helix structure. Furthermore, the flavin-conjugated peptides have been adsorbed on a gold surface through the sulfide linkage, as a basic study for peptidyl devices in the future. By the use of FLA as an electrochem. probe, we examd. properties of the peptide assembled on the gold electrode. The cyclic voltammetry measurements revealed that the functional group, Fla, was redox-active on the electrode and the peptide bound on the surface in a monolayer. Moreover, the flavin-conjugated peptide could mediate the electron transfer from the electrode to Fe(CN)63- ion or cytochrome c in a vector manner. The redox-active probe, Fla, has been demonstrated to provide significant information about the assembly and function of the .alpha.-helix peptides on the gold electrode surface by electrochem. measurements.

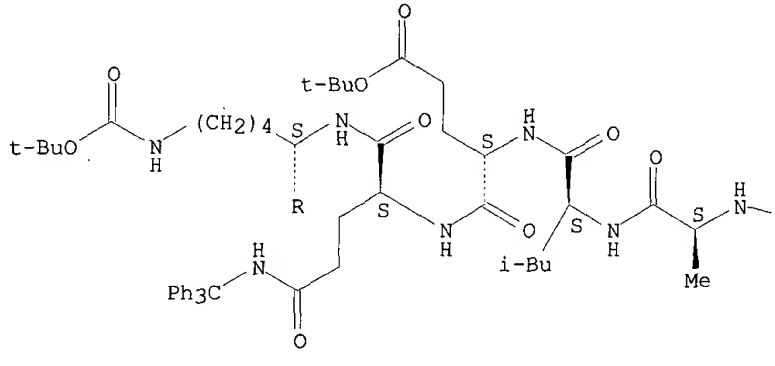
IT **185458-33-7DP**, resin-bound **185458-34-8DP**, resin-bound **185458-35-9DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(design and synthesis of flavin-**conjugated** peptides and assembly on gold electrode)

RN 185458-33-7 HCAPLUS
CN L-Cysteinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-L-leucyl-L-

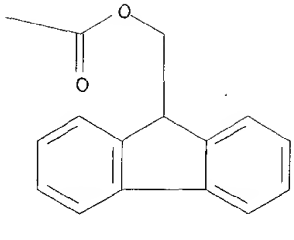
.alpha.-glutamyl-N-(triphenylmethyl)-L-glutaminyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-S-(triphenylmethyl)-L-cysteinyl-L-alanyl-L-alanyl-L-leucyl-L-.alpha.-glutamyl-N-(triphenylmethyl)-L-glutaminyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-alanyl-.beta.-alanyl-S-[(acetylamino)methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

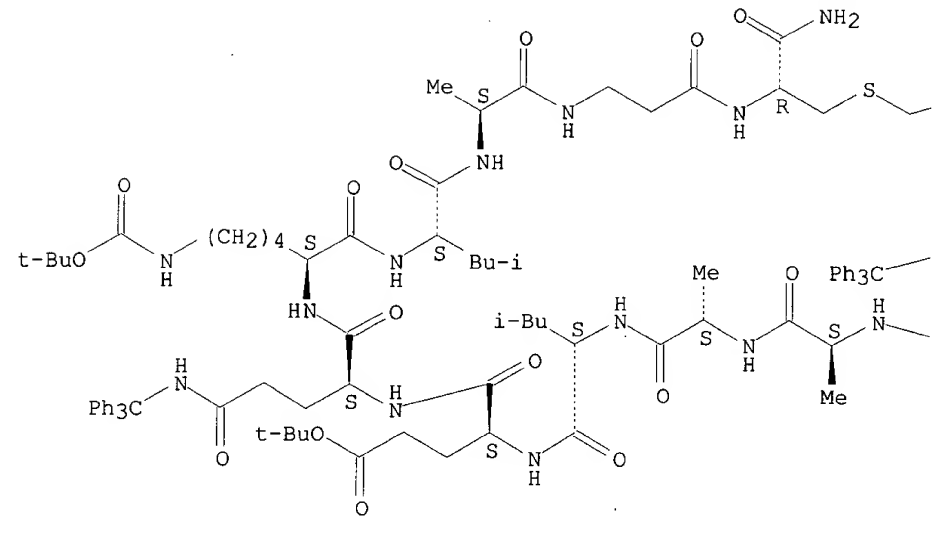
PAGE 1-A



PAGE 1-B



PAGE 2-A



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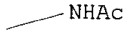
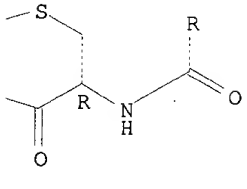
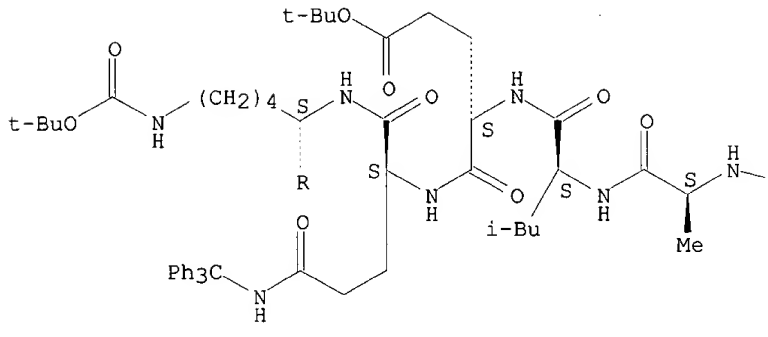




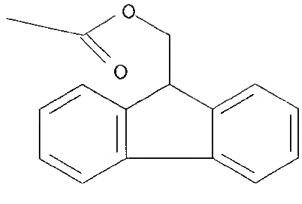
RN 185458-34-8 HCAPLUS
CN L-Cysteinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-L-leucyl-L-.alpha.-glutamyl-N-(triphenylmethyl)-L-glutaminyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-S-(triphenylmethyl)-L-cysteinyl-L-alanyl-L-leucyl-L-.alpha.-glutamyl-N-(triphenylmethyl)-L-glutaminyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-alanyl-.beta.-alanyl-S-[(acetylamino)methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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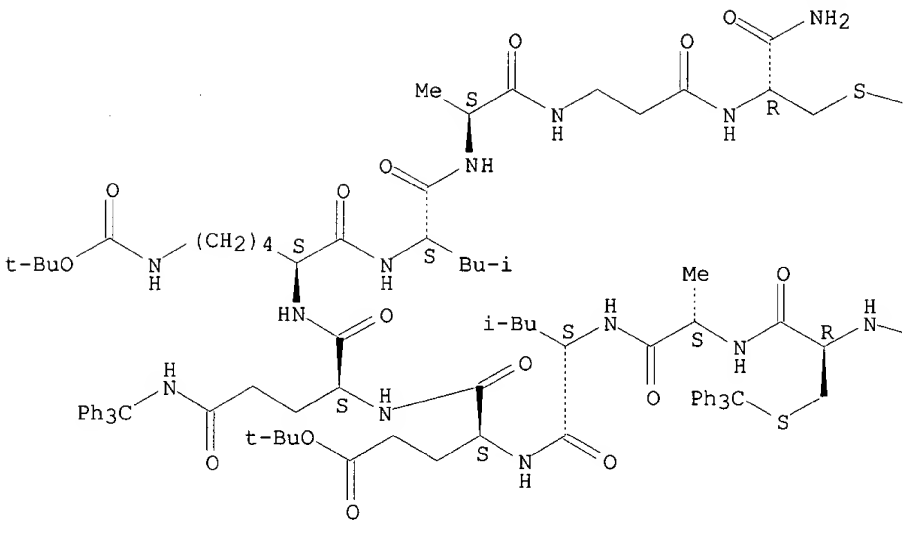

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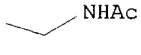
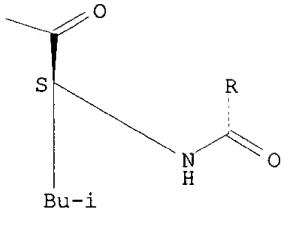


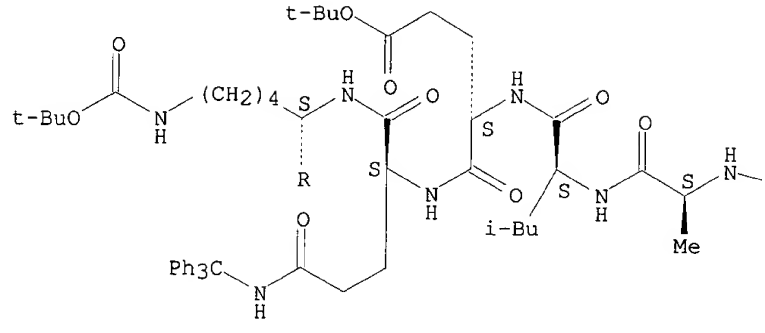


RN 185458-35-9 HCAPLUS
CN L-Cysteinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-alanyl-L-leucyl-L-.alpha.-glutamyl-N-(triphenylmethyl)-L-glutaminyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-alanyl-S-(triphenylmethyl)-L-cysteinyl-L-leucyl-L-.alpha.-glutamyl-N-(triphenylmethyl)-L-glutaminyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-L-leucyl-L-alanyl-.beta.-alanyl-S-[(acetylamino)methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

PAGE 1-A



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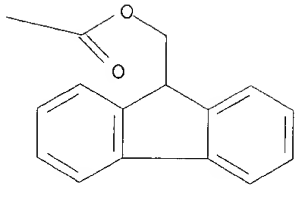
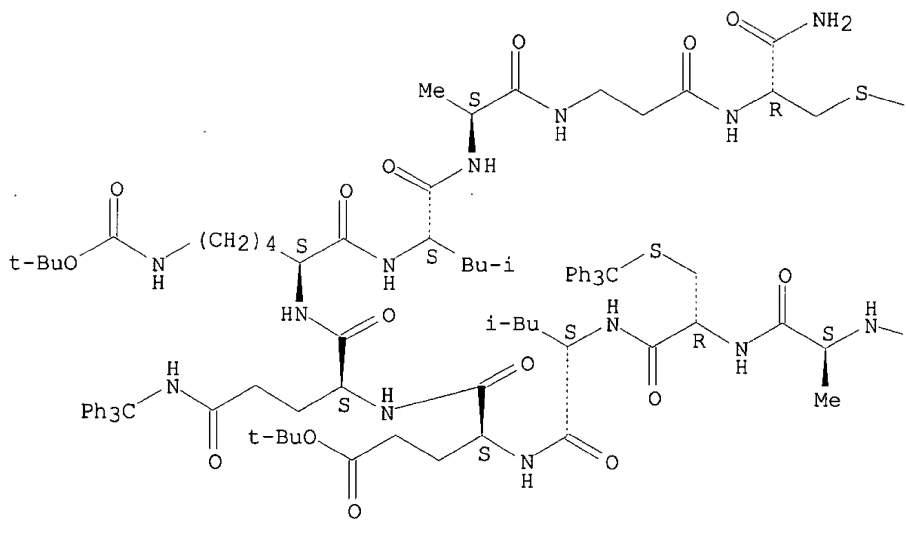


PAGE 2-A



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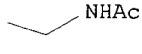
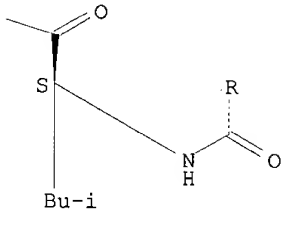




L24 ANSWER 15 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:350538 HCAPLUS
DOCUMENT NUMBER: 125:49330
TITLE: Polypeptides derived from major histocompatibility complex class I antigen for treatment of diabetes mellitus

INVENTOR(S): Mapelli, Claudio; Meyers, Chester A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5516642	A	19960514	US 1992-976872	19921116

OTHER SOURCE(S): MARPAT 125:49330

AB Chem. modified peptides (Markush given) derived from MHC class I antigens are described for use in the treatment of diabetes mellitus. These peptides are more effective than prior art MHC I peptides, are more stable in bioassays, do not aggregate or form gels and can be radioiodinated with retention of activity.

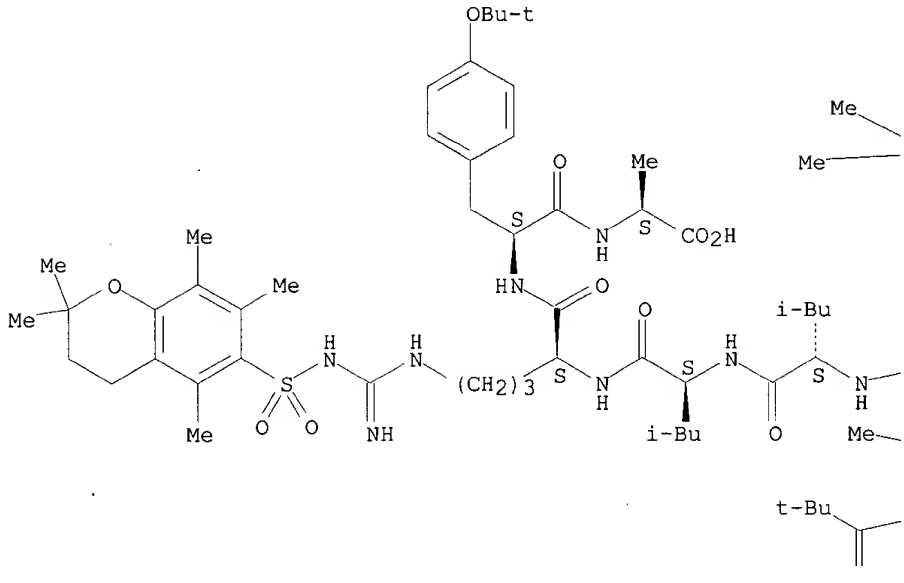
IT **178177-12-3DP**, resin **conjugates**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polypeptides derived from major histocompatibility complex class I antigen for treatment of diabetes mellitus)

RN 178177-12-3 HCAPLUS

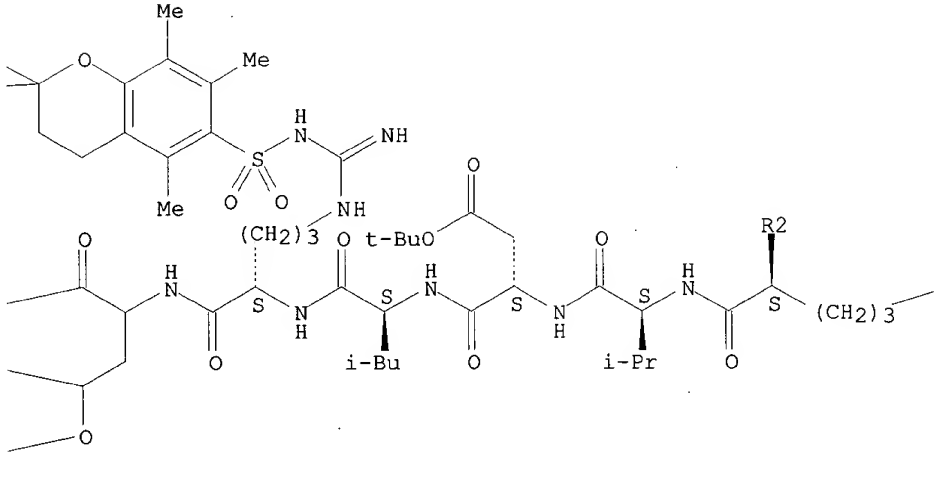
CN L-Alanine, glycyl-L-asparaginyl-L-.alpha.-glutamyl-L-glutaminyl-O-(1,1-dimethylethyl)-L-seryl-L-phenylalanyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-valyl-L-.alpha.-aspartyl-L-leucyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-4-(2,2-dimethyl-1-oxopropoxy)norvalyl-L-leucyl-L-leucyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-O-(1,1-dimethylethyl)-L-tyrosyl-, 3,9-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

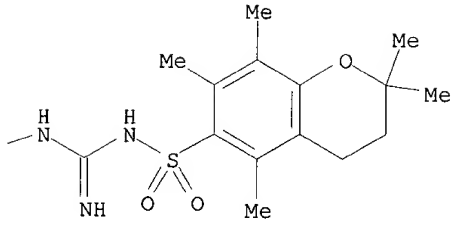
PAGE 1-A



PAGE 1-B



PAGE 1-C



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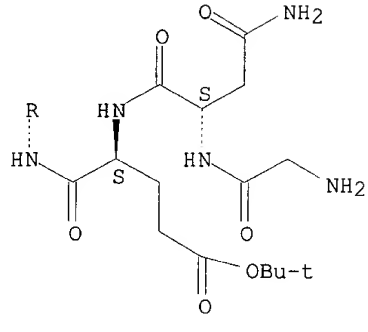
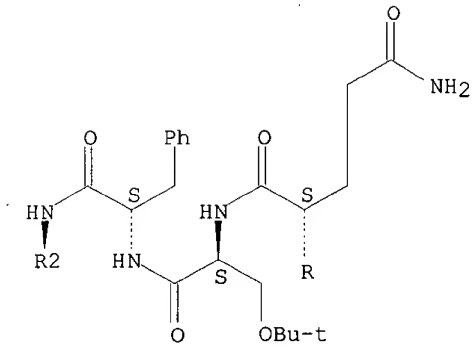




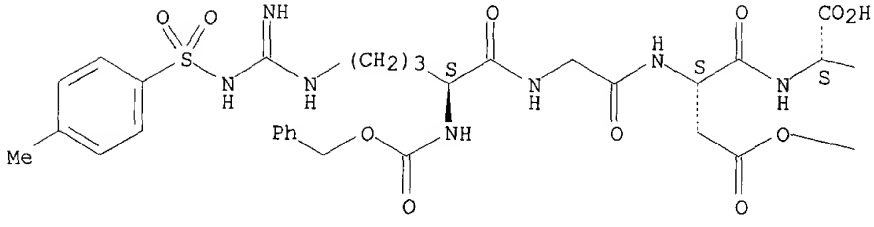
L24 ANSWER 16 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:27001 HCAPLUS
DOCUMENT NUMBER: 124:203074
TITLE: Amino acids and peptides. XXV. Preparation of fibronectin-related peptide poly(ethylene glycol) hybrids and their inhibitory effect on experimental metastasis
AUTHOR(S): Kawasaki, Koichi; Namikawa, Machiko; Yamashiro, Yuko; Iwai, Yuji; Hama, Takao; Tsutsumi, Yasuo; Yamamoto, Susumu; Nakagawa, Shinsaku; Mayumi, Tadanori
CORPORATE SOURCE: Fac. Pharmaceutical Sciences, Kobe Gakuin Univ., Kobe, 651-21, Japan
SOURCE: Chem. Pharm. Bull. (1995), 43(12), 2133-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Hybrids of fibronectin-related peptides [Arg-Gly-Asp (RGD), Arg-Gly-Asp-Ser (RGDS)] and poly(ethylene glycol) (PEG) were prepd. and their inhibitory effects on exptl. metastasis in mice were examd. The inhibitory effect of RGD was markedly potentiated by hybrid formation with poly(ethylene glycol) 6000. As to inhibitory effect, RGD was more potent than RGDS and RGD PEG hybrids were superior to RGDS PEG hybrids. Hybrid formation with PEG 6000 was more effective than that with PEG 4000.

IT **174276-48-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antitumor activity of peptide-polyethylene glycol **conjugates**)
RN 174276-48-3 HCAPLUS
CN L-Serine, N-[N-[N-[N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-N2-[(phenylmethoxy)carbonyl]-L-ornithyl]glycyl]-L-.alpha.-aspartyl]-O-(phenylmethyl)-, 4-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A



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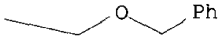
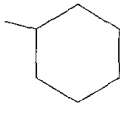




L24 ANSWER 17 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:837578 HCAPLUS
DOCUMENT NUMBER: 123:334348
TITLE: Methods for the solid phase synthesis of glycoconjugates
INVENTOR(S): Vetter, Dirk; Tumelty, David; Antonenko, Valery
PATENT ASSIGNEE(S): Affymax Technologies N.V., Neth.
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518971	A1	19950713	WO 1995-US484	19950110
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9516029	A1	19950801	AU 1995-16029	19950110

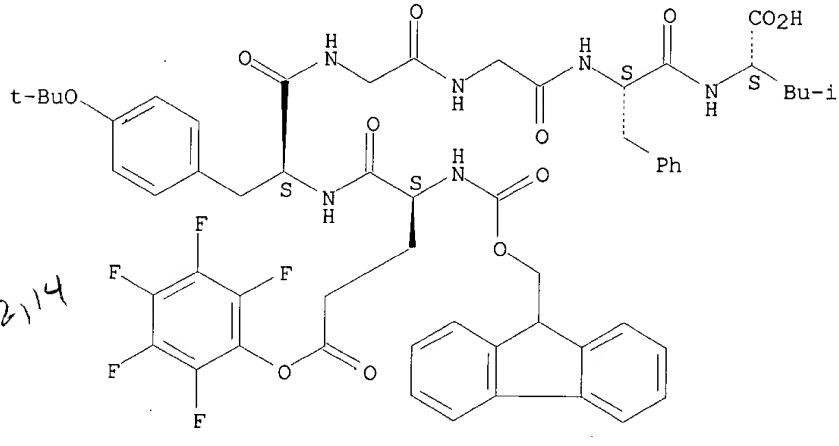
PRIORITY APPLN. INFO.: US 1994-179741 19940111
US 1994-201607 19940225
WO 1995-US484 19950110

AB An efficient and versatile method of forming N-linked glycoconjugates is described wherein a glycosyl acceptor, typically comprising an activated carboxyl group, is reacted with a glycosylating agent, typically a

glycosyl amine, in the presence of a coupling catalyst and optionally an exogenous base. Depending on the choice of reactive site, this method can be used to form N-linked glycoconjugates, in either a sol. or substrate-bound, linear or branched format.

IT **168423-84-5DP**, resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(methods for solid-phase synthesis of **glycoconjugates**)
RN 168423-84-5 HCAPLUS
CN L-Leucine, N-[N-[N-[N-[O-(1,1-dimethylethyl)-N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-.alpha.-glutamyl]-L-tyrosyl]glycyl]glycyl]-L-phenylalanyl]-, 5-(pentafluorophenyl) ester (9CI) (CA INDEX NAME)

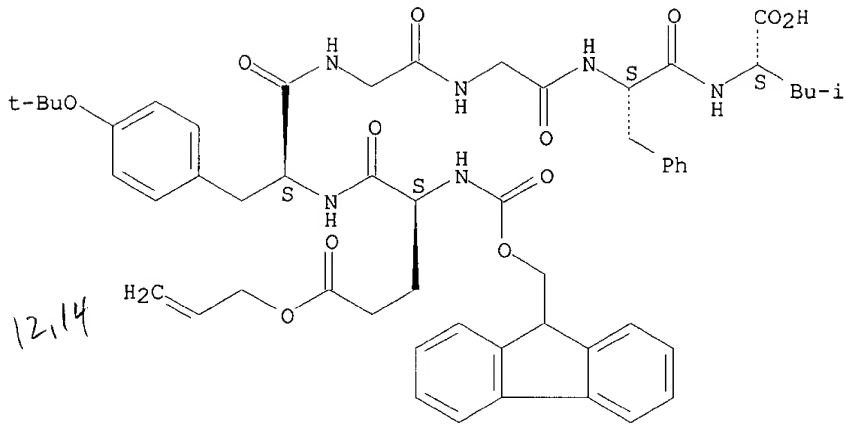
Absolute stereochemistry.



12/14

IT **168423-82-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(methods for solid-phase synthesis of **glycoconjugates**)
RN 168423-82-3 HCAPLUS
CN L-Leucine, N-[N-[N-[N-[O-(1,1-dimethylethyl)-N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-.alpha.-glutamyl]-L-tyrosyl]glycyl]glycyl]-L-phenylalanyl]-, 5-(2-propenyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



12,14

L24 ANSWER 18 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:729167 HCAPLUS
DOCUMENT NUMBER: 123:103526
TITLE: Amino acid substituted analogs of atrial natriuretic peptides that retains their activity and with specificity for the A receptor
INVENTOR(S): Lowe, David; Cunningham, Brian C.; Oare, David; McDowell, Robert S.; Burnier, John
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513296	A1	19950518	WO 1994-US12591	19941104
W: AU, CA, CN, CZ, JP, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2174517	AA	19950518	CA 1994-2174517	19941104
AU 9519349	A1	19950529	AU 1995-19349	19941104
EP 728147	A1	19960828	EP 1995-901112	19941104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09505049	T2	19970520	JP 1994-513878	19941104
US 5665704	A	19970909	US 1995-451240	19950525
US 5846932	A	19981208	US 1995-470846	19950606

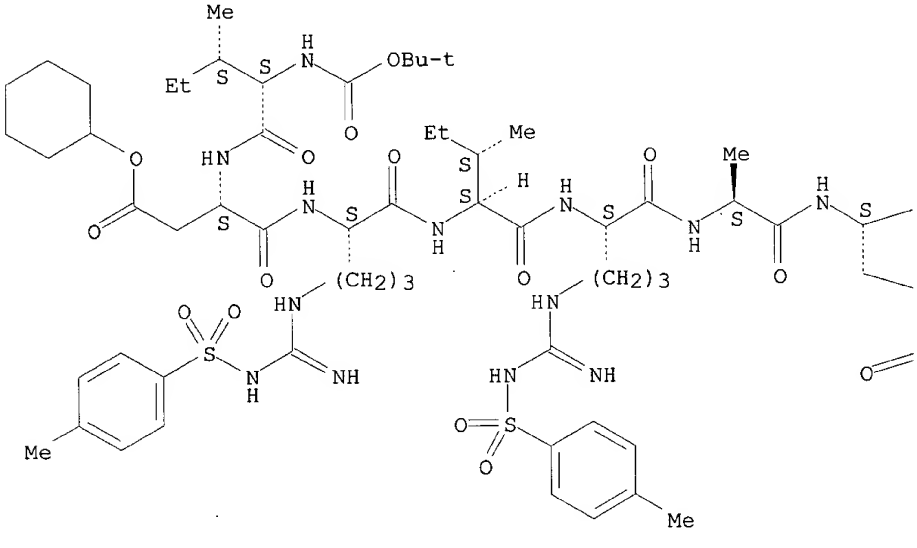
PRIORITY APPLN. INFO.:
US 1993-152994 19931112
WO 1994-US12591 19941104
US 1995-362552 19950106
US 1995-419877 19950411

AB Amino acid substituted human receptor selective atrial natriuretic factor variants, esp. G16R, show equal potency and binding affinity for the human A-receptor but have decreased affinity for the human clearance or C-receptor. These ANF variants have natriuretic, diuretic and vasorelaxant activity but have increased metabolic stability, making them suitable for treating congestive heart failure, acute kidney failure and renal hypertension.

IT **166098-79-9DP, conjugates** with PAM resin
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(atriopeptin analog, amino acid sequence; amino acid substituted analogs of atrial natriuretic peptides that retains their activity and with specificity for receptor)
RN 166098-79-9 HCAPLUS
CN 8-24-Atrial natriuretic peptide-24 (rat reduced), N-[(1,1-dimethylethoxy)carbonyl]-10-[N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithine]-12-[N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithine]-15-[O-(phenylmethyl)-L-serine]-19-[S-[(4-methylphenyl)methyl]-L-cysteine]-21-[O-(phenylmethyl)-L-serine]-23-[N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithine]-, 9-cyclohexyl ester, (2-bromophenyl)methyl carbonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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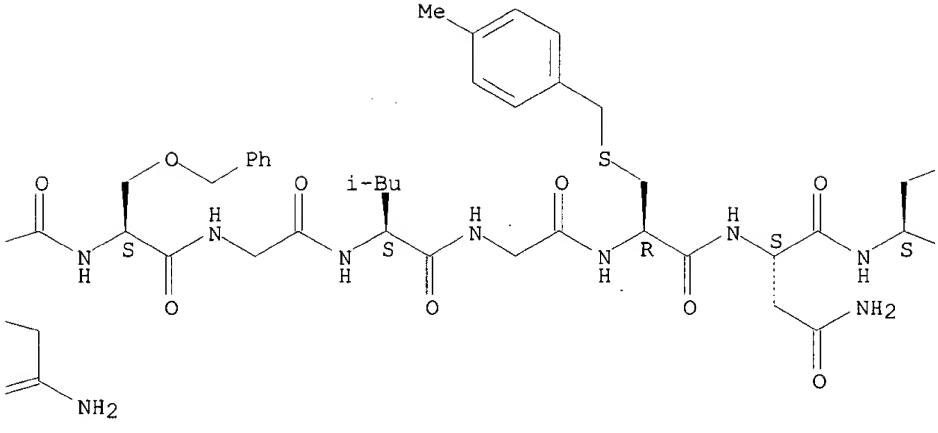

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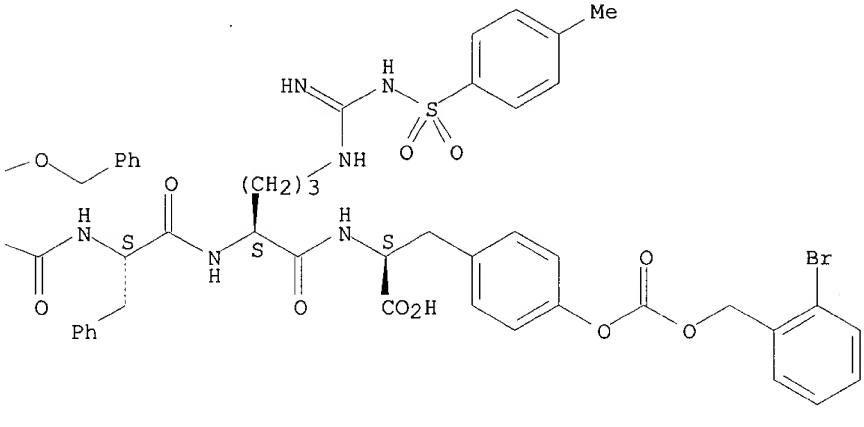


L24 ANSWER 19 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:655655 HCAPLUS
DOCUMENT NUMBER: 123:81520
TITLE: Polytuftsin: its possible effects and mechanism during macrophage activation
AUTHOR(S): Dhawan, P.; Nath, I.; Rao, D. N.
CORPORATE SOURCE: Biochemistry and, New, DELHI-110029, India
SOURCE: Immunol. Lett. (1995), 46(1,2), 177-82
CODEN: IMLED6; ISSN: 0165-2478
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Polytuftsin (PT) a 35-40 repeat unit of tuftsin (TKPR), when administered as a conjugate with the malarial peptide, ring-infected erythrocyte surface antigen (RESA), enhanced antigen-induced lymphoproliferation and

antibody levels in mice as compared to RESA alone. This enhancement was unrelated to the H-2 background of the animals. The present study was undertaken with a view to understanding the mechanism(s) responsible for this immune enhancement. Peritoneal adherent cells (PAC) from H-2b and H-2d mice were incubated with RESA alone, PT-conjugated RESA, a phys. mixt. of RESA+PT and PT alone. They were subsequently evaluated for I-A expression using monoclonal antibodies and flow cytometry as well as cell-ELISA. Significant increase in I-A expression on PAC was obsd. in all 4 groups as compared to untreated cells. Whereas cells treated with PT-conjugated RESA showed highly significant increase in I-A ($P<0.001$), the other groups showed moderate increase ($P<0.05$). This enhancement was attributable to increase in the no. of I-A-pos. cells rather than I-A mols. per cell. Moreover, IL-1 release, as assayed by bioassay, was significantly higher in cells treated with conjugated RESA as compared to cells treated with RESA or PT alone ($P<0.05$). Thus, it would appear that PT-conjugated RESA peptide of the malarial antigen selectively enhances major histocompatibility complex (MHC) class II mols. on antigen-presenting cells (APC) and may therefore improve immune functions by stimulating better antigen presentation and proliferation of T cells.

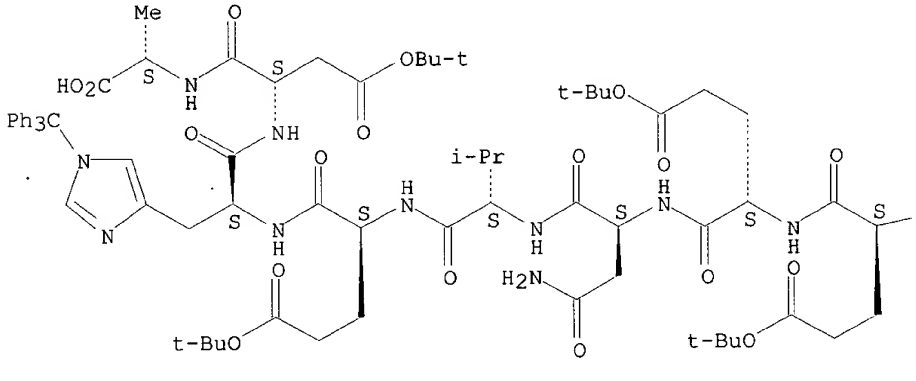
IT **116470-02-1D, conjugate** with polytuftsin
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(macrophage activation by polytuftsin-RESA antigen peptide **conjugates**)

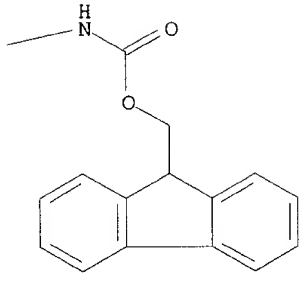
RN 116470-02-1 HCAPLUS

CN L-Alanine, N-[N-[N-[N-[N-[N2-[N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-.alpha.-glutamyl]-L-.alpha.-glutamyl]-L-asparaginyl]-L-valyl]-L-.alpha.-glutamyl]-1-(triphenylmethyl)-L-histidyl]-L-.alpha.-aspartyl]-, 4,5,5',5''-tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L24 ANSWER 20 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:627362 HCAPLUS
DOCUMENT NUMBER: 121:227362
TITLE: A new inhibitor of the chymotrypsin-like activity of the multicatalytic proteinase complex (20S proteasome) induces accumulation of ubiquitin-protein conjugates in a neuronal cell
AUTHOR(S): Figueiredo-Pereira, Maria E.; Berg, Kelly A.; Wilk, Sherwin
CORPORATE SOURCE: Mount Sinai Sch. Med., CUNY, New York, NY, USA
SOURCE: J. Neurochem. (1994), 63(4), 1578-81
CODEN: JONRA9; ISSN: 0022-3042
DOCUMENT TYPE: Journal
LANGUAGE: English

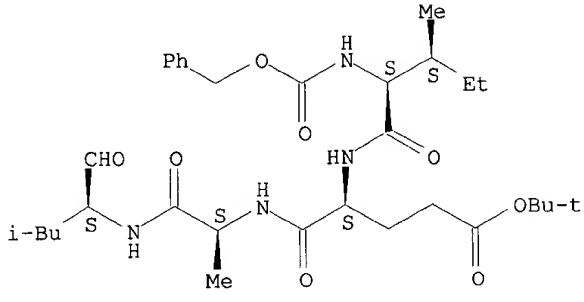
AB Exposure of HT4 cells (a mouse neuronal cell line) to a new potent permeable peptidyl aldehyde inhibitor of the chymotrypsin-like activity of the multicatalytic proteinase complex (MPC) causes accumulation of ubiquitinylated proteins. In contrast, inhibition of calpain or treatment with a lysosomotropic agent failed to produce detectable ubiquitin-protein conjugates. The appearance of such conjugates is not a nonspecific phenomenon because incubation with the peptidyl alc. analog of the inhibitor does not produce accumulation of ubiquitinylated proteins. The MPC inhibitor may therefore be a useful tool for identification and study of physiol. pathways involving MPC. Furthermore, the inhibitor may help develop a model for the study of neurodegeneration where accumulation of ubiquitin-protein conjugates is commonly detected in abnormal brain inclusions.

IT **158442-41-2**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(a new inhibitor of the chymotrypsin-like activity of the multicatalytic proteinase complex (20S proteasome) induces accumulation of ubiquitin-protein **conjugates** in a neuronal cell)

RN 158442-41-2 HCAPLUS
CN L-Alaninamide, N-[(phenylmethoxy)carbonyl]-L-isoleucyl-L-.alpha.-glutamyl-N-[(1S)-1-formyl-3-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX

NAME)

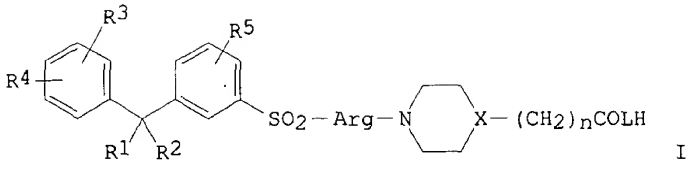
Absolute stereochemistry.



L24 ANSWER 21 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:473891 HCAPLUS
DOCUMENT NUMBER: 121:73891
TITLE: Peptide derivatives corresponding to the carboxy terminal sequence of hirudin
INVENTOR(S): Brundish, Derek Edward; Rink, Hans; Gruetter, Markus; Priestle, John Peter; Schmitz, Albert
PATENT ASSIGNEE(S): Ciba-Geigy A.-g., Switz.; UCP GEN-Pharma AG
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9322344	A1	19931111	WO 1993-EP908	19930415
W: AU, CA, JP, KR, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9339533	A1	19931129	AU 1993-39533	19930415
AU 674513	B2	19970102		
EP 637318	A1	19950208	EP 1993-908944	19930415
EP 637318	B1	19980401		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07505896	T2	19950629	JP 1993-518866	19930415
AT 164595	E	19980415	AT 1993-908944	19930415
ZA 9302876	A	19941019	ZA 1993-2876	19930423
US 5686564	A	19971111	US 1994-325253	19941020
PRIORITY APPLN. INFO.:			GB 1992-9032	19920425
			WO 1993-EP908	19930415

OTHER SOURCE(S): MARPAT 121:73891
GI



I

AB Novel compds. ((I) R1, R2 = H, C1-C4 alkyl or R1+R2 = C3-C7 cycloalkyl; R3, R4, R5 independently H, C1-C4 alkyl, OH, OR6, SR6, halogen, NR7R8, NO2, CN, CONR7R8 or CO2R9; R6 = C1-C4 alkyl or C7-C10 aralkyl and R7, R8 and R9 are independently H, C1-C4 alkyl or C7-C10 aralkyl or R7 + R8 and the N atom to which they are bound form 5 or 6 membered azacyloalkyl or oxazacyloalkyl; Arg = arginine; X = CH, N; n is an integer from 0 to 7; L is a peptide linker, and H is the carboxy terminal end of hirudin), or their salts are useful for the treatment or prevention of thrombosis or diseases caused by thrombosis or for the detn. of thrombin in blood as diagnostic reagents. The C-terminal decapeptide of hirudin was synthesized as a resin bound, protected peptide with an N-terminal extension of GGGGN by Fmoc chem. T-butoxycarbonyl Arg(NO2)-OH 11.7 g in DMF 60 mL was incubated with N-Me morpholine 4.04 mL and iso-Bu chloroformate 4.8 mL at -10.degree. and mixed with an equal vol. of DMF contg. N-Me morpholine 4.04 mL and 4-(2-carboxyethyl)piperidine Me ester acetate salt 8.5 g to give 1-((S)-N.alpha.-t-butyloxycarbonyl-N.omega.-nitroarginyl)-4-(2-carboxyethyl)piperidine Me ester. The t-butyloxycarbonyl was cleaved to give 1-((S)-N.omega.-nitroarginyl)-4-(2-carboxyethyl)piperidine Me ester hydrochloride that was then conjugated with 3-(.alpha.,.alpha.-dimethylbenzyl) benzenesulfonyl chloride to give 1-(N.alpha.-3-(.alpha.,.alpha.-dimethylbenzyl)benzenesulfonyl-(S)-arginyl)-4-(2-carboxyethyl)-piperidine Me ester acetate salt. The ester was then hydrolyzed to the give the hydrochloride: 1-(N.alpha.-3-(.alpha.,.alpha.-dimethylbenzyl)benzenesulfonyl-(S)-arginyl)-4-(2-carboxyethyl)-piperidine hydrochloride (II). The free base of II was then incubated with the protected hirudin peptide in the presence of TBTU and diisopropylethylamine followed by acid cleavage of the conjugate from the carrier and deprotection.

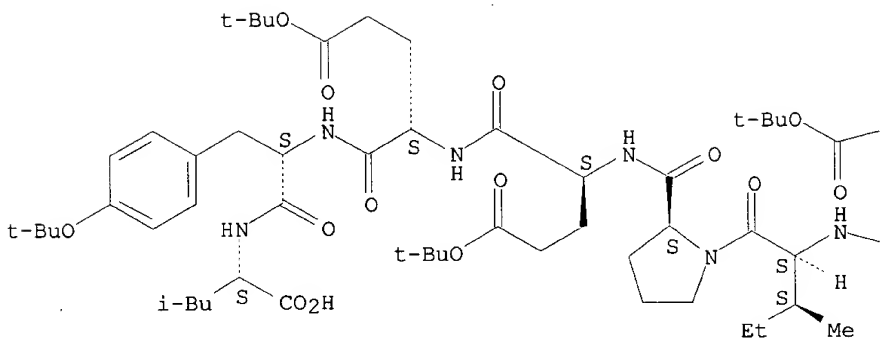
IT **154938-66-6DP**, resin **conjugates** **154971-80-9DP**, resin **conjugates**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reactions of, in prepn. dimethylbenzenesulfonyl arginyl piperidine derivs. of hirudin for use as antithrombotics)

RN 154938-66-6 HCAPLUS

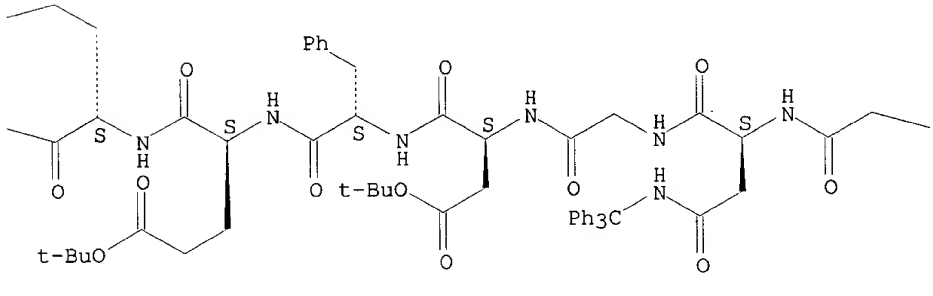
CN L-Leucine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]glycylglycylglycylglycyl-N-(triphenylmethyl)-L-asparaginylglycyl-L-.alpha.-aspartyl-L-phenylalanyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-isoleucyl-L-prolyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-O-(1,1-dimethylethyl)-L-tyrosyl-, 7,9,10,13,14-pentakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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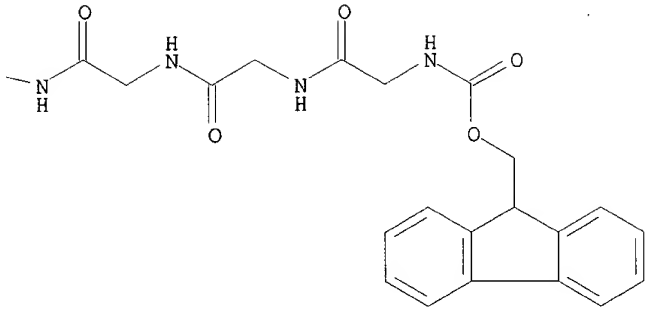
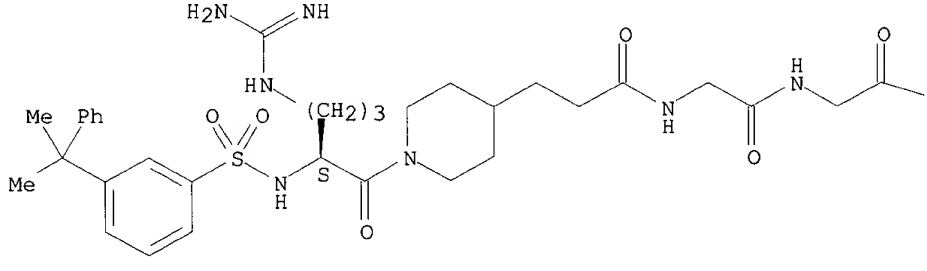


RN 154971-80-9 HCAPLUS
CN L-Leucine, N-[3-[1-[5-[(aminoiminomethyl)amino]-2-[[[3-(1-methyl-1-phenylethyl)phenyl]sulfonyl]amino]-1-oxopentyl]-4-piperidinyl]-1-oxopropyl]glycylglycylglycylglycyl-N-(triphenylmethyl)-L-asparaginylglycyl-L-.alpha.-aspartyl-L-phenylalanyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-isoleucyl-L-prolyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-O-(1,1-dimethylethyl)-L-tyrosyl-, 7,9,10,13,14-pentakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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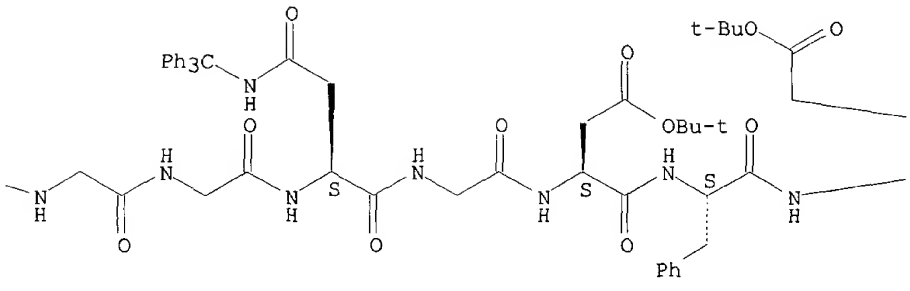

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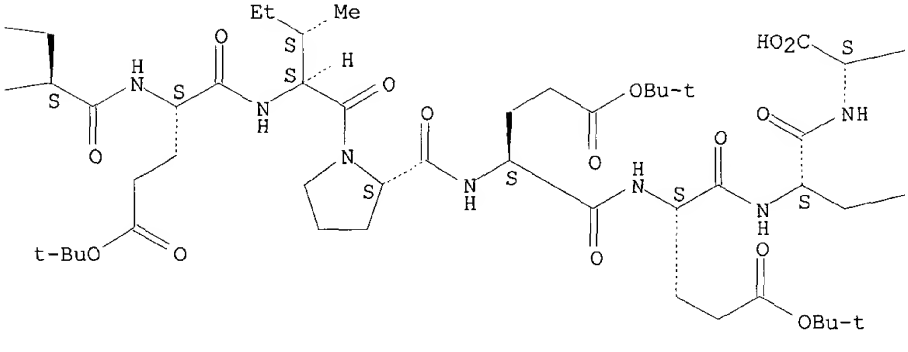
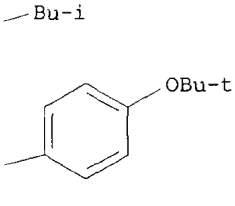


PAGE 1-D



L24 ANSWER 22 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:55012 HCAPLUS
DOCUMENT NUMBER: 120:55012
TITLE: Preparation of peptide with cell adhesion activity and polymeric modification thereof
INVENTOR(S): Azuma, Ichiro; Saiki, Ikuo; Kusunose, Naoto; Ikeda, Yoshiharu; Ono, Keiichi
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9312140	A1	19930624	WO 1992-JP1594	19921207
W: CA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 05170796	A2	19930709	JP 1991-355319	19911219
JP 3235855	B2	20011204		

PRIORITY APPLN. INFO.: JP 1991-355319 A 19911219
OTHER SOURCE(S): MARPAT 120:55012
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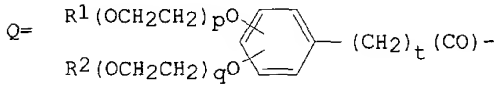
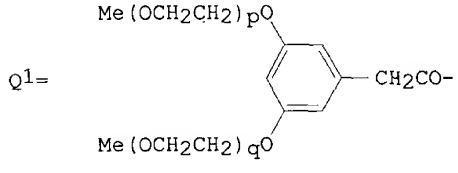




AB R-(Arg-Gly-Asp-Thr)n-OH [I; n = 5-20; R = H, polyethylene glycol Q or R3(OCH2CH2)kO(CO)(CH2)u(CO); wherein R1, R2, R3 = lower alkyl; k, p, q = any pos. integer to make the av.-mol.-wt. of the polyethylene glycol portion .apprx.1,000 to .apprx.12,000; t, u = 0, any pos. integer], useful as cancer metastasis, blood platelet aggregation, and bone absorption inhibitors, are prepd. Thus, condensation of Boc-Arg(Tos)-Gly-[Asp(OcHex)-Thr(Bzl)-Arg(Tos)-Gly]4-OH (Tos = p-MeC6H4SO2, cHex = cyclohexyl, Bzl = CH2Ph) (prepn. given) with H-[Asp(OcHex)-Thr(Bzl)-Arg(Tos)-Gly]6-Asp(OcHex)-Thr(Bzl)-OBzl (prepn. given) in the presence of 1-ethyl-2-(3-diethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in DMF and N-methylpyrrolidinone at 5-10.degree. followed by deprotection with HF in anisole and MeSSEt and purifn. using reversed phase HPLC gave I (n = 11, R = H) (II). N-acylation of II with hydrocinnamic acid deriv. Q1-OSu (Su = N-succinimidyl) (av.-mol.-wt. .apprx.10,000) in 0.1M borate buffer at room temp. gave, after purifn. using reversed phase HPLC, a II-polyethylene glycol conjugate I (n = 11, R = Q1) (III). II at 500 .mu.g and III at 40-1,000 .mu.g inhibited the metastasis of B16-BL6 melanoma cells to lungs in mice. Also prepd. were I

(n = 1,3,5,7,9) and 5 polyethylene glycol conjugates .

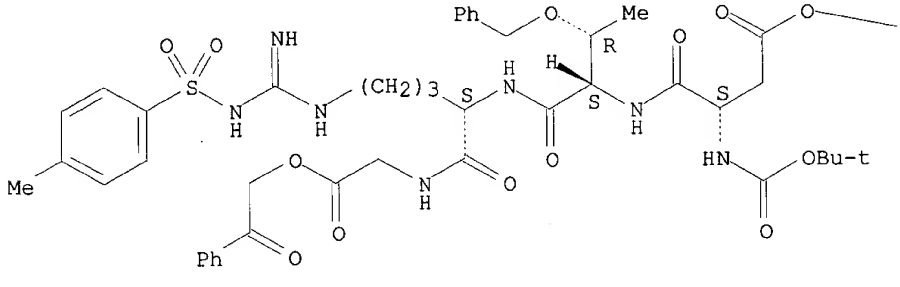
IT **152016-42-7 152016-43-8**
RL: RCT (Reactant)
(peptide coupling of, in prepn. of peptides and their **conjugates** with polyethylene glycols with cell adhesion activity)

RN 152016-42-7 HCAPLUS

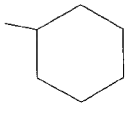
CN Glycine, N-[N2-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-aspartyl]-O-(phenylmethyl)-L-threonyl]-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl]-, 4-cyclohexyl 1-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

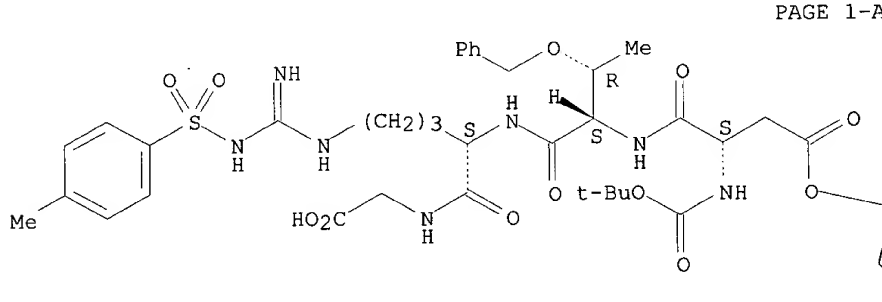


RN 152016-43-8 HCAPLUS

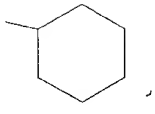
CN Glycine, N-[N2-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-aspartyl]-O-(phenylmethyl)-L-threonyl]-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl]-, 4-cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



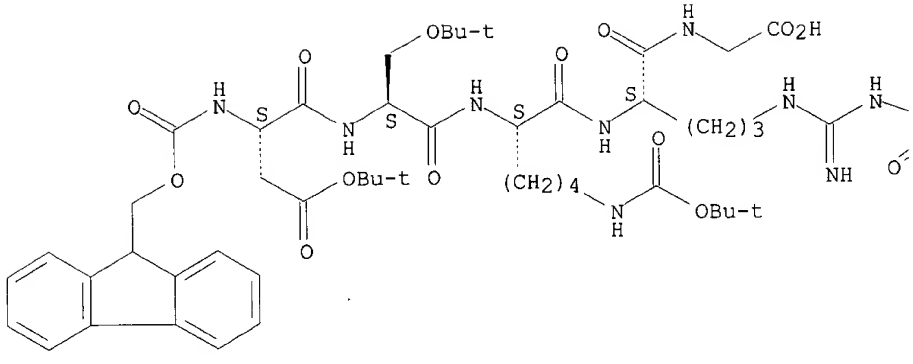
6 with ring (ring on next pg)



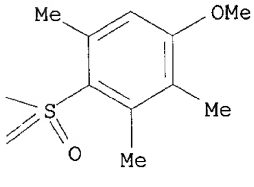
L24 ANSWER 23 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:586825 HCAPLUS
DOCUMENT NUMBER: 113:186825
TITLE: Synthetic peptide mimics of the active domain of fibronectin
AUTHOR(S): Davies, John S.; Orchison, Jack J. A.; Jones, Gareth E.
CORPORATE SOURCE: Dep. Chem., Univ. Coll. Swansea, London, UK
SOURCE: Biochem. Soc. Trans. (1990), 18(6), 1326-8
CODEN: BCSTB5; ISSN: 0300-5127
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In the study of cell-adhesion and cell-spreading properties of fibronectin and the properties of focal domain sequence Arg-Gly-Asp-Ser, the model peptide cyclo-(Asp-Ser-Lys-Arg-Gly) was prepd. and studied. Other analogs were also examd. for their effect on cell adhesion and spreading. The role of conformation in these processes was examd.
IT **130126-33-9D**, pepsyn K **conjugates**
RL: RCT (Reactant)
(hydrolysis of)
RN 130126-33-9 HCAPLUS
CN Glycine, N-[N2-[N6-[(1,1-dimethylethoxy)carbonyl]-N2-[O-(1,1-dimethylethyl)-N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-.alpha.-aspartyl]-L-seryl]-L-lysyl]-N5-[imino[[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl]-, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L24 ANSWER 24 OF 25 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:33729 HCAPLUS
DOCUMENT NUMBER: 110:33729
TITLE: Preparation of antibody conjugates of amine derivatives of folic acid analogs for treatment of cellular disorders
INVENTOR(S): Coughlin, Daniel J.; Radcliffe, Robert D.; Lopes, Anthony Dwight; Rodwell, John D.
PATENT ASSIGNEE(S): Cytogen Corp., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8706837	A1	19871119	WO 1987-US992	19870501
W: AU, BR, DK, FI, JP				
CA 1330378	A1	19940621	CA 1987-536091	19870430
AU 8773590	A1	19871201	AU 1987-73590	19870501
JP 63503144	T2	19881117	JP 1987-502915	19870501
JP 2564586	B2	19961218		
EP 251455	A2	19880107	EP 1987-304093	19870507
EP 251455	A3	19900905		
EP 251455	B1	19940511		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				

AT 105484	E	19940515	AT 1987-304093	19870507
ES 2051738	T3	19940701	ES 1987-304093	19870507
ZA 8703305	A	19880127	ZA 1987-3305	19870508
FI 8800059	A	19880107	FI 1988-59	19880107
DK 8800051	A	19880415	DK 1988-51	19880107
US 5140104	A	19920818	US 1989-426374	19891024

PRIORITY APPLN. INFO.:

US 1986-861037	19860508
US 1982-356315	19820309
US 1984-646327	19840831
US 1984-646328	19840831
US 1984-650375	19840913
US 1984-650754	19840913
WO 1987-US992	19870501
EP 1987-304093	19870507

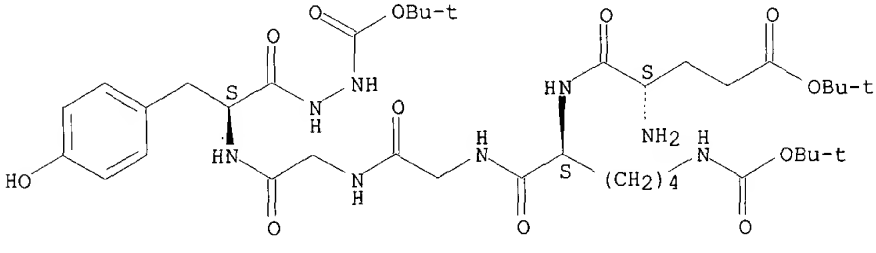
AB Therapeutic antibody conjugates comprise amine derivs. of folic acid analogs covalently attached via a reactive amine group to an oxidized carbohydrate moiety of an antibody or antibody fragment. The oligosaccharide moiety of a rat monoclonal antibody specific for a class I major histocompatibility antigen was oxidized by incubation in the dark on ice with a NaIO4 soln. pH 6.0 for 1. The modified antibody was then coupled to methotrexate-.gamma.-hydrazide (prepd. by, e.g. the mixed anhydride method from 4-amino-4-deoxy-N10-Me pteroic acid and L-glutamic acid .alpha.-tert-Bu ester-.gamma.-N'-butoxycarbonyl hydrazide) by incubation in the dark at room temp. overnight. In vivo therapeutic effect of the conjugate was tested on BN tumor-bearing nude mice by i.p. injection. Animals receiving the conjugate underwent tumor regression. Animals treated with antibodies having randomly attached methotrexate-.gamma.-hydrazide only showed a slight therapeutic effect.

IT **118359-49-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, in prepn. of folic acid analog for **conjugation** with antibodies)

RN 118359-49-2 HCAPLUS

CN L-Tyrosine, N-[N-[N-[N6-[(1,1-dimethylethoxy)carbonyl]-N2-L-.alpha.-glutamyl-L-lysyl]glycyl]glycyl]-, 5-(1,1-dimethylethyl) ester, 1-[2-[(1,1-dimethylethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L24 ANSWER 25 OF 25 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	1978:444196 HCAPLUS
DOCUMENT NUMBER:	89:44196
TITLE:	Synthesis of hapten-polypeptide conjugates as antigen models for the N-terminal region of the .alpha.-2-chain of rabbit skin collagen
AUTHOR(S):	Nokihara, Kiyoshi; Berndt, Heinz
CORPORATE SOURCE:	Deutsches Wollforschungsinstitut, Tech. Hochsch.,

Aachen, Ger.
SOURCE: J. Chem. Soc., Perkin Trans. 1 (1978), (3), 260-3
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB H-pyroGlu-Phe-Asp-Gly-Lys-Gly-Gly-Gly-OH was prepd. as the antigenic determinant representing the .alpha.-2-chain of rabbit skin collagen. H-pyroGlu-Phe-Asp(OCMe3)-Gly-Lys(CO2CMe3)-Gly-Gly-Gly-OH was conjugated to the carriers multichain .epsilon.-poly-DL-Ala-L-Lys and copoly(Tyr-Lys) ; the latter conjugates can be used for immunological studies.

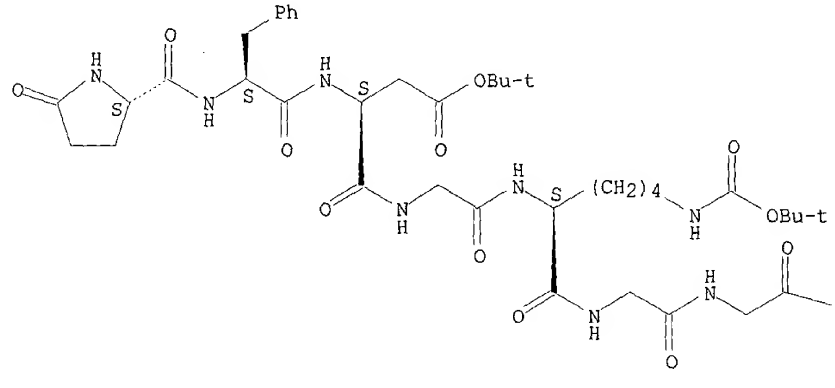
IT **66789-43-3P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and **conjugation** of, with polypeptides)

RN 66789-43-3 HCAPLUS

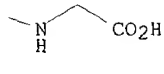
CN Glycine, N-[N-[N-[N6-[(1,1-dimethylethoxy)carbonyl]-N2-[N-[N-[N-(5-oxo-L-prolyl)-L-phenylalanyl]-L-.alpha.-aspartyl]glycyl]-L-lysyl]glycyl]glycyl]-, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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L2   (    710561)SEA FILE=REGISTRY ABB=ON  PLU=ON  L1 AND SQL<101
L3                STR
L4          9059 SEA FILE=REGISTRY SUB=L2 SSS FUL L3
               ---------
L5                STR L3
L6              2 S L5 SSS SAM SUB=L4
L7                STR L5
L8              2 S L7 SSS SAM SUB=L4
L9             25 S L7 SSS FUL SUB=L4 25 peptides w/ -NH-C(H)(-C)-C(=O)-N-R
                  SAVE L9 CAN664S1/A
L10               STR L3
L11        710561 S  PROTEIN/FS  AND SQL<101
L12             2 S L10 SSS SAM SUB=L11
L13        686268 S L11 AND NC=1
L14               SCREEN 1993 AND 2005
L15               SCREEN 2127
L16             2 S L10 AND L14 NOT L15 SSS SAM SUB=L13
L17        414451 S L13 AND SQL<20
L18             0 S L10 AND L14 NOT L15 SSS SAM SUB=L17
L19             0 S L10 SSS SAM SUB=L17
L20           224 S L10 SSS FUL SUB=L17 224 peptides w/ -NH-C(CH2 or n-Bu)-C(=O)-N-R
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     FILE 'HCAPLUS' ENTERED AT 16:54:33 ON 11 APR 2002
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L22           85 S L20
L23          103 S L21-22
L24           41 S L23 AND PATENT/DT
L25           33 S L24 AND PRD<19990704
L26            2 S L25 AND ?CONJUGAT? 2 cites
L27           31 S L25 NOT L26
L28            1 S L27 AND (DELIVER? OR APOPTOSIS OR ENDOCYTOS? OR UPTAK? OR TRA late
L29           30 S L27 NOT L28
L30            2 S L29 AND (HYDROPHOB? OR LIPOPHIL?) 2 cites
L31           28 S L29 NOT L30 remaining cites for L29
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L3               STR
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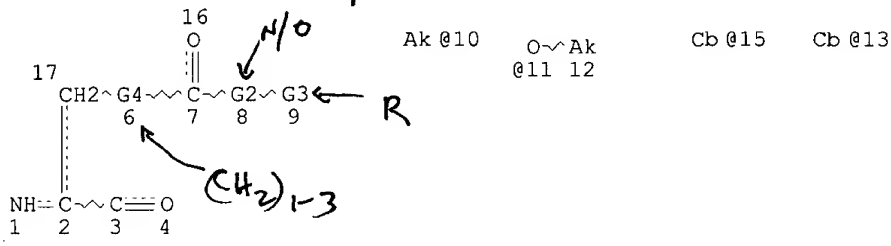
parent STR

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VAR G3=10/11/13/15
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CONNECT IS E1  RC AT  10
CONNECT IS E1  RC AT  12
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  SAT  AT  13
GGCAT   IS MCY  UNS  AT  15
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M2 C  AT  10
ECOUNT  IS M2 C  AT  12
ECOUNT  IS X6 C  AT  13
ECOUNT  IS E6 C  AT  15

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  15

STEREO ATTRIBUTES: NONE
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L7               STR
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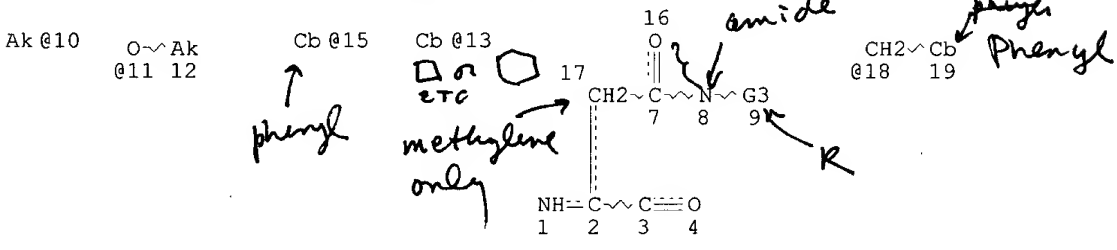
subset STR

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NODE ATTRIBUTES:
CONNECT IS E3  RC AT   2
CONNECT IS E1  RC AT  10
CONNECT IS E1  RC AT  12
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  SAT  AT  13
GGCAT   IS MCY  UNS  AT  15
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GGCAT   IS MCY  UNS  AT  19
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M2 C  AT  10
ECOUNT  IS M2 C  AT  12
ECOUNT  IS X6 C  AT  13
ECOUNT  IS E6 C  AT  15
ECOUNT  IS E6 C  AT  19

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
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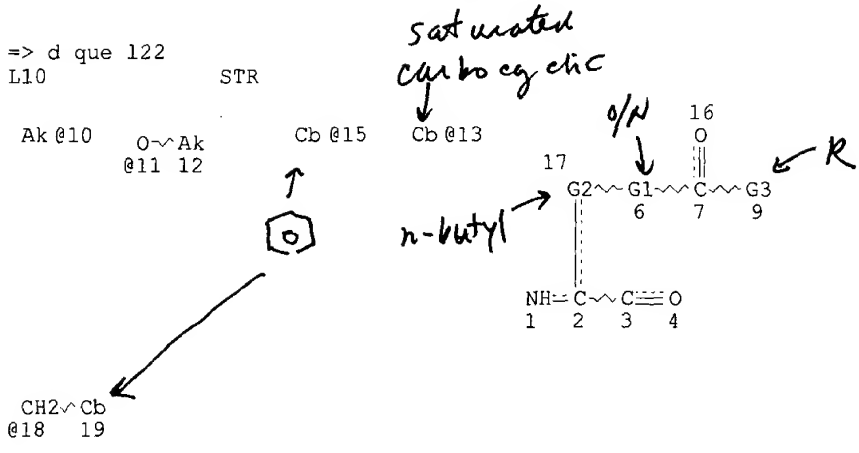


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VAR G1=NH/O
VAR G2=CH2/N-BU
VAR G3=10/11/13/15/18
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CONNECT IS E3  RC AT   2
CONNECT IS E1  RC AT  10
CONNECT IS E1  RC AT  12
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  SAT  AT  13
GGCAT   IS MCY  UNS  AT  15
GGCAT   IS MCY  UNS  AT  19
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M2 C  AT  10
ECOUNT  IS M2 C  AT  12
ECOUNT  IS X6 C  AT  13
ECOUNT  IS E6 C  AT  15
ECOUNT  IS E6 C  AT  19

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  16

STEREO ATTRIBUTES: NONE
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L13       686268 SEA FILE=REGISTRY ABB=ON  PLU=ON  L11 AND NC=1
L17       414451 SEA FILE=REGISTRY ABB=ON  PLU=ON  L13 AND SQL<20
L20          224 SEA FILE=REGISTRY SUB=L17 SSS FUL L10
L22           85 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L20
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#2

=> d ibib abs hitstr 1

L26 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:708651 HCAPLUS
DOCUMENT NUMBER: 131:319900
TITLE: Diagnostic/therapeutic agents comprising membrane-forming amphiphilic lipopeptide-stabilized gas microbubbles
INVENTOR(S): Cuthbertson, Alan; Solbakken, Magne; Wolfe, Henry Raphael
PATENT ASSIGNEE(S): Marsden, John Christopher, UK; Nycomed Imaging A/S
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955383	A2	19991104	WO 1999-GB1247	19990422 <--
WO 9955383	A3	20000706		
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2329778	AA	19991104	CA 1999-2329778	19990422 <--
EP 1073475	A2	20010207	EP 1999-918154	19990422 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AU 9936187	A1	19991116	AU 1999-36187	19990423 <--
NO 2000005382	A	20001218	NO 2000-5382	20001026 <--

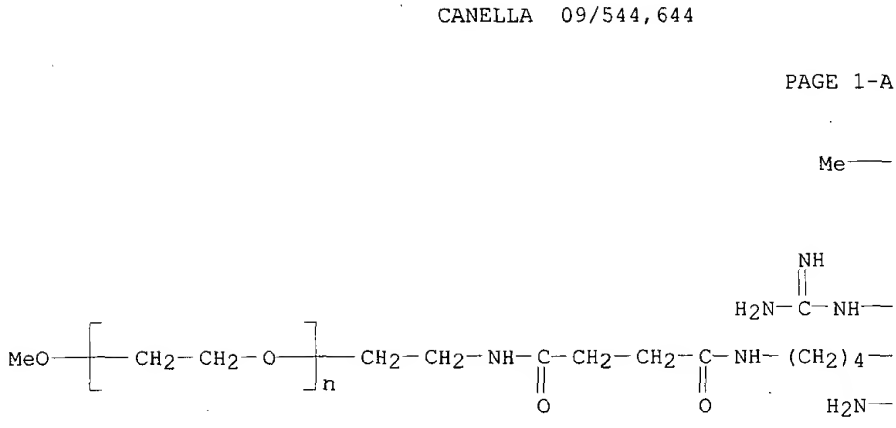
PRIORITY APPLN. INFO.: GB 1998-9084 A 19980428 <--
WO 1999-GB1247 W 19990422 <--

AB Novel membrane-forming amphiphilic lipopeptides comprise one or more peptide moieties contg. 2-50 aminoacyl residues and one or more hydrocarbon chains contg. 5-50 carbon atoms. Such lipopeptides may be used in the formation of stabilized gas microbubble dispersions suitable for use as diagnostic and/or therapeutic agents, for example as ultrasound contrast agents. Perfluorobutane-contg. microbubbles were prepd. that used N-[3-(2-aminoethanamido)-5-[2-(n-hexadecyl)octadecanamido]benzoyl]glycine (prepn. given) as the membrane-forming agent.

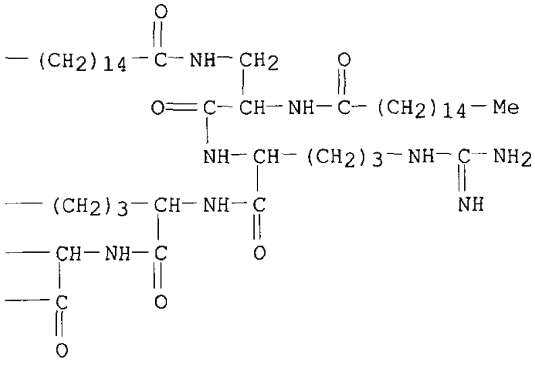
IT **248602-48-4P**
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diagnostic/therapeutic agents comprising membrane-forming amphiphilic lipopeptide-stabilized gas microbubbles)

RN 248602-48-4 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), .alpha.-methoxy-.omega.-hydroxy-, ether with N-(1-oxohexadecyl)-3-[(1-oxohexadecyl)amino]-L-alanyl-L-arginyl-L-arginyl-N6-[4-[(2-hydroxyethyl)amino]-1,4-dioxobutyl]-L-lysinamide (9CI) (CA INDEX NAME)

PAGE 1-A

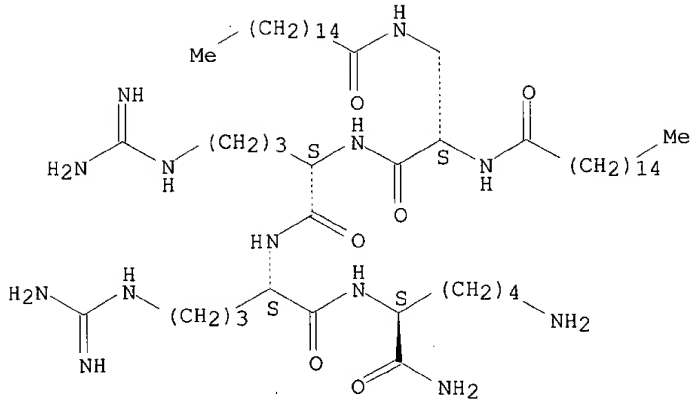


PAGE 1-B



IT **247231-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(diagnostic/therapeutic agents comprising membrane-forming amphiphilic lipopeptide-stabilized gas microbubbles)
RN 247231-44-3 HCAPLUS
CN L-Lysinamide, N-(1-oxohexadecyl)-3-[(1-oxohexadecyl)amino]-L-alanyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L26 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:440179 HCAPLUS
DOCUMENT NUMBER: 127:51009
TITLE: Peptide **conjugates** derived from thymic hormones and their compositions for use as drugs
INVENTOR(S): Dussourd, D'hinterland Lucien; Pinel, Anne-Marie
PATENT ASSIGNEE(S): Societe D'etude Et De Recherche De Pathologie Appliquee - Serpa, Fr.; Dussourd D'hinterland, Lucien; Pinel, Anne-Marie
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718239	A1	19970522	WO 1996-FR1812	19961115 <--
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2741076	A1	19970516	FR 1995-13544	19951115
FR 2741076	B1	19980130		
CA 2237995	AA	19970522	CA 1996-2237995	19961115 <--
AU 9676832	A1	19970605	AU 1996-76832	19961115 <--
EP 861266	A1	19980902	EP 1996-939132	19961115 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000500447	T2	20000118	JP 1997-518639	19961115 <--
US 6211155	B1	20010403	US 1998-68767	19980824 <--

PRIORITY APPLN. INFO.: FR 1995-13544 A 19951115 <--
WO 1996-FR1812 W 19961115 <--
OTHER SOURCE(S): MARPAT 127:51009

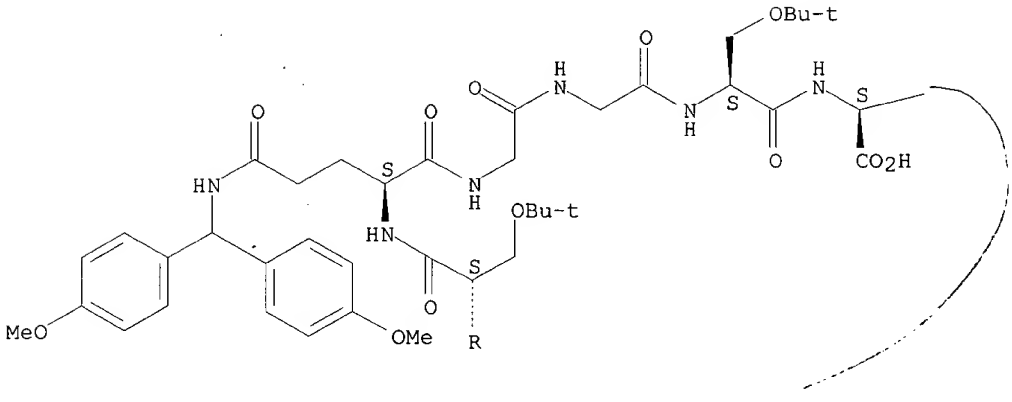
AB Peptide **conjugates** have been synthesized which have a sequence of at least 3 amino acids derived from a thymic hormone selected from thymuline and thymopoletine (the amino acids are in the D, L, or DL form) and in which the sequence is **conjugated** to a mono- or dicarboxylic acid. The peptide **conjugates** are used in pharmacetutical or cosmetic compns. Thus, Ac-Pyro-Ala-Lys-Ser-Gln-Gly-Gly-Ser-Asn-NH2 was prepd. and tested in regards to cellular activity.

IT **191221-06-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(peptide **conjugates** derived from thymic hormones and their compns. for use as drugs)

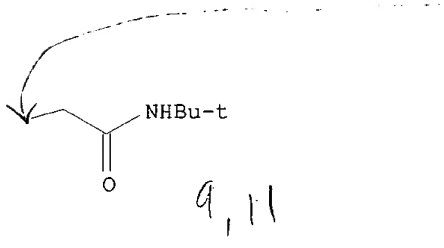
RN 191221-06-4 HCAPLUS
CN 2-9-Thymulin (swine peptide moiety), 3-[N6-[(1,1-dimethylethoxy)carbonyl]-L-lysine]-4-[O-(1,1-dimethylethyl)-L-serine]-5-[N-[bis(4-methoxyphenyl)methyl]-L-glutamine]-8-[O-(1,1-dimethylethyl)-L-serine]-9-[N-(1,1-dimethylethyl)-L-asparagine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

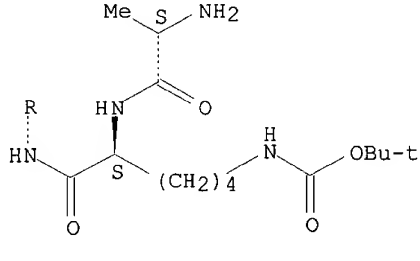


PAGE 1-B



9,11

PAGE 2-A



=> d ibib abs hitstr

L28 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:958268 HCAPLUS
DOCUMENT NUMBER: 123:350253
TITLE: Aerosol drug formulations containing vitamin E
INVENTOR(S): Fu, Lu Mou-ying; Gupta, Pramod K.; Adjei, Akwete L.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9524892	A1	19950921	WO 1995-US2764	19950302 <--
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9519804	A1	19951003	AU 1995-19804	19950302 <--
AU 709783	B2	19990909		
JP 09510445	T2	19971021	JP 1995-524061	19950302 <--
EP 804157	A1	19971105	EP 1995-912746	19950302 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				

PRIORITY APPLN. INFO.: US 1994-212472 19940314 <--
WO 1995-US2764 19950302 <--

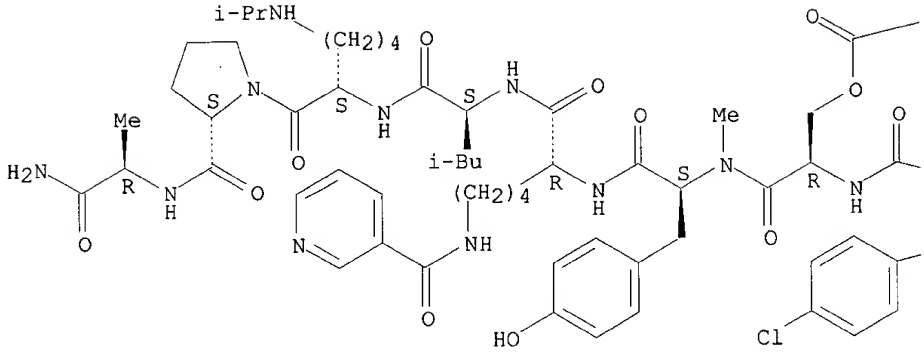
AB Pharmaceutical compns. for aerosol **delivery** are disclosed comprising (a) a medicament, (b) a non-chlorofluorocarbon propellant, and (c) tocopherol or a pharmaceutically acceptable deriv. thereof, as well as a method for prepg. such compns. in which unwanted aggregation of the medicament is prevented without the use of surfactants or cosolvents. Pharmaceutical aerosols contg. leuprolide acetate in 0.1% d-.alpha. tocopheryl acetate (I) and 10mL HFC-134a were prepd. having good dispersion quality as compared with controls without I which had poor dispersion quality.

IT **170929-31-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aerosol drug formulations contg. vitamin E)

RN 170929-31-4 HCAPLUS
CN D-Alaninamide, N-acetyl-3-(1-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-O-(1-oxohexadecyl)-D-seryl-N-methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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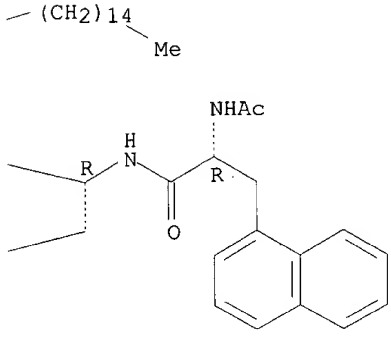


=> d ibib abs hitstr 1

L30 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:690991 HCAPLUS
DOCUMENT NUMBER: 131:308623
TITLE: Ultrasound imaging contrast agents, particularly for perfusion in the myocardium
INVENTOR(S): Eriksen, Morten; Tolleshaug, Helge; Skurtveit, Roald; Cuthbertson, Alan; Ostensen, Jonny; Frigstad, Sigmund; Rongved, Pal
PATENT ASSIGNEE(S): Marsden, John Christopher, UK; Nycomed Imaging AS
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Search #2 covers species 1-3, 7, 9, 11

PATENT NO.	KIND	DATE		DATE
WO 9953963	A1	1999102		19990422 <--
W: AE, AL, AM, AT, AT, AU, CA, CH, CN, CU, CZ, CZ, DE, DE, DK, DK, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2329175	AA	19991028	CA 1999-2329175	19990422 <--
AU 9936172	A1	19991108	AU 1999-36172	19990422 <--
BR 9909822	A	20001219	BR 1999-9822	19990422 <--
EP 1073473	A1	20010207	EP 1999-918133	19990422 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NO 2000005250	A	20001218	NO 2000-5250	20001019 <--

PRIORITY APPLN. INFO.:
GB 1998-8599 A 19980422 <--
US 1998-84880P P 19980508 <--
WO 1999-GB1221 W 19990422 <--

AB Ultrasonic visualization of a subject, particularly of perfusion in the myocardium and other tissues, is performed using novel gas-contg. contrast agent prepns. which promote controllable and temporary growth of the gas phase in vivo following administration and can therefore act as deposited perfusion tracers. The prepns. comprise an injectable aq. medium comprising dispersed gas and an injectable oil-in-water emulsion in which the oil phase comprises a diffusible component capable of diffusion in vivo into the dispersed gas to promote temporary growth thereof, such that material present at the surfaces of the dispersed gas phase and material present at the surfaces of the dispersed oil phase have affinity for each other, e.g. as a result of having opposite charges. In cardiac perfusion imaging the prepns. may advantageously be coadministered with vasodilator drugs such as adenosine in order to enhance the differences between return signal intensity from normal and hypoperfused myocardial tissue resp. A neg.-charged perfluorobutane gas dispersion and a pos.-charged perfluorodimethylcyclobutane emulsion were simultaneously injected i.v. into a dog. The resulting myocardial contrast effect was far more intense than that obsd. when the dispersion and emulsion were both neg.-charged. The contrast lasted for 20 min.

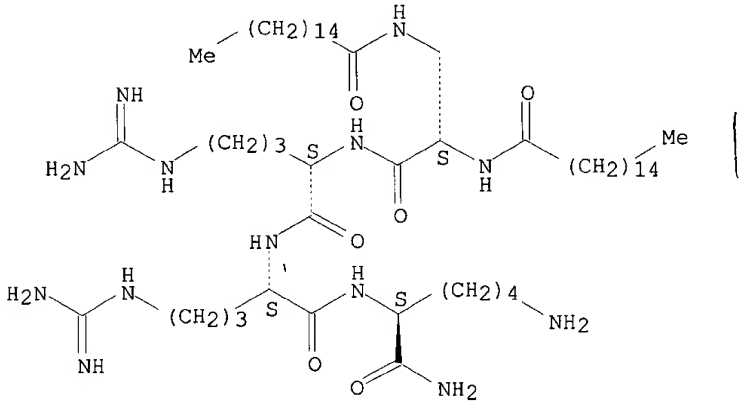
IT **247231-44-3P**

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ultrasound imaging contrast agents, particularly for perfusion in the myocardium)

RN 247231-44-3 HCAPLUS

CN L-Lysinamide, N-(1-oxohexadecyl)-3-[(1-oxohexadecyl)amino]-L-alanyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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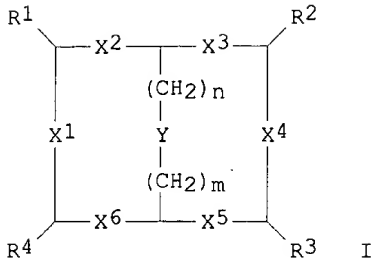
L30 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:731839 HCAPLUS
DOCUMENT NUMBER: 126:8711
TITLE: Preparation of bicyclic peptide tachykinin NK2 antagonists.
INVENTOR(S): Arcamone, Federico; Maggi, Carlo Alberto; Quartara, Laura; Giannotti, Danilo
PATENT ASSIGNEE(S): A. Menarini Industrie Farmaceutiche Riunite S.R.L., Italy
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9628467	A1	19960919	WO 1996-EP1028	19960311	<--
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
IL 117395	A1	19991231	IL 1996-117395	19960307	<--
CA 2215372	AA	19960919	CA 1996-2215372	19960311	<--
AU 9651059	A1	19961002	AU 1996-51059	19960311	<--
AU 696528	B2	19980910			
BR 9607348	A	19971230	BR 1996-7348	19960311	<--
EP 815126	A1	19980107	EP 1996-907421	19960311	<--
EP 815126	B1	20010103			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI					
CN 1183786	A	19980603	CN 1996-193762	19960311	<--
JP 11501643	T2	19990209	JP 1996-527267	19960311	<--
CZ 287372	B6	20001115	CZ 1997-2862	19960311	<--
AT 198481	E	20010115	AT 1996-907421	19960311	<--
ES 2155187	T3	20010501	ES 1996-907421	19960311	<--
SK 281899	B6	20010911	SK 1997-1212	19960311	<--
ZA 9601983	A	19970929	ZA 1996-1983	19960312	<--
NO 9704057	A	19971107	NO 1997-4057	19970903	<--
US 6150325	A	20001121	US 1997-929215	19970909	<--

PRIORITY APPLN. INFO.: IT 1995-FI44 A 19950313 <--
WO 1996-EP1028 W 19960311 <--

OTHER SOURCE(S): MARPAT 126:8711
GI



I

AB Title compds. (I; X1-X6 = NRCO; R = H, alkyl; Y = NRCO, SS; .gtoreq.1 of R1-R4 = hydrophilic group, the others = **hydrophobic** groups; m, n = 1-4), were prepd. Thus, solid phase synthesis on chlorotrityl resin gave H-Asn[(Ac4O)-.beta.-D-Glc]-Asp(OtBu)-Trp-Phe-Dap(BOC)-Leu-OH (Glc = glucopyranosyl). The latter was cyclized using PyBOP/(Me2CH)2NEt to give 39% monocyclic product, which was deprotected with CF3CO2H and again cyclized with PyBOP/(Me2CH)2NEt followed by stirring with NaOMe in MeOH to give cyclo[[Asn(.beta.-D-Glc)-Asp-Trp-Phe-Dap-Leu]cyclo(2.beta.-5.beta.)] [I; X1-X6, Y = CONH; R1 = CH2CHMe2; R2 = CH2Ph; R3 = 3-indolylmethyl; R4 = CH2CONH-(.beta.-D-Glc); m, n = 1]. The latter at 10 nmol/kg i.v. in mice gave 50-70% inhibition of agonist-induced urinary bladder contractions.

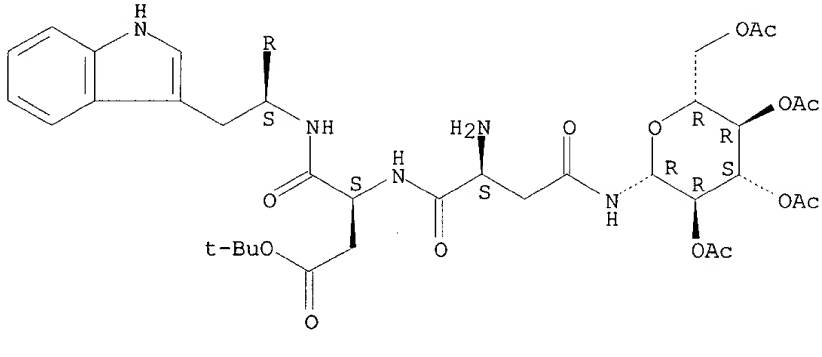
IT **183747-30-0P 183747-32-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of bicyclic peptide tachykinin NK2 antagonists)

RN 183747-30-0 HCAPLUS

CN L-Leucine, N-(2,3,4,6-tetra-O-acetyl-.beta.-D-glucopyranosyl)-L-asparaginyl-L-.alpha.-aspartyl-L-tryptophyl-L-phenylalanyl-3-[[(1,1-dimethylethoxy)carbonyl]amino]-L-alanyl-, 2-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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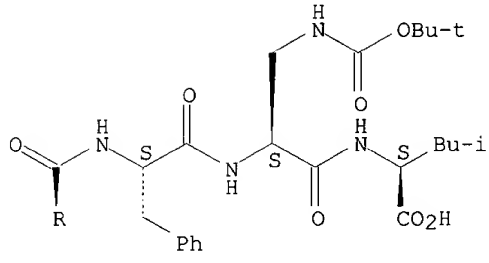
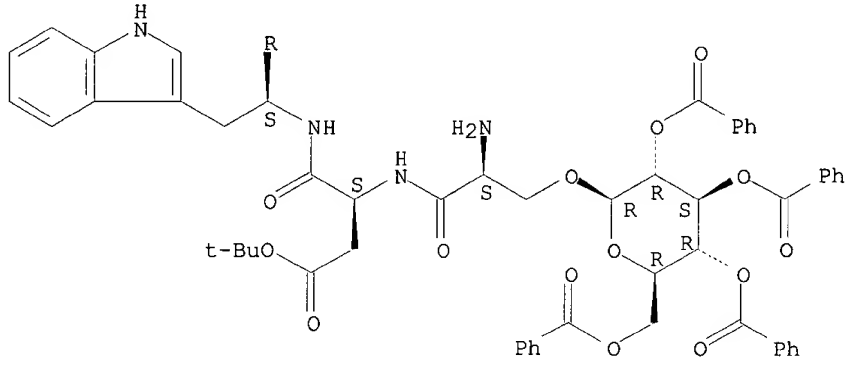


RN 183747-32-2 HCAPLUS
CN L-Leucine, O-(2,3,4,6-tetra-O-benzoyl-.beta.-D-glucopyranosyl)-L-seryl-L-.alpha.-aspartyl-L-tryptophyl-L-phenylalanyl-3-[[(1,1-dimethylethoxy)carbonyl]amino]-L-alanyl-, 2-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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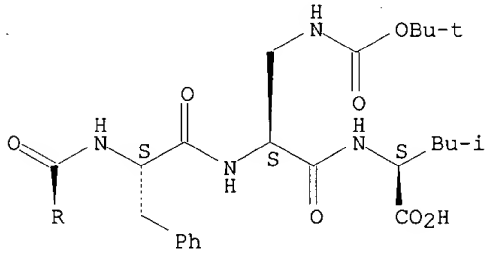

#2 only 1 patent per patent family was displayed in the full display to save on display cost

=> d ti pn 1-28

L31 ANSWER 1 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of luteinizing hormone releasing hormone analogs having a cytotoxic moiety

	PATENT NO.	KIND	DATE	
PI	US 6214969	B1	20010410	<--
	NO 9304541	A	19940207	<--

L31 ANSWER 2 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of cyclopeptides or cyclic depsipeptides as antifungal agents

	PATENT NO.	KIND	DATE	
PI	JP 2000229998	A2	20000822	<--

L31 ANSWER 3 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of ring modified cyclic peptide analogs as antifungal agents

	PATENT NO.	KIND	DATE	
PI	WO 2000011023	A2	20000302	<--
	WO 2000011023	A3	20000615	
	AU 9955726	A1	20000314	<--
	EP 1107981	A2	20010620	<--

L31 ANSWER 4 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Peptides, peptide analogs, peptidomimetics, and other small molecules useful for inhibiting the activity of ribonucleotide reductase

	PATENT NO.	KIND	DATE	
PI	US 6030942	A	20000229	<--

L31 ANSWER 5 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of new antifungal agents, cyclic aerothricin analogs, for treatment of infectious diseases caused by pathogenic microorganisms

	PATENT NO.	KIND	DATE	
PI	WO 2000005251	A1	20000203	<--
	AU 9951630	A1	20000214	<--
	BR 9912367	A	20010502	<--
	EP 1100816	A1	20010523	<--

L31 ANSWER 6 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochemical antifungals.

	PATENT NO.	KIND	DATE	
PI	WO 2000003743	A2	20000127	<--
	WO 2000003743	A3	20010201	
	AU 9951075	A1	20000207	<--
	EP 1096925	A2	20010509	<--

L31 ANSWER 7 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of novel cryptophycin pharmaceuticals

	PATENT NO.	KIND	DATE	
PI	WO 9808505	A1	19980305	<--
	AU 9741701	A1	19980319	<--
	AU 722492	B2	20000803	
	EP 934065	A1	19990811	<--

	BR 9711986	A	19990824	<--
	CN 1233957	A	19991103	<--
	NO 9900833	A	19990426	<--

L31 ANSWER 8 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of heterocyclic peptide derivatives as farnesylprotein transferase inhibitors and anticancer agents

	PATENT NO.	KIND	DATE	
PI	WO 9745412	A1	19971204	<--
	AU 9732151	A1	19980105	<--
	EP 934270	A1	19990811	<--
	JP 2000508335	T2	20000704	<--

L31 ANSWER 9 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of transferase inhibitors for treating cancer

	PATENT NO.	KIND	DATE	
PI	WO 9738664	A2	19971023	<--
	WO 9738664	A3	19971120	
	CA 2251955	AA	19971023	<--
	AU 9728022	A1	19971107	<--
	EP 952842	A2	19991103	<--
	JP 2000513711	T2	20001017	<--

L31 ANSWER 10 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of imidazole derivatives and imidazole-contg. peptide analogs and a method of treating cancer

	PATENT NO.	KIND	DATE	
PI	WO 9736587	A1	19971009	<--
	CA 2250232	AA	19971009	<--
	AU 9727221	A1	19971022	<--
	AU 727939	B2	20010104	
	EP 906099	A1	19990407	<--
	JP 2000504023	T2	20000404	<--

L31 ANSWER 11 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of heterocyclic peptide analogs as thiol-free inhibitors of farnesyl-protein transferase

	PATENT NO.	KIND	DATE	
PI	US 5661161	A	19970826	<--
	WO 9610035	A1	19960404	<--
	AU 9537312	A1	19960419	<--
	AU 701763	B2	19990204	
	EP 783518	A1	19970716	<--
	JP 10506900	T2	19980707	<--
	ZA 9508162	A	19960424	<--
	US 5872135	A	19990216	<--
	AU 9926925	A1	19990624	<--

L31 ANSWER 12 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of photoreactive peptide derivatives for photoaffinity labeling of major histocompatibility complex (MHC) molecules

	PATENT NO.	KIND	DATE	
PI	WO 9702282	A1	19970123	<--
	US 5827073	A	19981027	
	CA 2225636	AA	19970123	<--

	AU 9665418	A1	19970205	<--
	AU 700981	B2	19990114	
	EP 837876	A1	19980429	<--
	JP 2000500116	T2	20000111	<--

L31 ANSWER 13 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of analogs of the CAAX motif of Ras protein as inhibitors of farnesyl-protein transferase.

	PATENT NO.	KIND	DATE	
PI	WO 9610035	A1	19960404	<--
	US 5661161	A	19970826	<--
	AU 9537312	A1	19960419	<--
	AU 701763	B2	19990204	
	EP 783518	A1	19970716	<--
	JP 10506900	T2	19980707	<--
	ZA 9508162	A	19960424	<--

L31 ANSWER 14 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Synthetic, stabilized, three-dimension polypeptides

	PATENT NO.	KIND	DATE	
PI	WO 9321206	A1	19931028	<--
	AU 9339718	A1	19931118	<--
	US 5807979	A	19980915	<--

L31 ANSWER 15 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI LHRH antagonists

	PATENT NO.	KIND	DATE	
PI	WO 9213883	A1	19920820	<--
	US 5171835	A	19921215	<--
	ZA 9200600	A	19921028	<--
	EP 522152	A1	19930113	<--
	JP 05505630	T2	19930819	<--
	HU 63635	A2	19930928	<--
	NO 9304541	A	19940207	<--

L31 ANSWER 16 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of LH-RH analogs as hormone-dependent neoplasm inhibitors

	PATENT NO.	KIND	DATE	
PI	EP 450461	A2	19911009	<--
	EP 450461	A3	19920311	
	EP 450461	B1	19950906	
	ES 2076393	T3	19951101	<--
	CA 2039908	AA	19911007	<--
	AU 9174106	A1	19911010	<--
	AU 638319	B2	19930624	
	HU 57235	A2	19911128	<--
	JP 04224600	A2	19920813	<--
	ZA 9104552	A	19920624	<--
	WO 9222322	A1	19921223	<--
	NO 9304541	A	19940207	<--

L31 ANSWER 17 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of somatostatin analogs

	PATENT NO.	KIND	DATE	
PI	EP 450480	A2	19911009	<--

EP 450480	A3	19911218	
EP 450480	B1	19950621	
ES 2075244	T3	19951001	<--
CA 2039880	AA	19911007	<--
AU 9174105	A1	19911010	<--
AU 638118	B2	19930617	
HU 59165	A2	19920428	<--
JP 06041194	A2	19940215	<--

L31 ANSWER 18 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of aspartic acid-containing pentapeptides as antiherpes agents

PATENT NO.	KIND	DATE	
PI EP 411334	A1	19910206	<--
EP 411334	B1	19950222	
CA 2019005	AA	19911214	
IL 94980	A1	19950315	<--
JP 03215497	A2	19910920	<--
JP 2877909	B2	19990405	
AU 643636	B2	19931118	<--
AU 9058775	A1	19910110	
US 5502036	A	19960326	<--

L31 ANSWER 19 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of tumor necrosis factor analogs

PATENT NO.	KIND	DATE	
PI DE 3841755	A1	19900613	
WO 9006938	A1	19900628	<--
EP 447431	A1	19910925	<--
JP 04502307	T2	19920423	<--
CA 2005056	AA	19900612	<--

L31 ANSWER 20 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of cytotoxic LHRH analogs

PATENT NO.	KIND	DATE	
PI EP 364819	A2	19900425	<--
EP 364819	A3	19910306	
JP 02157293	A2	19900618	<--
US 5258492	A	19931102	<--
NO 9304541	A	19940207	<--

L31 ANSWER 21 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Preparation of vasopressin fragment derivatives as nootropics for treatment of senility

PATENT NO.	KIND	DATE	
PI EP 227410	A2	19870701	<--
EP 227410	A3	19890208	
US 4748154	A	19880531	<--
CA 1292841	A1	19911203	<--
JP 62234095	A2	19871014	<--
JP 08030079	B4	19960327	

L31 ANSWER 22 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Pepstatin analogs

PATENT NO.	KIND	DATE	
PI EP 192554	A1	19860827	<--

EP 192554	B1	19920102	
FR 2577225	A1	19860814	
FR 2577225	B1	19870828	
FR 2577226	A1	19860814	
FR 2577226	B1	19900615	
CA 1286846	A1	19910723	<--
US 4725580	A	19880216	<--
US 4746648	A	19880524	<--
CA 1286847	A1	19910723	<--
AU 8653272	A1	19860814	<--
AU 606312	B2	19910207	
AU 8653273	A1	19860821	<--
AU 606572	B2	19910214	
DK 8600640	A	19860813	<--
DK 8600641	A	19860813	<--
EP 193445	A1	19860903	<--
EP 193445	B1	19900509	
ZA 8600960	A	19861029	<--
ZA 8600961	A	19861029	<--
AT 52518	E	19900515	<--
AT 71111	E	19920115	<--
ES 551820	A1	19861216	<--
ES 551821	A1	19870101	<--
JP 61186397	A2	19860820	<--
JP 61186398	A2	19860820	<--

L31 ANSWER 23 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Peptides and their therapeutic use

	PATENT NO.	KIND	DATE	
PI	EP 46113	A1	19820217	<--
	EP 46113	B1	19841219	
	FR 2488253	A1	19820212	
	FR 2488253	B1	19840127	
	US 4407794	A	19831004	<--
	AT 10836	E	19850115	<--
	CA 1292344	A1	19911119	<--
	JP 57059845	A2	19820410	<--

L31 ANSWER 24 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI LH-RH antagonists

	PATENT NO.	KIND	DATE	
PI	GB 2053229	A	19810204	<--
	GB 2053229	B2	19830302	
	US 4317815	A	19820302	<--
	AT 8988	E	19840915	<--

L31 ANSWER 25 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Nouel substrates for endotoxin detection

	PATENT NO.	KIND	DATE	
PI	JP 56042597	A2	19810420	
	JP 63026871	B4	19880531	
	JP 02000192	A2	19900105	<--
	JP 03011760	B4	19910218	

L31 ANSWER 26 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Blocking allergic responses

	PATENT NO.	KIND	DATE

	---	---	---	
PI	US 4161522	A	19790717	<--
	US 4171299	A	19791016	<--
	AU 8065181	A1	19810416	<--
	AU 531075	B2	19830811	

L31 ANSWER 27 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Tetrapeptides and their preparation and use in determining serine proteases

	PATENT NO.	KIND	DATE	
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PI	DE 2753653	A1	19780608	<--
	DE 2753653	C2	19830721	
	SE 7613463	A	19780602	
	SE 437153	B	19850211	
	SE 437153	C	19850530	
	IL 53187	A1	19810227	<--
	NL 7711791	A	19780605	<--
	NL 178600	B	19851118	
	NL 178600	C	19860416	
	FI 7703242	A	19780602	<--
	ZA 7706460	A	19780830	<--
	ES 464117	A1	19780901	<--
	US 4207232	A	19800610	<--
	AU 7730771	A1	19790524	<--
	AU 514768	B2	19810226	
	GB 1565154	A	19800416	<--
	BE 861295	A1	19780316	<--
	FR 2372798	A1	19780630	<--
	FR 2372798	B1	19831110	
	DD 136896	C	19790801	<--
	PL 109588	B1	19800630	<--
	CH 637627	A	19830815	<--
	NO 7704092	A	19780602	<--
	SU 736889	D	19800525	<--
	CA 1098428	A1	19810331	<--
	DK 7705353	A	19780602	<--
	DK 155333	B	19890328	
	DK 155333	C	19890904	
	JP 53069693	A2	19780621	<--
	JP 57008720	B4	19820217	
	AT 7708596	A	19800115	<--
	AT 358203	B	19800825	
	HU 19255	O	19801227	<--
	HU 176983	P	19810628	
	DE 2760116	C2	19850912	<--
	US 4276375	A	19810630	<--

L31 ANSWER 28 OF 28 HCAPLUS COPYRIGHT 2002 ACS
TI Biologically active polypeptides

	PATENT NO.	KIND	DATE	
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PI	DE 2602443	A1	19761021	<--
	JP 51118702	A2	19761018	<--
	JP 60002318	B4	19850121	
	AU 7612303	A1	19770929	<--
	AU 514308	B2	19810205	
	GB 1539102	A	19790124	<--
	BE 840193	A1	19760930	<--
	FR 2305989	A1	19761029	<--

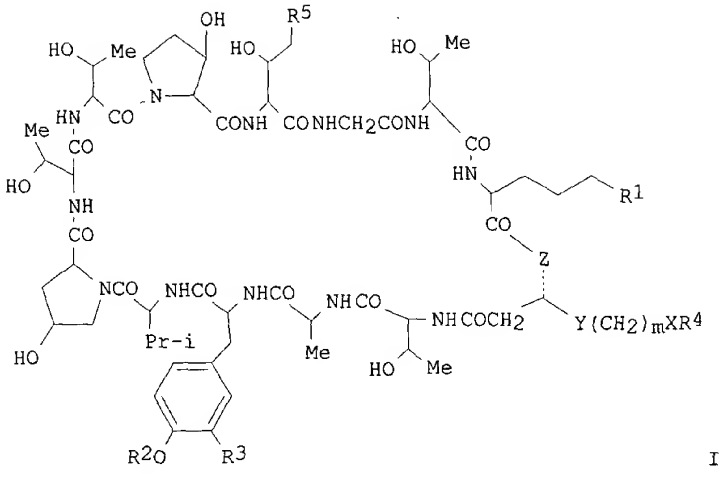
FR 2305989	B1	19791005	
CA 1087171	A1	19801007	<--
SE 7603897	A	19761005	<--
SE 430058	B	19831017	
SE 430058	C	19840126	
NL 7603384	A	19761006	<--
CH 624093	A	19810715	<--
CA 1079721	A2	19800617	<--
AU 8065181	A1	19810416	<--
AU 531075	B2	19830811	

=> d ibib abs hitstr 5,7,9-14,17-28

L31 ANSWER 5 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:84834 HCAPLUS
DOCUMENT NUMBER: 132:137733
TITLE: Preparation of new antifungal agents, cyclic aerothricin analogs, for treatment of infectious diseases caused by pathogenic microorganisms
INVENTOR(S): Aoki, Masahiro; Kohchi, Masami; Masubuchi, Kazunao; Mizuguchi, Eisaku; Murata, Takeshi; Ohkuma, Hiroaki; Okada, Takehiro; Sakaitani, Masahiro; Shimma, Nobuo; Watanabe, Takahide; Yanagisawa, Mieko; Yasuda, Yuri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000005251	A1	20000203	WO 1999-EP5235	19990722	<--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9951630	A1	20000214	AU 1999-51630	19990722	<--
BR 9912367	A	20010502	BR 1999-12367	19990722	<--
EP 1100816	A1	20010523	EP 1999-936588	19990722	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					

PRIORITY APPLN. INFO.: EP 1998-113744 A 19980723 <--
EP 1999-107637 A 19990416 <--
WO 1999-EP5235 W 19990722
OTHER SOURCE(S): MARPAT 132:137733
GI



I

AB Novel antifungal aerothricins I [R1 = guanidino, trialkylammonio, NR10R11, NR15COR14, NR15COCH(NR10R11)R13 (Q), NHCOCHR13NHCOCH(NH2)R13, N[(CH2)nQ]2, N[(CH2)nQ][COCH(NR10R11)R13], or NR15COR12, where n = 2-5, R10, R11 = H, heteroaryl or mono- or diaminoheteroaryl, alkyl optionally substituted with one or more amino groups, aminoalkyl, cyano, guanidino, nitrogen-contg. heterocycle(s) or Ph group(s) contg. an amino, amidino or guanidino group, R12 is tetrahydro-2-pyrrolyl optionally substituted at N by R10 and by an amino group, R13 is a residue from natural or unnatural amino acids, R14 is alkyl substituted with one or more amino, guanidino, nitrogen contg. heterocycle or Ph group contg. an amino, amidino, or guanidino group, and R15 = H or R14-like group; R2 = H, HOSO2, alkyl or alkenyl optionally substituted with acyl, carbamoyl, amino, mono- or dialkylamino; R3 = H, OH, NO2, NH2, acylamino, (alkylcarbamoyl)amino, carboxyl, alkoxy, alkoxycarbonyl, (un)substituted alkyl, alkenyl, or alkynyl; R4 = alkyl, alkenyl, alkoxy or alkenyloxy optionally substituted with alkyl, aryl, cycloalkyl or F; R5 = CONH2, CN, CH2NH2; X is a single bond, aryl, biphenyl, terphenyl optionally contg. one or more heteroatom(s) and/or substituted with halo or alkyl; Y is a single bond, CH2, CH(alkyl), CONH, CON(alkyl); Z = O, NH, alkylamino; m = 0-4 (with provisos)] and pharmaceutically acceptable salts were prepd. Numerous processes for the prepn. of aerothricins of formula I are described. Thus, aerothricin 3 [I; R1 = NH2, R2 = R3 = H, R5 = CONH2, Z = O, Y-(CH2)m-X-R4 = (CH2)12Me] (WF11243), produced by cultivating a microorganism belonging to Deuteromycotina under aerobic conditions in aq. medium, was treated with (2-oxoethyl)carbamic acid tert-Bu ester in MeOH in the presence of sodium cyanoborohydride and acetic acid to afford aerothricin 111 [I; R1 = N(CH2CH2NH2)2, R2 = R3 = H, R5 = CONH2, Z = O, Y-(CH2)m-X-R4 = (CH2)12Me]. The aerothricins of formula I as well as pharmaceutically acceptable salts exhibit potent antifungal activity against various fungal infections, including Aspergillosis, in mice over a wide range of dosages. The synthesized aerothricins are less cytotoxic to hepatocytes than the known cyclic peptide derivs., e.g., WF11243.

IT **256947-24-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of)

RN 256947-24-7 HCAPLUS
CN Cyclo[alanyltyrosylvalyl-4-hydroxyprolylthreonylthreonyl-3-hydroxyprolyl-3-hydroxyglutaminylglycylthreonyl-N5-[3-[[(1,1-dimethylethoxy)carbonyl]amino]-L-alanyl]ornithyl-(3R)-3-hydroxyhexadecanoylthreonyl] (9CI) (CA INDEX NAME)

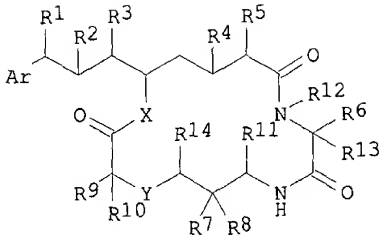
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 7 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:161129 HCAPLUS
DOCUMENT NUMBER: 128:230693
TITLE: Preparation of novel cryptophycin pharmaceuticals
INVENTOR(S): Al-Awar, Rima S.; Ehlhardt, William J.; Gottumukkala, Subbaraju V.; Martinelli, Michael J.; Moher, Eric D.; Moore, Richard E.; Munroe, John E.; Norman, Bryan H.; et al.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; University of Hawaii; Wayne State University; Al-Awar, Rima S.; Ehlhardt, William J.; Gottumukkala, Subbaraju V.; Martinelli, Michael J.; Moher, Eric D.
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9808505	A1	19980305	WO 1997-US15240	19970829	<--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9741701	A1	19980319	AU 1997-41701	19970829	<--
AU 722492	B2	20000803			
EP 934065	A1	19990811	EP 1997-939667	19970829	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
BR 9711986	A	19990824	BR 1997-11986	19970829	<--
CN 1233957	A	19991103	CN 1997-199082	19970829	<--
NO 9900833	A	19990426	NO 1999-833	19990222	<--

PRIORITY APPLN. INFO.:				
US 1996-25816P	P	19960830	<--	
US 1997-39113P	P	19970226	<--	
US 1997-39530P	P	19970303	<--	
US 1997-40029P	P	19970304	<--	
WO 1997-US15240	W	19970829	<--	

OTHER SOURCE(S): MARPAT 128:230693
GI



I

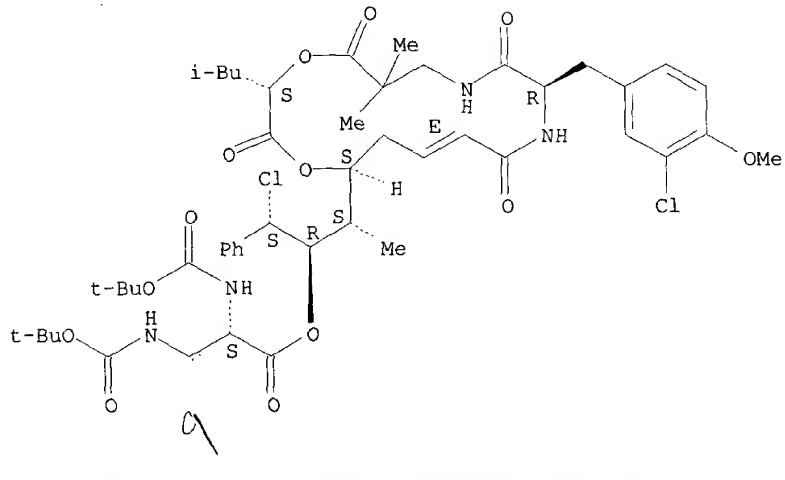
AB Cryptophycin compds. I [Ar = Ph, (un)substituted aryl or heteroaryl, heterocyclyl, etc.; R1 and R1 may form a ring or a bond; R3 = alkyl; R4, R5 = H, OH or together may form a second bond; R6 = (un)substituted benzyl, heteroaryl, cycloalkyl, etc.; R7 = alkylamino, alkoxy, H, alkyl; R8 = H, alkyl; R7 and R8 can form a cyclopropyl group; R9 = H, alkyl, alkenyl, alkylcycloalkyl, benzyl; R10 = H, alkyl; R11 = H, OH, alkyl, (un)substituted benzyl or phenyl; R12 = H, alkyl; R13 = may form a ring with the adjacent nitrogen atom; R14 = H, CO; X = O, C, S, NH, alkylamino; Y = C, O, NH, S, SO, SO2, alkylamino] were prepd. as antineoplastic agents. Thus, cryptophycin 55 acetate (LSN 362376) was prepd. and assayed for in vivo toxicity in the Gc3 tumor cell model (IC50 = 83 nM).

IT **204446-46-8P**, LSN 382765
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of novel cryptophycin pharmaceuticals)

RN 204446-46-8 HCAPLUS

CN Pentanoic acid, 3-chloro-N-[(2E,5S,6S,7R,8S)-8-chloro-5,7-dihydroxy-6-methyl-1-oxo-8-phenyl-2-octenyl]-O-methyl-D-tyrosyl-2,2-dimethyl-.beta.-alanyl-2-hydroxy-4-methyl-, (3.fwdarw.15)-lactone, 17-ester with N-[(1,1-dimethylethoxy)carbonyl]-3-[[(1,1-dimethylethoxy)carbonyl]amino]-L-alanine, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



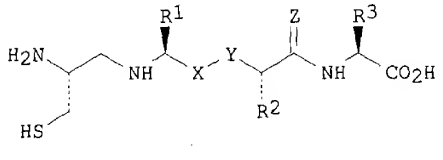
L31 ANSWER 9 OF 28 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:696611 HCAPLUS
DOCUMENT NUMBER: 127:359110
TITLE: Preparation of transferase inhibitors for treating cancer
INVENTOR(S): Gibbs, Jackson B.; Kohl, Nancy E.; Oliff, Allen I.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Gibbs, Jackson B.; Kohl, Nancy E.; Oliff, Allen I.
SOURCE: PCT Int. Appl., 301 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738664	A2	19971023	WO 1997-US6248	19970415 <--
WO 9738664	A3	19971120		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2251955	AA	19971023	CA 1997-2251955	19970415 <--
AU 9728022	A1	19971107	AU 1997-28022	19970415 <--
EP 952842	A2	19991103	EP 1997-922313	19970415 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000513711	T2	20001017	JP 1997-537313	19970415 <--

PRIORITY APPLN. INFO.: US 1996-15589P P 19960418 <--
GB 1996-11982 A 19960607 <--
WO 1997-US6248 W 19970415 <--

OTHER SOURCE(S): MARPAT 127:359110
GI



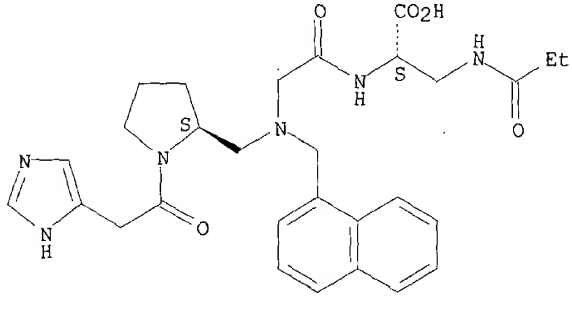
I

AB Geranylgeranyl-protein transferase-type I (GGPTase-I) and farnesyl protein transferase (FTase) inhibitors I [R1, R2 = (un)substituted alkyl, alkenyl, alkynyl, aryl, heteroaryl, or side chain of a naturally occurring amino acid; R3 = alkyl, alkenyl, or alkynyl which are optionally substituted by a Ph group; X-Y = CONH, CH2O, or CH:CH; Z = H2, O] were prepd. for treating cancer. Thus, N-[N-[N-[[1-(4-cyanobenzyl)-1H-imidazol-5-yl]acetyl]pyrrolidin-2(S)-ylmethyl]-3(S)-ethylprolyl]methionine iso-Pr ester was prepd. and assayed for transferase inhibitory activity [IC50 = 1.8 nM (FPTase) and 3000 nM (GGPTase-I)].

IT **179014-32-5P 179014-33-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of transferase inhibitors for treating cancer)

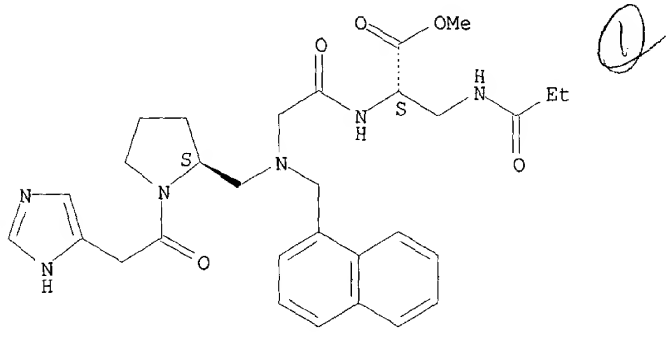
RN 179014-32-5 HCAPLUS
CN L-Alanine, N-[N-[[1-(1H-imidazol-4-ylacetyl)-2-pyrrolidinyl]methyl]-N-(1-naphthalenylmethyl)glycyl]-3-[(1-oxopropyl)amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179014-33-6 HCAPLUS
CN L-Alanine, N-[N-[[1-(1H-imidazol-4-ylacetyl)-2-pyrrolidinyl]methyl]-N-(1-naphthalenylmethyl)glycyl]-3-[(1-oxopropyl)amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 10 OF 28 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	1997:672274 HCAPLUS
DOCUMENT NUMBER:	127:331747
TITLE:	Preparation of imidazole derivatives and imidazole-contg. peptide analogs and a method of treating cancer
INVENTOR(S):	Heimbrook, David C.; Oliff, Allen I.; Stirdivant, Steven M.
PATENT ASSIGNEE(S):	Merck & Co., Inc., USA; Heimbrook, David C.; Oliff, Allen I.; Stirdivant, Steven M.
SOURCE:	PCT Int. Appl., 313 pp. CODEN: PIXXD2
DOCUMENT TYPE:	**Patent**
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9736587	A1	19971009	WO 1997-US5328	19970331	<--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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AU 9727221	A1	19971022	AU 1997-27221	19970331	<--
AU 727939	B2	20010104			
EP 906099	A1	19990407	EP 1997-921085	19970331	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 2000504023	T2	20000404	JP 1997-535542	19970331	<--

PRIORITY APPLN. INFO.:

US 1996-14773P	P	19960403	<--
GB 1996-13599	A	19960628	<--
WO 1997-US5328	W	19970331	<--

OTHER SOURCE(S): MARPAT 127:331747
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to a method of treating cancer which comprises administering to a mammalian patient a compd. which inhibits Raf (a Raf antagonist) and a compd. which inhibits farnesyl protein transferase. The cancer to be treated is selected from the brain, genitourinary tract, lymphatic system, stomach, larynx, and lung. The Raf antagonists are represented by formula [e.g. I; AR = arom. group contg. 6-10 atoms; X, X1 = (CH2)m-Y-(CH2)n; wherein m, n = 0-4 and m+n = 0-6; Y = a direct bond, O, S, SO, SO2, (un)substituted NH, SONH, SO2NH, NHSO, NHSO2, CONH, or NHCO, CO, CO2, O2C; "HET" ring = 4- to 10-membered non-arom. heterocyclic ring contg. at least 1 N and optionally contg. 1-2 addnl. N atoms and 0-1 O or S atom; Rx = H, C1-6 alkyl(Rq)3, O-C1-6 alkyl(Rq)3, CO-C1-6 alkyl(Rq)3; R, R'' = halo, OH, C1-6 alkyl(Rq)3, O-C1-6 alkyl(Rq)3, C3-8 cycloalkyl(Rq)3, cyano, (un)substituted CONH2 or NH2, CO2H or its alkyl ester, CF3, SH, NO2, (un)substituted SO2NH2, etc.; R' = (un)substituted CONH2, CO2H or its (cyclo)alkyl ester, CO C3-8 cycloalkyl(Rq)3, CO-C3-8 cycloalkyl(Rq)3, CO-heterocyclyl(Rq)3, CO-(hetero)aryl(Rq)3, etc.; wherein Rq = H, OH, C1-4 alkyl, C1-4 alkoxy, aryl, C1-4 alkyl-carbonyl, cyano, CO2H, C1-4 alkoxycarbonyl, C1-4 alkylcarbonyl, (un)substituted NH2, etc.]. The farnesyl protein transferase inhibitors are represented by formula [e.g. II; R = (R8)r-V-Al[C(R1a)2]nA2[C(R1a)2]n-(WR9)t-[C(R1b)]p; R1a, R1b = H, aryl, heterocyclyl, C3-10 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, (un)substituted OH, cyano, NO2, (un)substituted C1-6 alkyl, etc.; R2, R3 = H, (un)substituted C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, aryl, heterocyclyl, CONH2, CO2H, NH2, NHCONH2, or O2CNH2, etc.; R4 , R5 = H, Me; R8 = H, aryl, heterocyclyl, C3-10 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, perfluoroalkyl, F, Cl, Br, (un)substituted OH, acylamino, cyano, NO2, (un)substituted C(:NH)NH2, acyl, (un)substituted CO2H, N3, (un)substituted NH2, etc.; R9 = H, C2-6 alkenyl, C2-6 alkynyl, perfluoroalkyl, F, Cl, Br, (un)substituted OH, acylamino, cyano, NH2, (un)substituted C(:NH)NH2,

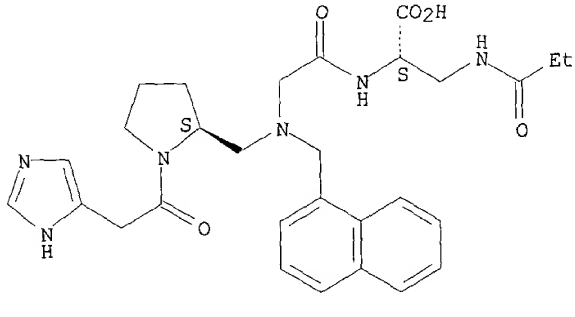
acyl, (un)substituted CO2H, N3, (un)substituted NH2, (un)substituted C1-6 alkyl, etc.; A1, A2 = a bond, CH:CH, C.tplbond.C, CO, (un)substituted CONH, NHCO, NH, SO2NH, NHSO2, S, SO, SO2; V = H, heterocyclyl, aryl, C1-20 alkyl (wherein 0-4 c atoms are replaced with a heteroatom selected from O, S, and N), C2-20 alkyl; W = heterocycle; X = CH2, CO, S, SO, SO2; Y = (un)substituted aryl or heterocyclyl; n, p = 0, 1-4; r = 0-5; m, t = 0, 1]. They are also represented by peptide analog of formula [e.g. III; R = (R8)r-V-A1[C(R1a)2]nA2[C(R1a)2]n-(WR9)u-[C(R1b)]p; R1a, R1b, V, W, n, p, r = same as above; R2a, R2b = H, (un)substituted C1-6 alkyl, aryl, heterocyclyl, C3-10 cycloalkyl, C2-6 alkenyl, (un)substituted OH, acylamino, cyano, NO2, H2NC(:NH), acyl, (un)substituted CO2H, N3, (un)substituted NH2, etc.; R3, R4, R5a, R5b = a side chain of a naturally occurring amino acid, methionine sulfoxide, or methionine sulfone, (un)substituted C1-20 alkyl, C2-20 alkenyl, C3-10 cycloalkyl, aryl, or heterocyclyl, etc.; or R3R4 = (CH2)4 or 5; or R5aR5b = (CH2)4 or 5 wherein one of the C atoms is optionally replaced by O, S, SO, SO2, N-CO, and N-acyl-NH; X-Y = N-(un)substituted CONH or CH2NH, CH2O, CH2S, CH2SO, CH2SO2, trans-CH:CH, CH2CH2; R8 = H, aryl, heterocyclyl, C3-10 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, perfluoroalkyl F, Cl, Br, (un)substituted OH, acylamino, cyano, NO2, (un)substituted H2NC(:NH), acyl, (un)substituted CO2H, N3, (un)substituted NH2, (un)substituted C1-6 alkyl, etc.; R9 = H, C2-6 alkenyl, C2-6 alkynyl, perfluoroalkyl, F, C;l, Br, (un)substituted OH, acylamino, cyano, NO2, H2NC(:NH), acyl, (un)substituted CO2H, N3, (un)substituted NH2, (un)substituted C1-6 alkyl etc.; Z = H2, O; u = 0,1; m = 3,4,5]. Thus, 1-(4-nitrobenzyl)-1H-imidazol-5-ylacetic acid hydrochloride was condensed with N-[2(S)-amino-3(S)-methylphenyl]-N-(naphthylmethyl)glycyl-L-methionine Me ester dihydrochloride using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 3-hydroxy-1,2,3-benzotriazin-4(3H)-one in CH2Cl2 at room temp. overnight to give a peptide deriv. (III; R = NO2), which was converted into the 4-cyano deriv. III (R = cyano).

IT **179014-32-5P 179014-33-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazole derivs. as Raf protein antagonists and imidazole-contg. peptide analogs as farnesyl protein transferase inhibitors for treating cancer)

RN 179014-32-5 HCAPLUS

CN L-Alanine, N-[N-[[1-(1H-imidazol-4-ylacetyl)-2-pyrrolidinyl]methyl]-N-(1-naphthalenylmethyl)glycyl]-3-[(1-oxopropyl)amino]-, (S)- (9CI) (CA INDEX NAME)

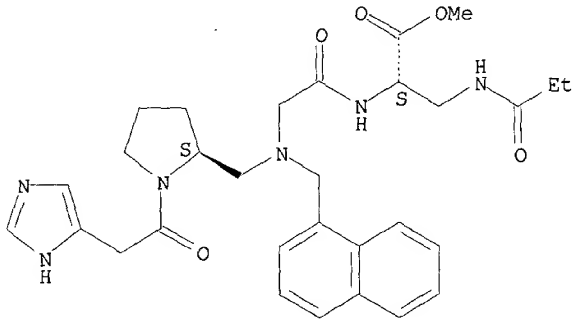
Absolute stereochemistry.



RN 179014-33-6 HCAPLUS

CN L-Alanine, N-[N-[[1-(1H-imidazol-4-ylacetyl)-2-pyrrolidinyl]methyl]-N-(1-naphthalenylmethyl)glycyl]-3-[(1-oxopropyl)amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 11 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:576604 HCAPLUS
DOCUMENT NUMBER: 127:248418
TITLE: Preparation of heterocyclic peptide analogs as thiol-free inhibitors of farnesyl-protein transferase
INVENTOR(S): Anthony, Neville J.; Ciccarone, Terrence M.; Desolms, S. Jane; Graham, Samuel L.; Stokker, Gerald E.; Wiscount, Catherine M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 73 pp. Cont.-in-part of U.S. Ser. No. 472,077, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5661161	A	19970826	US 1995-527972	19950914	<--
WO 9610035	A1	19960404	WO 1995-US12474	19950927	<--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UG, US, US, US, US, UZ					
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9537312	A1	19960419	AU 1995-37312	19950927	<--
AU 701763	B2	19990204			
EP 783518	A1	19970716	EP 1995-935199	19950927	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 10506900	T2	19980707	JP 1995-512037	19950927	<--
ZA 9508162	A	19960424	ZA 1995-8162	19950928	<--
US 5872135	A	19990216	US 1997-824936	19970326	<--
AU 9926925	A1	19990624	AU 1999-26925	19990504	<--
PRIORITY APPLN. INFO.:			US 1994-315161	19940929	<--
			US 1995-399282	19950306	<--

US 1995-472077 19950606 <--
US 1995-527972 19950914 <--
AU 1995-37312 19950927 <--
WO 1995-US12474 19950927 <--

OTHER SOURCE(S): MARPAT 127:248418

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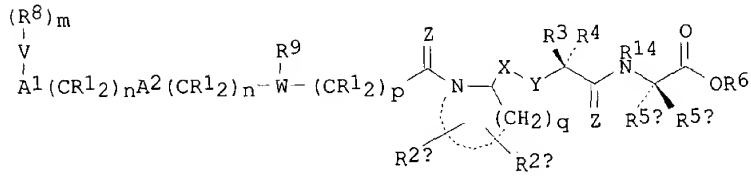

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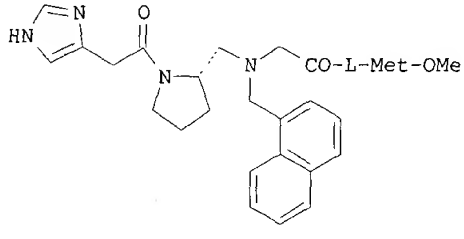


II

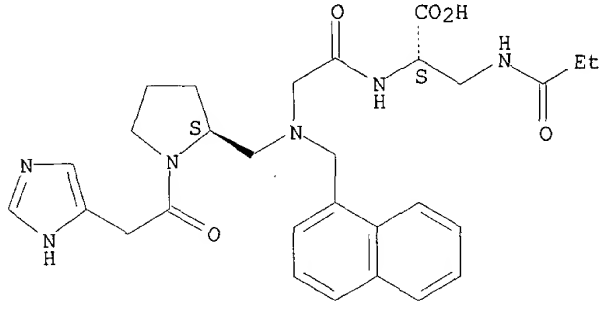
AB Peptide analogs I [R1 = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, (un)substituted C1-6 alkyl, etc.; R2a, R2b, R3, R4, R5a, R5b = amino acid side chain, CH2CH2S(O)Me, CH2CH2SO2Me, (un)substituted C1-20 alkyl, C2-20 alkenyl, C3-10 cycloalkyl, aryl, heterocyclyl, etc.; or R2aR2b or R3R4 form -(CH2)s-; or R5aR5b form -(CH2)s- wherein one of the C atoms is replaced by O, S(O)t, NC(O), N-acylamino, wherein s = 4 or 5, t = 0-2; or R5aR5b form a ring with R14; X-Y = N-(un)substituted CONH, CH2NH, CH2O, CH2S(O)t, trans-CH:CH; R6 = H, C1-6 alkyl, C1-8 alkyl substituted with aryl, heterocyclyl, N(R11)2, OR10, etc.; R5aR6 form 5-7 membered lactone ring; R8 = H, aryl, heterocyclyl, alkenyl, perfluoroalkyl, F, CN, NO2, (un)substituted C1-6 alkyl, etc.; R9 = H, alkenyl, perfluoroalkyl, Cl, Br, N3, CN, (un)substituted C1-6 alkyl, etc.; R10 = H, C1-6 alkyl, aryl; R11 = C1-6 alkyl, aryl; R14 = H, C1-6 alkyl, benzyl; A1, A2 = bond, CH:CH, C.tplbond.C, O, CO, N-(un)substituted NH, CONH, S(O)2NH, S(O)t, etc., V = H, aryl, heterocyclyl, C1-20 alkyl with 0-4 non-terminal atoms replaced with O, S, N; C2-20 alkenyl; W = heterocyclyl or W-R9 = absent; Z = H2, O; n, p = 0-4; m = 0-5; q = 3-5] of the CAAX motif of the protein RAS that is modified by farnesylation in vivo are prepd. These CAAX analogs inhibit farnesyl-protein transferase. Furthermore, these CAAX analogs differ from those previously described as inhibitors of farnesyl-protein transferase in that they do not have a thiol moiety. The lack of the thiol offers unique advantages in terms of improved pharmacokinetic behavior in animals, prevention of thiol-dependent chem. reactions, such as rapid autoxidn. and disulfide formation with endogenous thiols, and reduced systemic toxicity. Further contained in this invention are chemotherapeutic compns. contg. these farnesyl transferase inhibitors and methods for their prodn. Thus, sequential reductive alkylations of H-Gly-OMe.HCl with N-tert-butoxycarbonyl-L-prolinal and 1-naphthaldehyde, followed by sapon., peptide coupling with H-Met-OMe.HCl,

deprotection, and amidation with 4-imidazoleacetic acid hydrochloride, gave reduced bond peptidomimetic II. II and related compds. showed in vitro inhibition of human farnesyltransferase with IC50 <10 .mu.M.

IT **179014-32-5 179014-33-6**
RL: BAC (Biological activity or effector, except adverse); THU . (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of heterocyclic peptide analogs as thiol-free inhibitors of farnesyl-protein transferase)

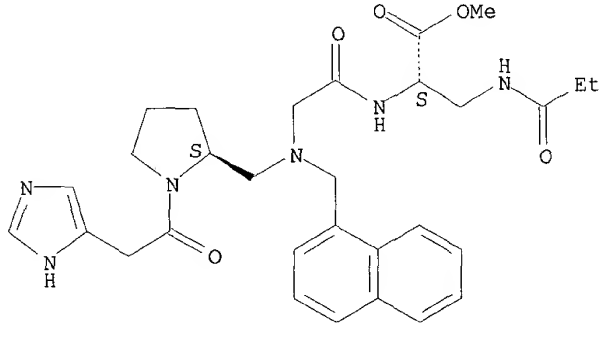
RN 179014-32-5 HCAPLUS
CN L-Alanine, N-[N-[[1-(1H-imidazol-4-ylacetyl)-2-pyrrolidinyl]methyl]-N-(1-naphthalenylmethyl)glycyl]-3-[(1-oxopropyl)amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179014-33-6 HCAPLUS
CN L-Alanine, N-[N-[[1-(1H-imidazol-4-ylacetyl)-2-pyrrolidinyl]methyl]-N-(1-naphthalenylmethyl)glycyl]-3-[(1-oxopropyl)amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 12 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:195727 HCAPLUS
DOCUMENT NUMBER: 126:199837
TITLE: Preparation of photoreactive peptide derivatives for photoaffinity labeling of major histocompatibility complex (MHC) molecules

INVENTOR(S): Leuscher, Immanuel; Anjuere, Fabienne; Layere, Andreas; Romero, Pedro; Cerrotini, Jean-Charles
PATENT ASSIGNEE(S): Ludwig Institute for Cancer Research, USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9702282	A1	19970123	WO 1996-US10869	19960625 <--
W: AU, CA, CN, FI, JP, NO, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5827073	A	19981027	US 1995-498461	19950705
CA 2225636	AA	19970123	CA 1996-2225636	19960625 <--
AU 9665418	A1	19970205	AU 1996-65418	19960625 <--
AU 700981	B2	19990114		
EP 837876	A1	19980429	EP 1996-925264	19960625 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000500116	T2	20000111	JP 1997-505187	19960625 <--

PRIORITY APPLN. INFO.: US 1995-498461 19950705 <--
WO 1996-US10869 19960625 <--

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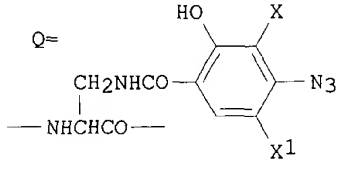


AB This invention relates to a method of producing synthetic photoreactive peptide derivs., which involves (a) producing a synthetic peptide using linear synthesis, (b) substituting an amino acid of said peptide with a photoreactive amino acid at a position such that said photoreactive amino acid does not change the binding abilities of said peptide, and (c) specifically radioiodinating said photoreactive amino acid. These photoreactive peptide derivs. can be used to det. whether specific peptides are able to bind to specific MHC mols. Thus, a photoreactive deriv. of the melanoma derived MAGE-1 peptide 161-169 (EADPTGHSY), i.e. H-EADPTGDap(ASA)SY(PO3H2)-OH [I; Dap(ASA)= N.beta.-(4-azidosalicyloyl)-2,3-diaminopropionic acid residue (Q), wherein X = X1 = H], was synthesized by conventional solid phase peptide synthesis based on the Fmoc strategy using Fmoc-Dap(ASA)-OH (wherein X = X1 = H prepn. given) and Fmoc-Tyr(PO3H2)-OH and was next subjected to iodination with NaI and chloramine T and then dephosphorylated with alk. phosphatase to give a mixt. of 3-iodinated H-EADPTGDap(ASA)SY-OH (II; X = iodo, X1 = H), 5-iodinated II (X = H, X1 = iodo), and 3,5-diiodinated II (X = X1 = iodo). 125I-radiolabeled II was similarly prepd. by iodination of I (X = X1 = H) with Na125I and chloramine T followed by dephosphorylation and was incubated with HLA-A1 transfected CIR cells in the presence of .beta.2-microglobulin and irradiated with UV using a 15 W mercury fluorescence lamp to show remarkable specificity for photoaffinity

labeling of mols. HLA-A1 and lack of significant labeling of other cellular components.

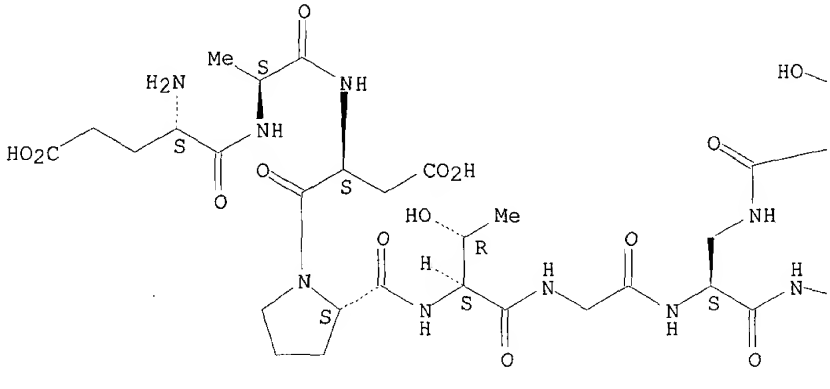
IT **167695-13-8P 187603-67-4P 187603-68-5P**
187603-69-6P 187603-70-9P 187603-71-0P
187603-72-1P 187603-73-2P 187603-74-3P
187603-75-4P 187603-76-5P 187603-77-6P
187603-78-7P 187603-79-8P 187603-80-1P
187603-81-2P 187603-82-3P 187603-83-4P
187603-84-5P 187603-85-6P 187603-86-7P
187603-87-8P 187603-88-9P 187603-89-0P
RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of photoreactive peptide derivs. for photoaffinity labeling of major histocompatibility complex (MHC) mols.)

RN 167695-13-8 HCAPLUS

CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[(4-azido-2-hydroxy-3-iodobenzoyl)amino]-L-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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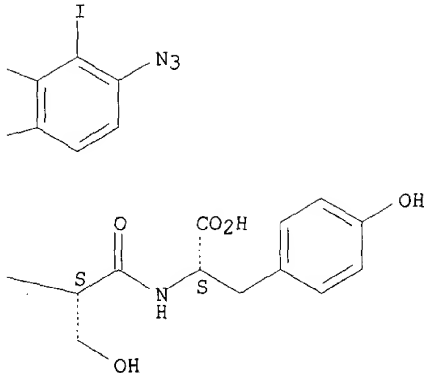
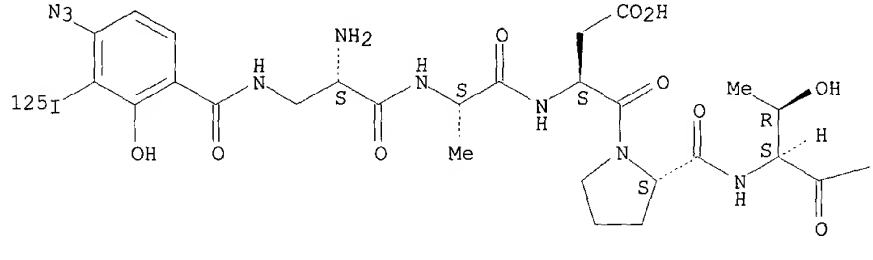


RN 187603-67-4 HCAPLUS
CN L-Tyrosine, 3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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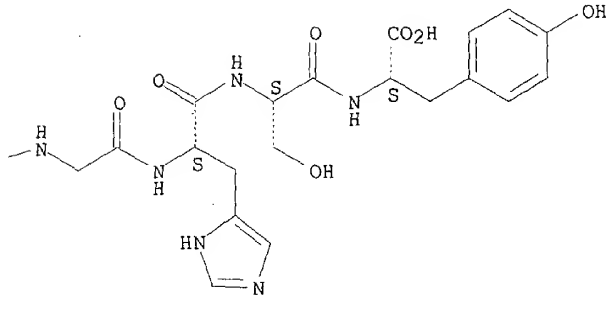
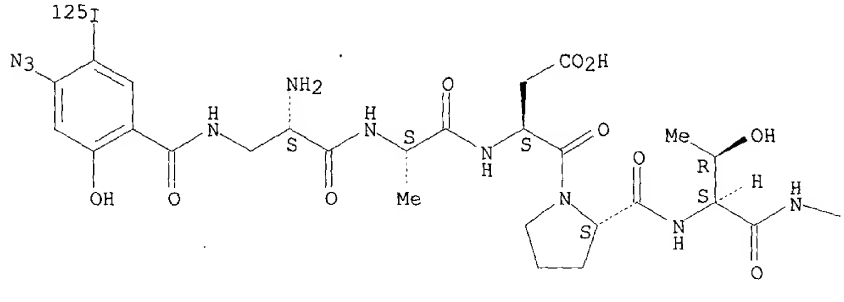


RN 187603-68-5 HCAPLUS
CN L-Tyrosine, 3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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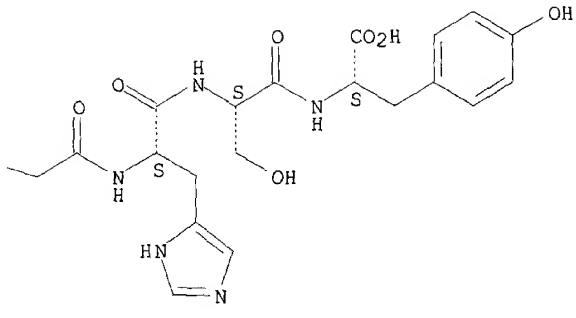

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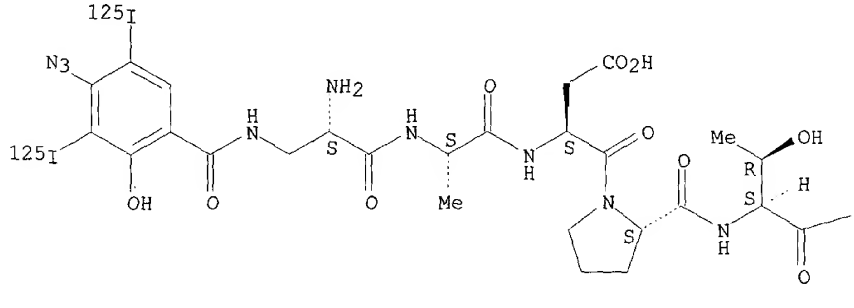
Absolute stereochemistry.

PAGE 1-A



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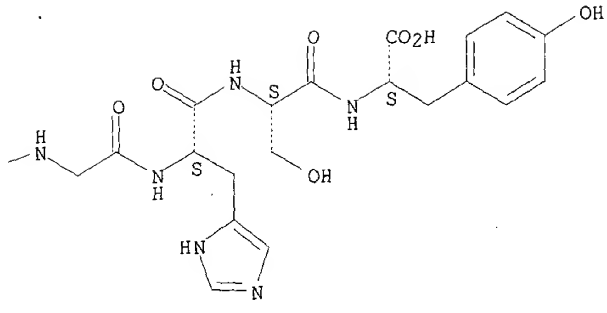

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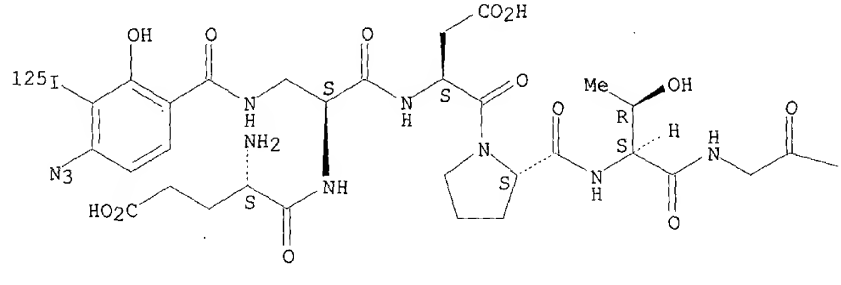
Absolute stereochemistry.

PAGE 1-A



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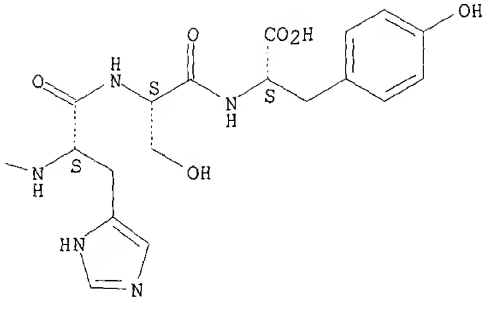

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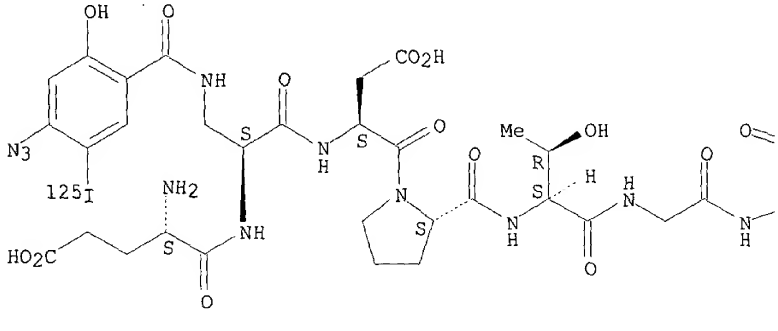
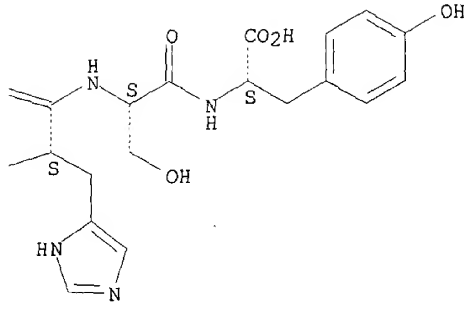
Absolute stereochemistry.

PAGE 1-A



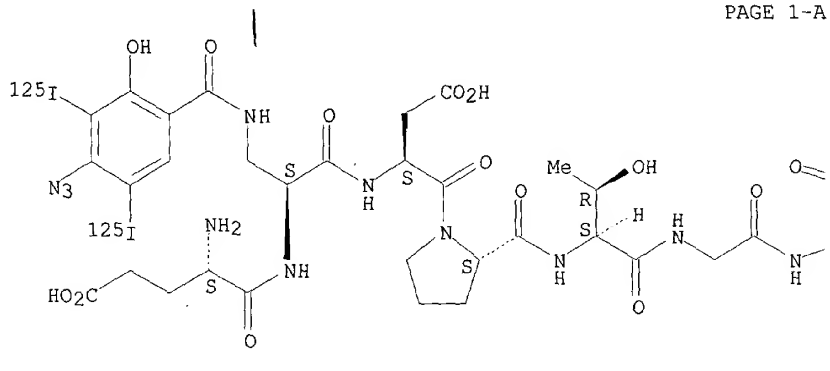
PAGE 1-B



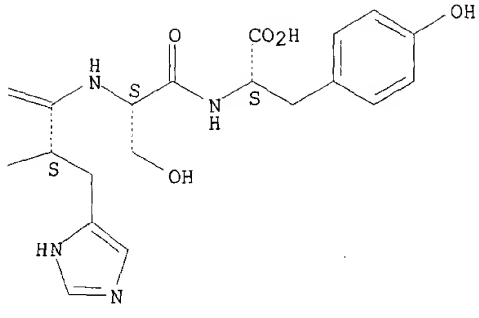
RN 187603-72-1 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



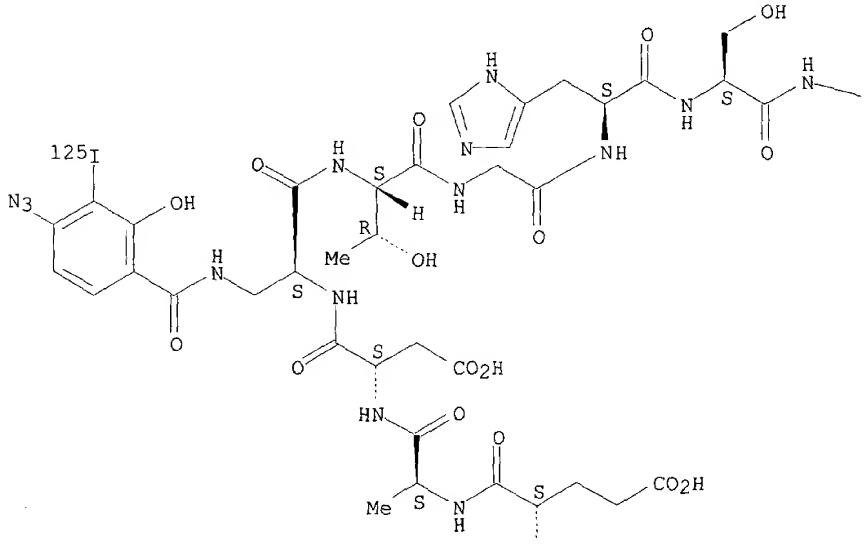
PAGE 1-B



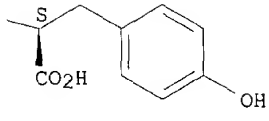
RN 187603-73-2 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

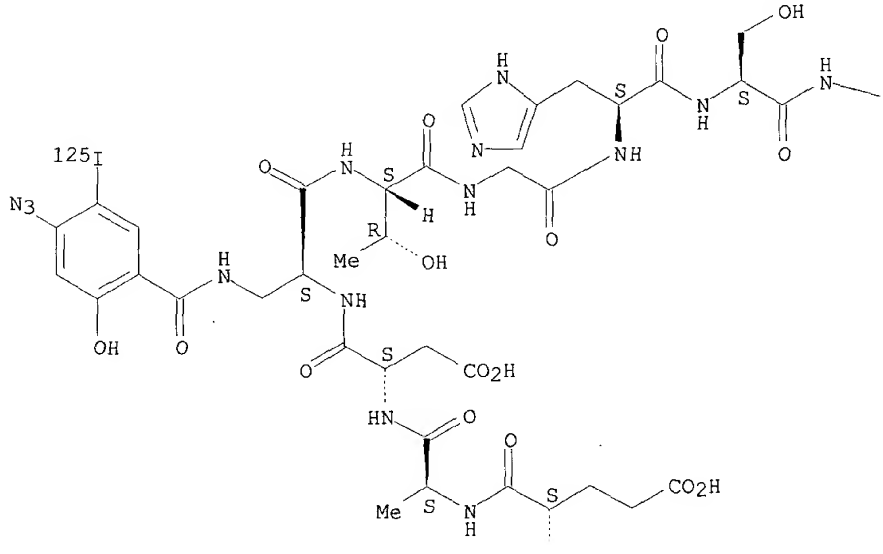


PAGE 2-A

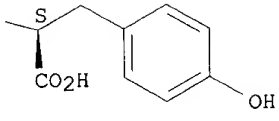
RN 187603-74-3 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



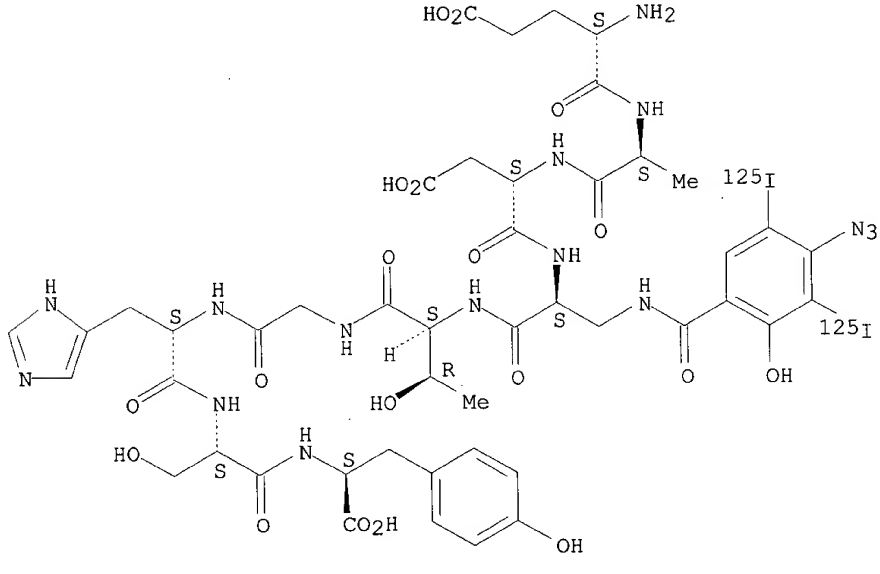
PAGE 1-B



PAGE 2-A

RN 187603-75-4 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

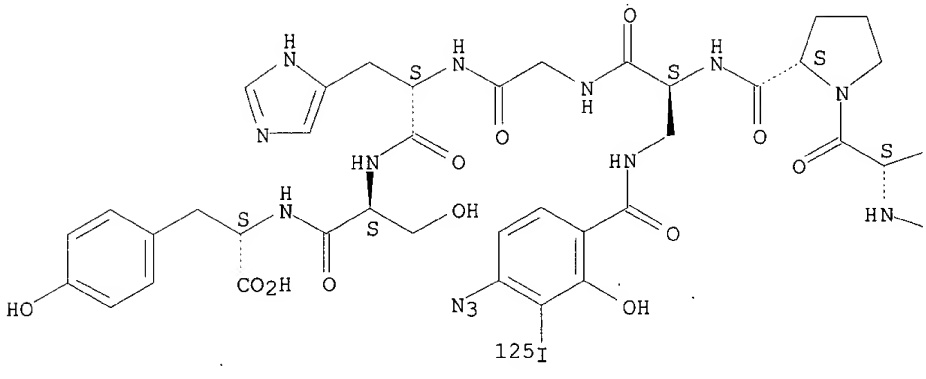
Absolute stereochemistry.



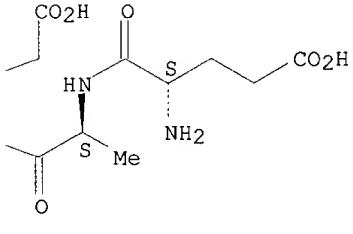
RN 187603-76-5 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



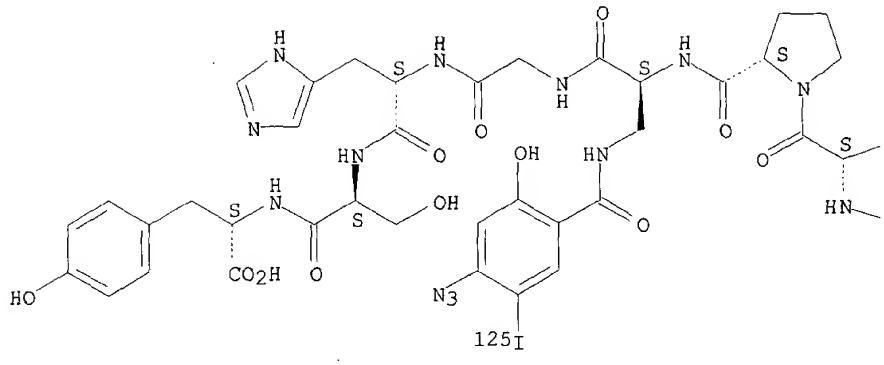
PAGE 1-B



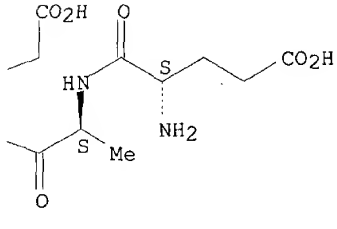
RN 187603-77-6 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



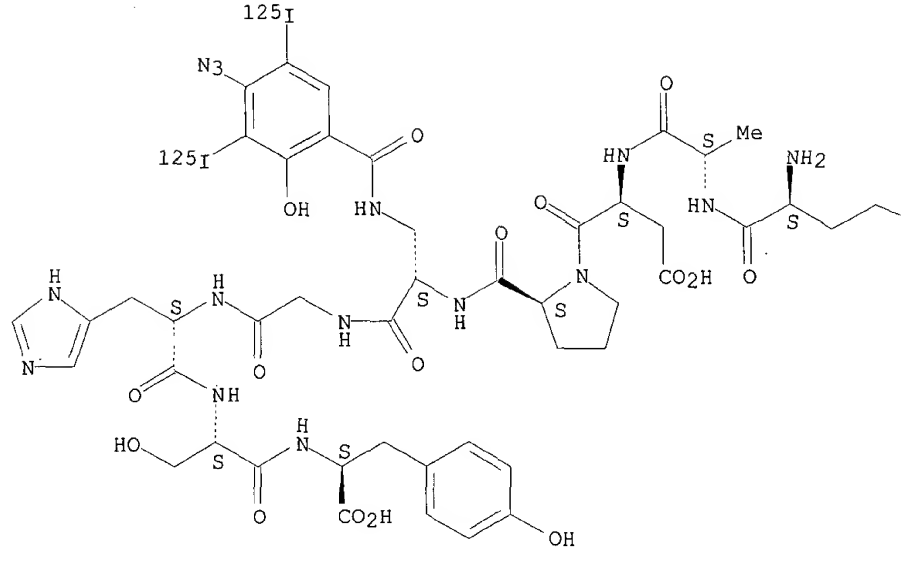
PAGE 1-B



RN 187603-78-7 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

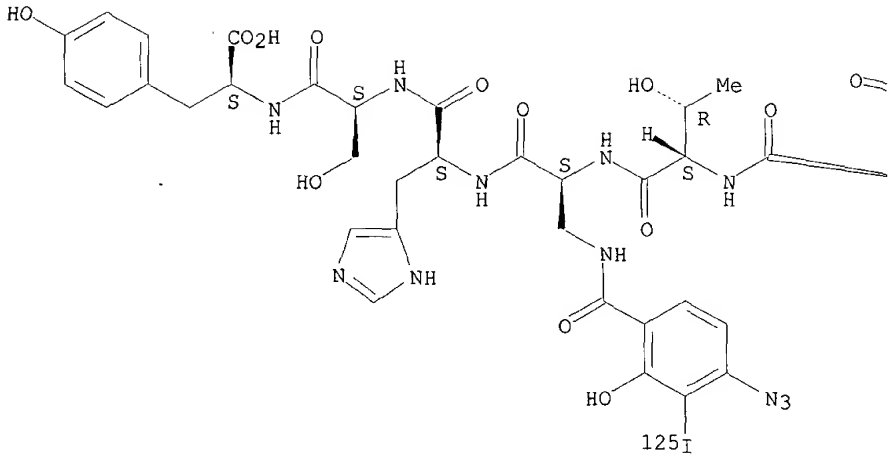


PAGE 1-B

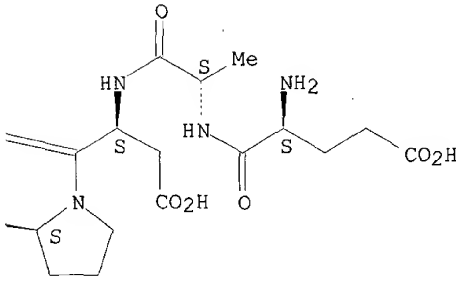
RN 187603-79-8 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



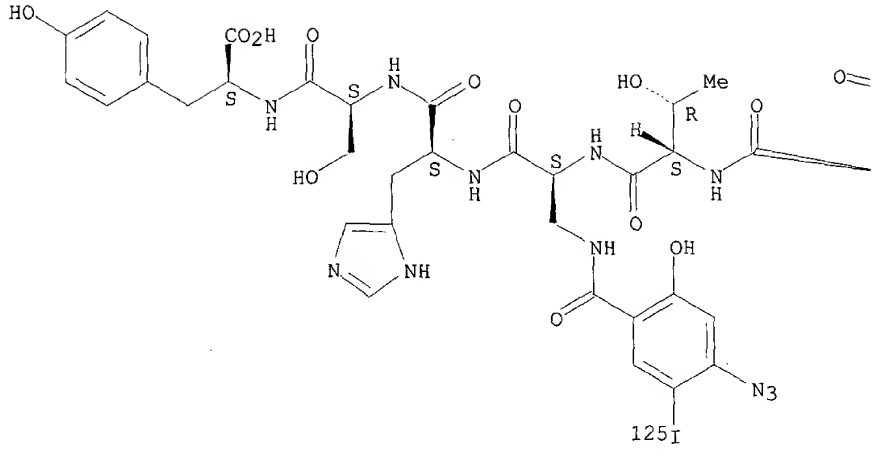
PAGE 1-B



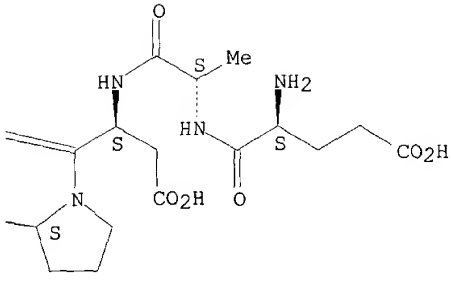
RN 187603-80-1 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



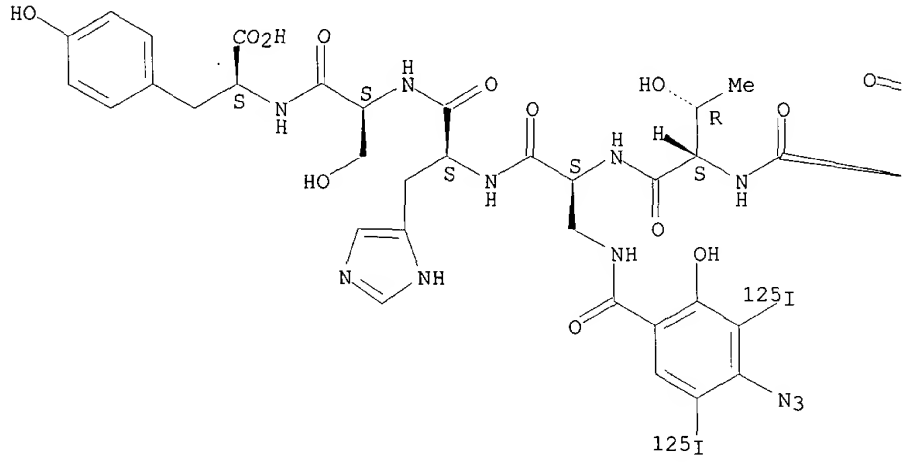
PAGE 1-B



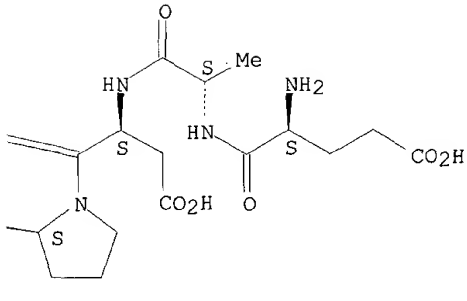
RN 187603-81-2 HCAPLUS
CN L-Tyrosine,. L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



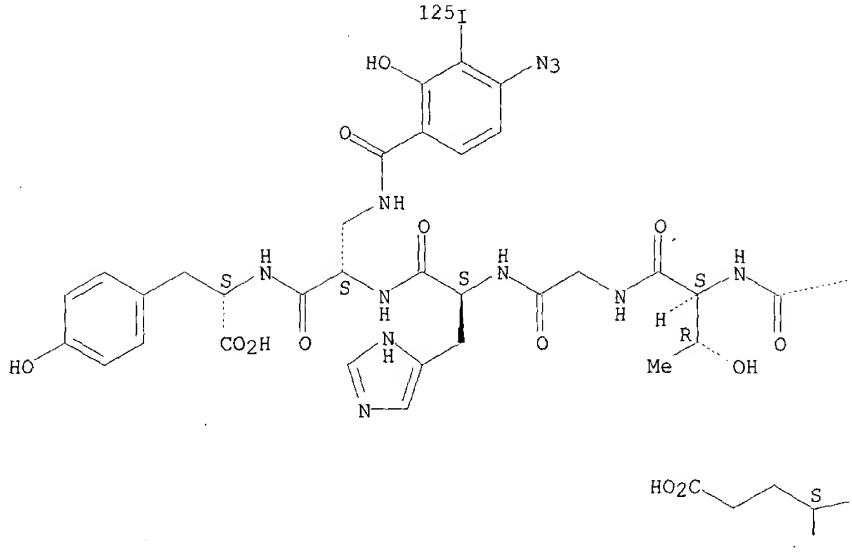
PAGE 1-B



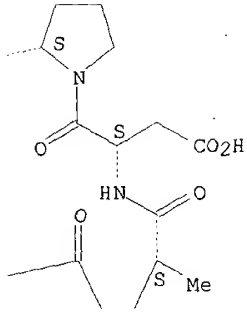
RN 187603-82-3 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



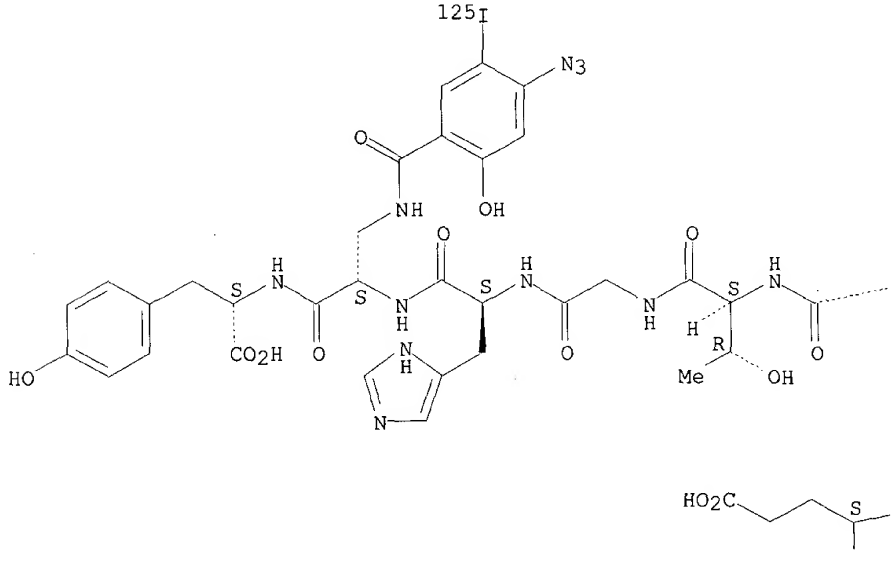
PAGE 2-A

PAGE 2-B

RN 187603-83-4 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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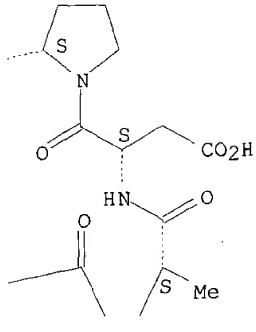


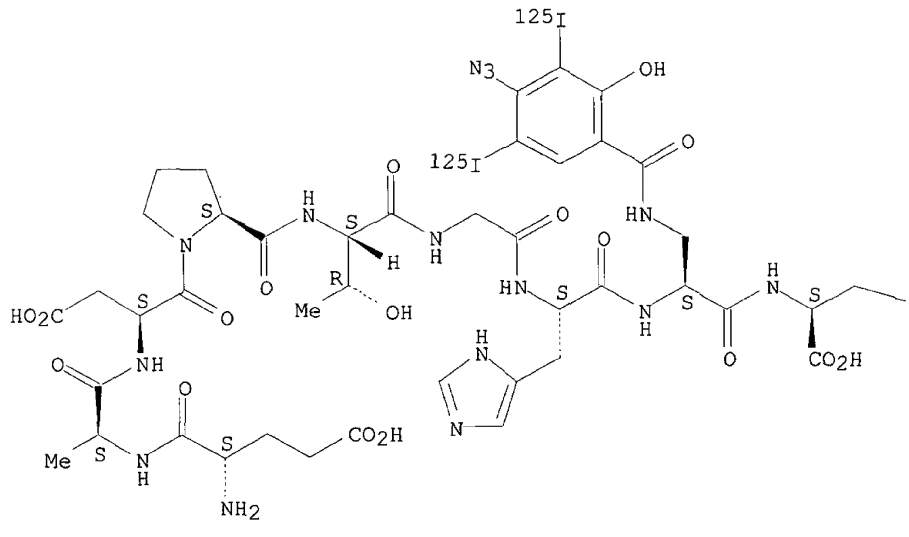
PAGE 2-A

PAGE 2-B

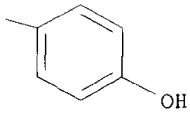
RN 187603-84-5 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



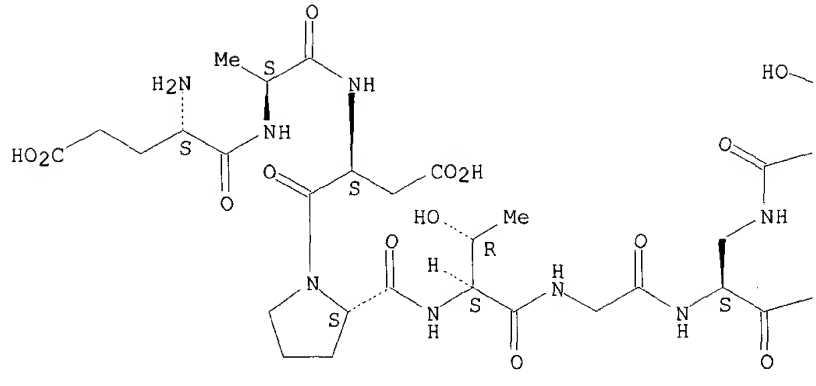
PAGE 1-B



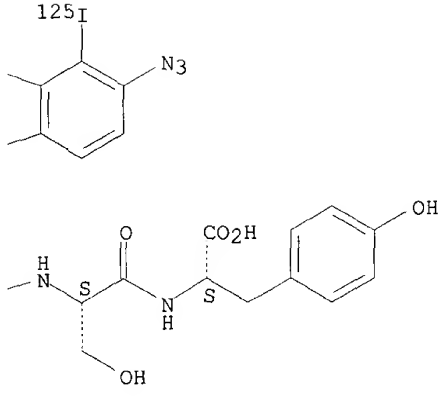
RN 187603-85-6 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



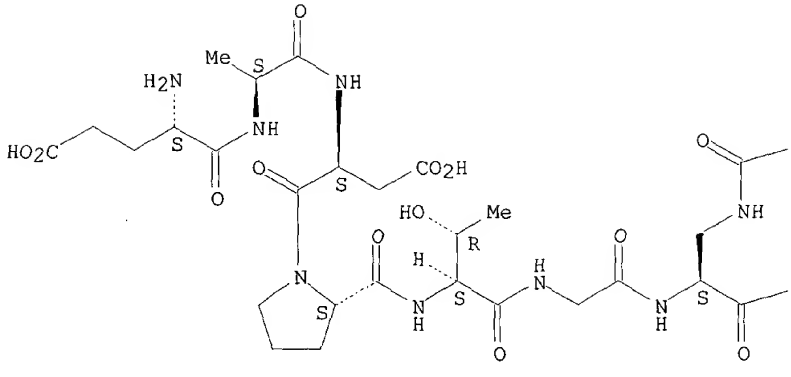
PAGE 1-B



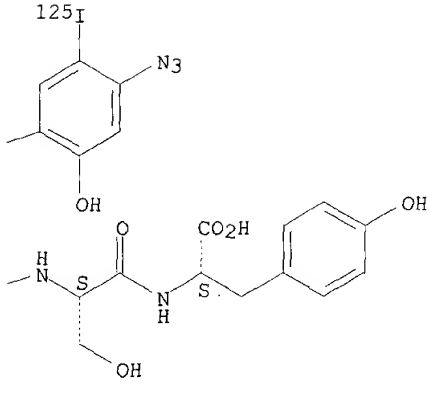
RN 187603-86-7 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



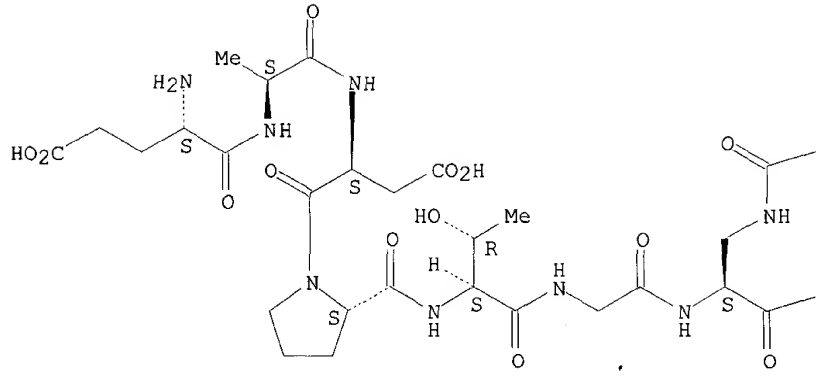
PAGE 1-B



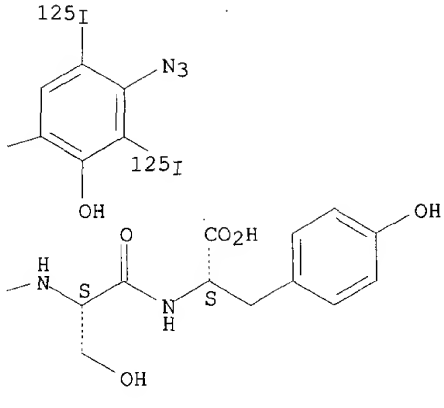
RN 187603-87-8 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



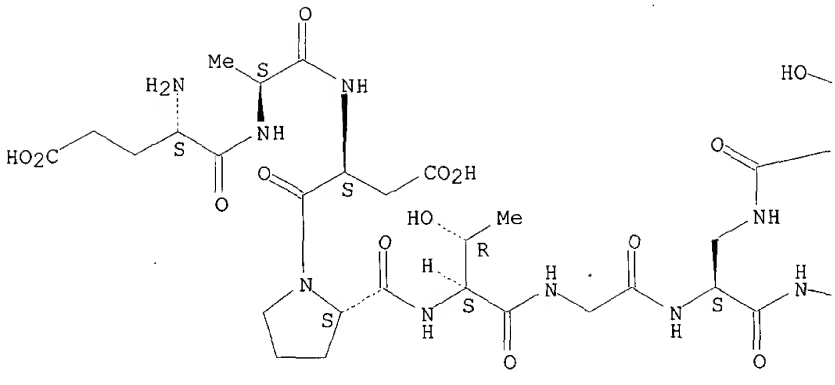
PAGE 1-B



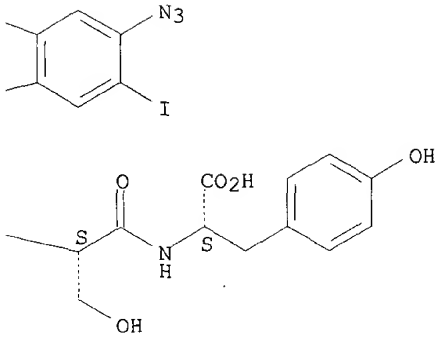
RN 187603-88-9 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[(4-azido-2-hydroxy-5-iodobenzoyl)amino]-L-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



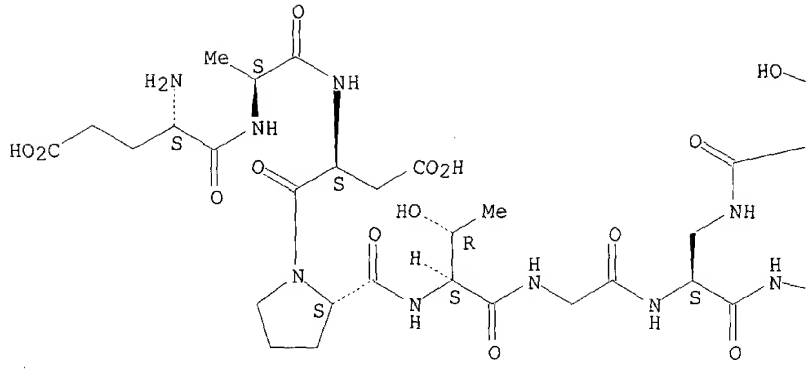
PAGE 1-B



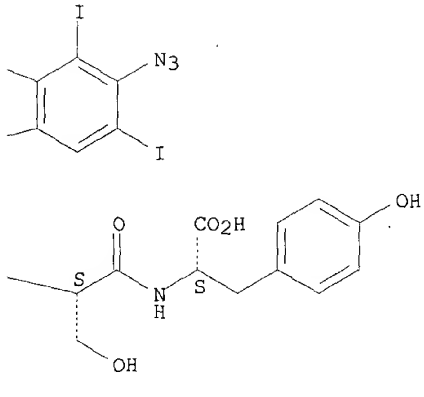
RN 187603-89-0 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[(4-azido-2-hydroxy-3,5-diiodobenzoyl)amino]-L-alanyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

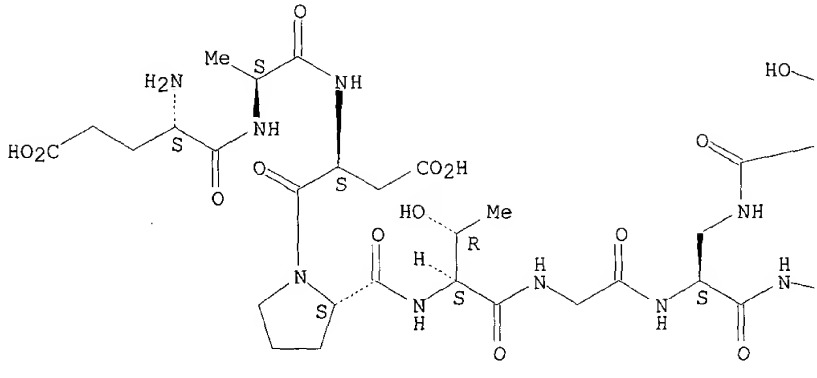


IT **187603-36-7P 187603-37-8P 187603-38-9P**
187603-39-0P 187603-40-3P 187603-41-4P
187603-42-5P 187603-43-6P 187603-44-7P
187603-45-8P 187603-46-9P 187603-47-0P
187603-48-1P 187603-49-2P 187603-50-5P
187603-51-6P 187603-52-7P 187603-53-8P
187603-54-9P 187603-55-0P 187603-56-1P
187603-57-2P 187603-58-3P 187603-59-4P
187603-60-7P 187603-61-8P 187603-62-9P
187603-63-0P 187603-64-1P 187603-65-2P
187603-66-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of photoreactive peptide derivs. for photoaffinity labeling of major histocompatibility complex (MHC) mols.)
RN 187603-36-7 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[(4-azido-2-hydroxybenzoyl)amino]-L-alanyl-L-seryl-,

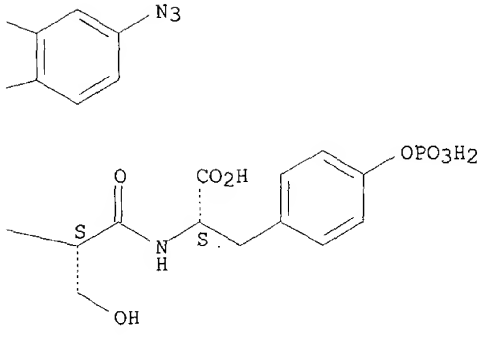
9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



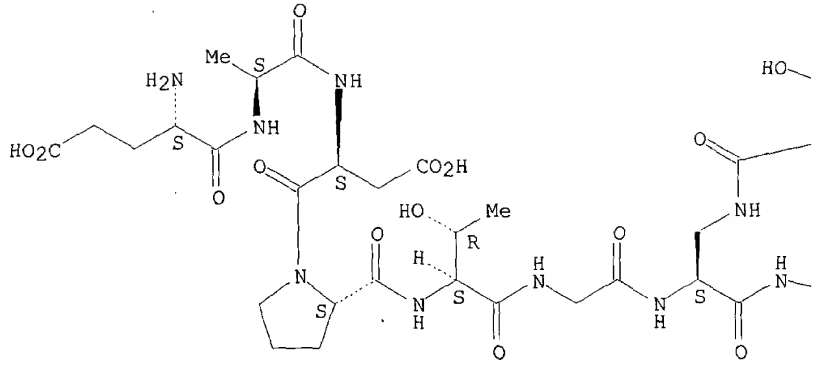
PAGE 1-B



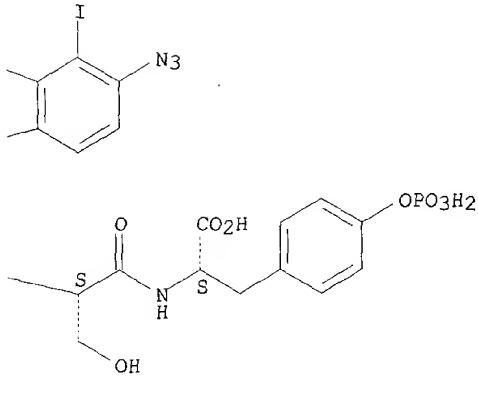
RN 187603-37-8 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[(4-azido-2-hydroxy-3-iodobenzoyl)amino]-L-alanyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



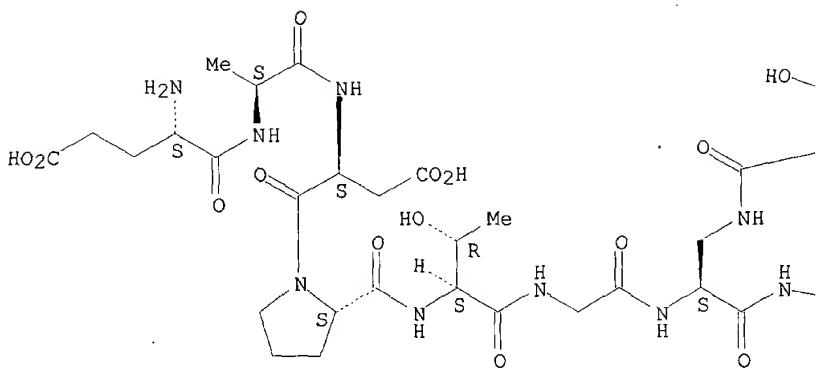
PAGE 1-B



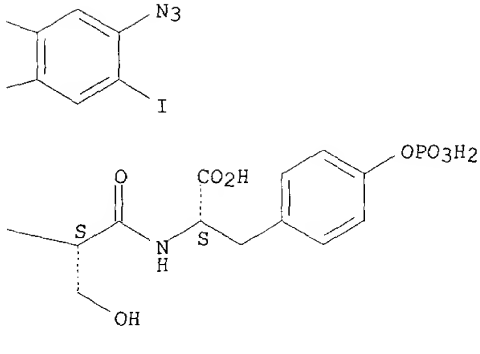
RN 187603-38-9 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[(4-azido-2-hydroxy-5-iodobenzoyl)amino]-L-alanyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



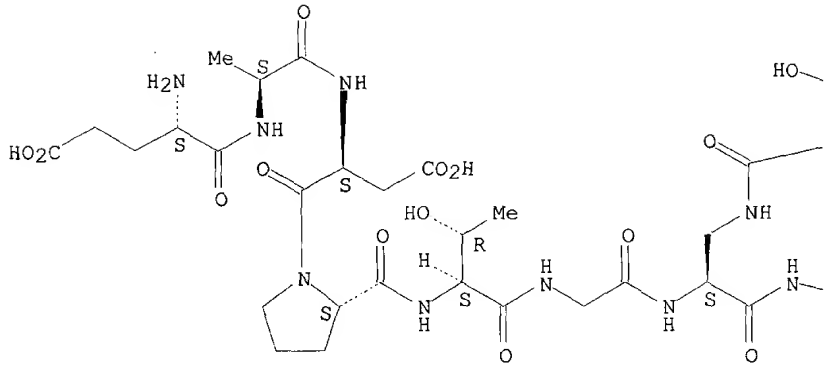
PAGE 1-B



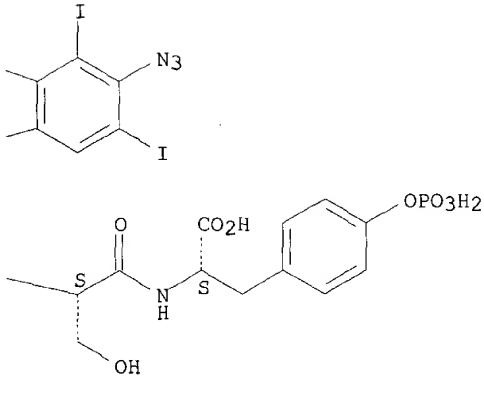
RN 187603-39-0 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[(4-azido-2-hydroxy-3,5-diiodobenzoyl)amino]-L-alanyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



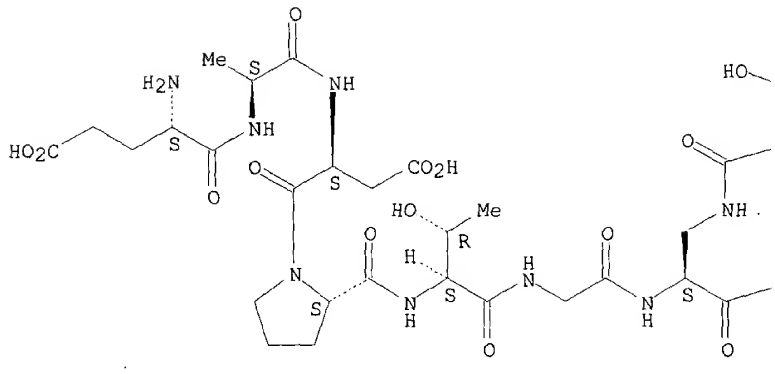
PAGE 1-B



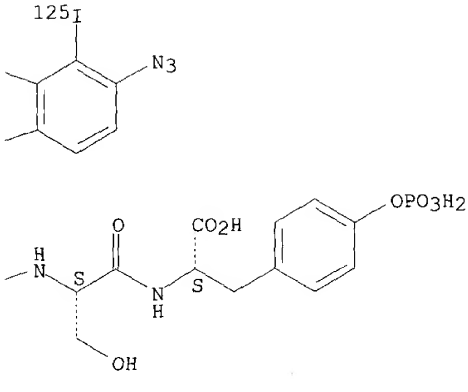
RN 187603-40-3 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



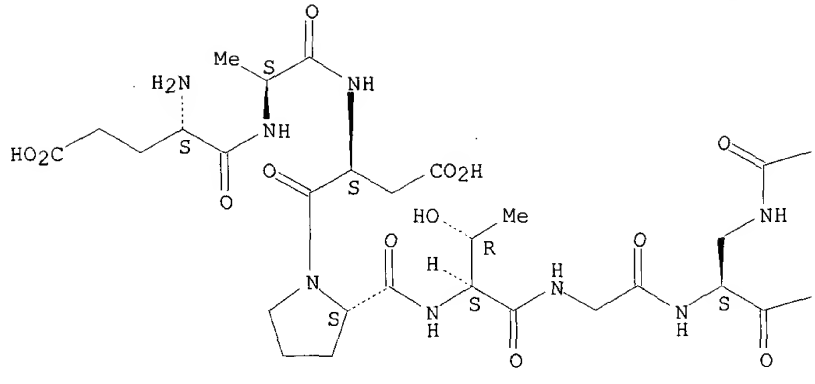
PAGE 1-B



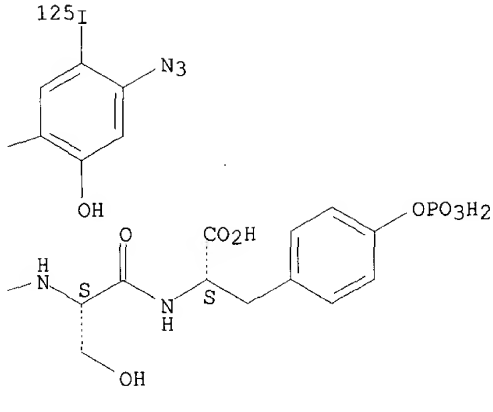
RN 187603-41-4 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



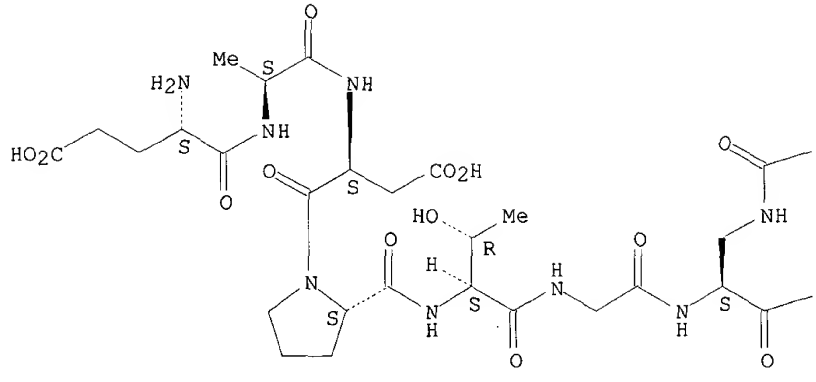
PAGE 1-B



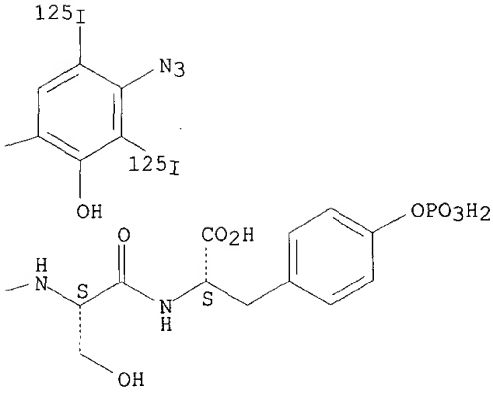
RN 187603-42-5 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



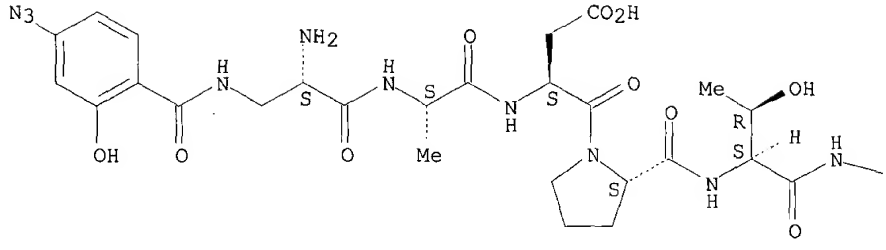
PAGE 1-B



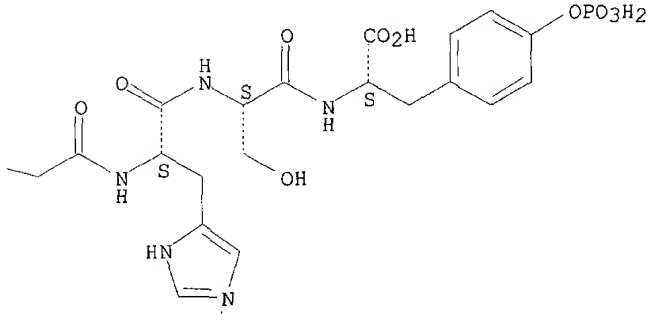
RN 187603-43-6 HCAPLUS
CN L-Tyrosine, 3-[(4-azido-2-hydroxybenzoyl)amino]-L-alanyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



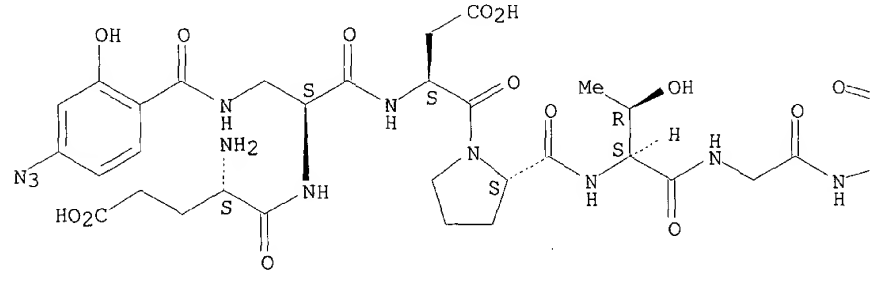
PAGE 1-B



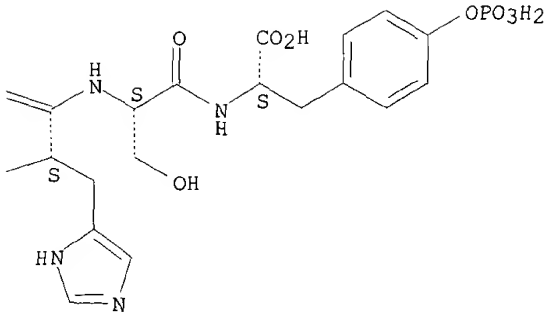
RN 187603-44-7 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-3-[(4-azido-2-hydroxybenzoyl)amino]-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



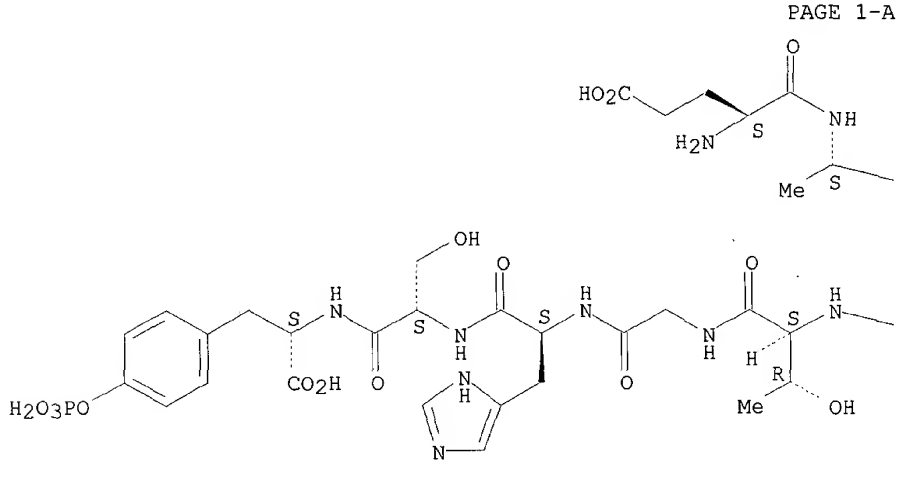
PAGE 1-B



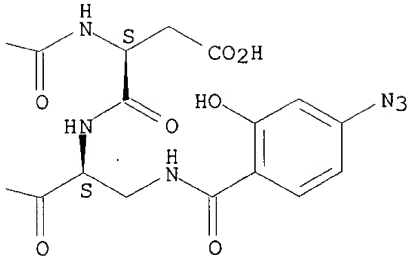
RN 187603-45-8 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-3-[(4-azido-2-hydroxybenzoyl)amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



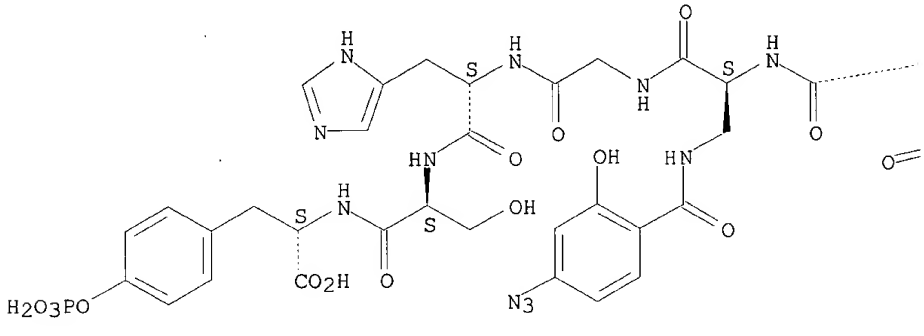
PAGE 1-B



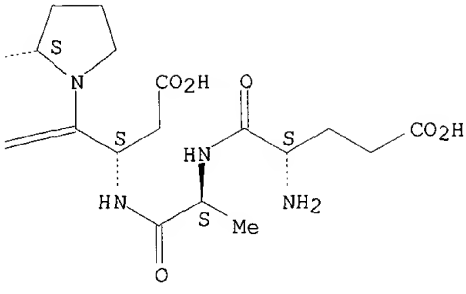
RN 187603-46-9 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-3-[(4-azido-2-hydroxybenzoyl)amino]-L-alanylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



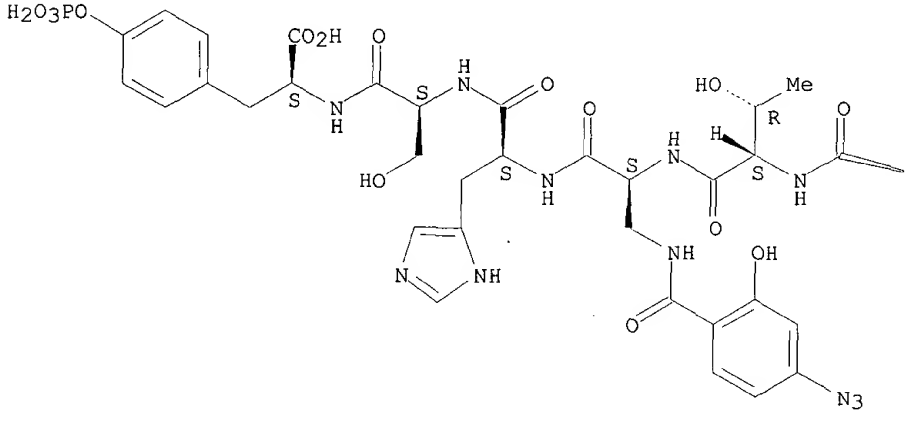
PAGE 1-B



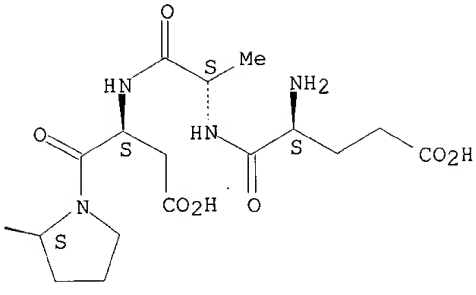
RN 187603-47-0 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonyl-3-[(4-azido-2-hydroxybenzoyl)amino]-L-alanyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



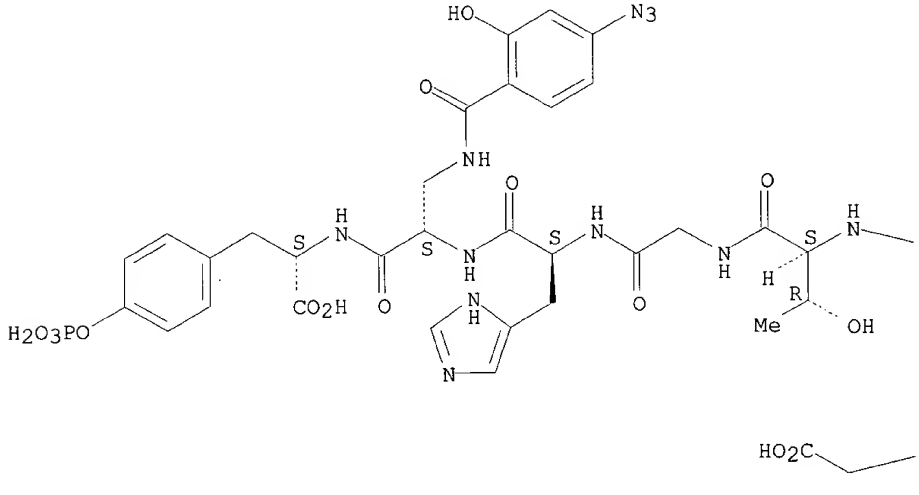
PAGE 1-B



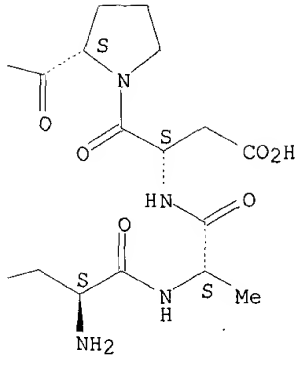
RN 187603-48-1 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-3-[(4-azido-2-hydroxybenzoyl)amino]-L-alanyl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



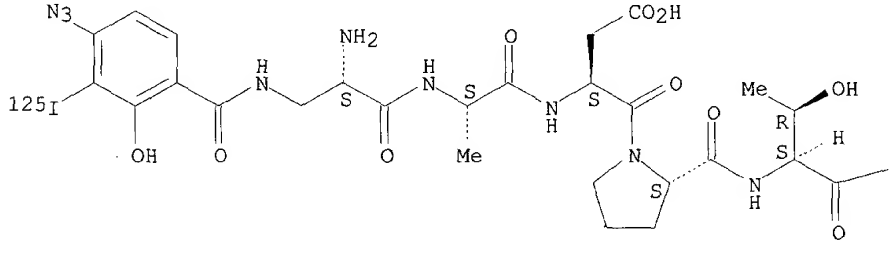
PAGE 1-B



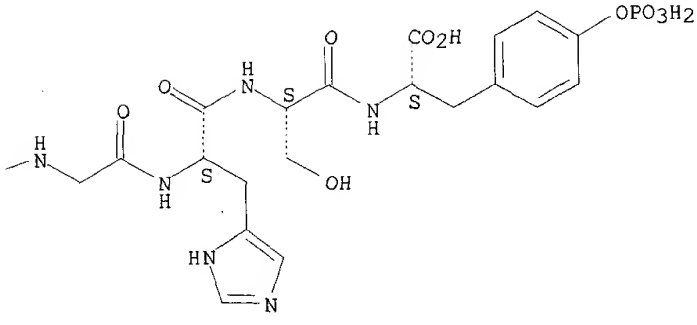
RN 187603-49-2 HCAPLUS
CN L-Tyrosine, 3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



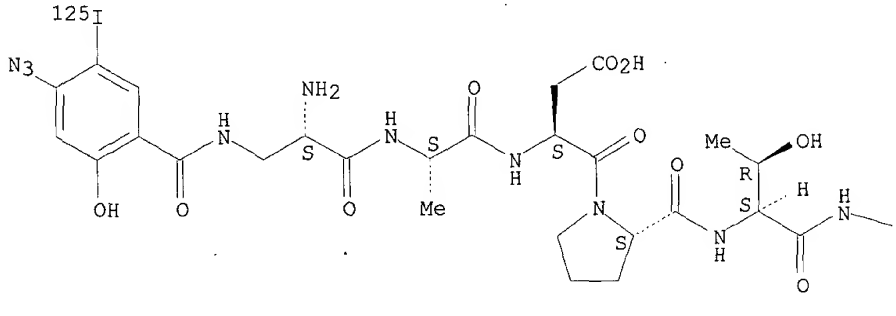
PAGE 1-B



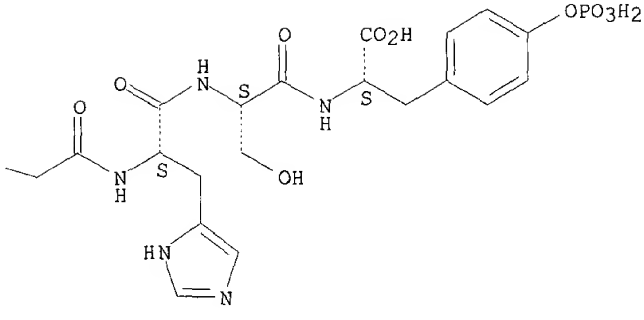
RN 187603-50-5 HCAPLUS
CN L-Tyrosine, 3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



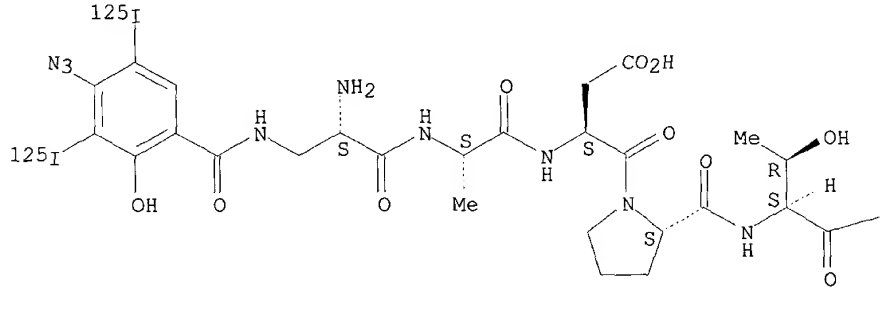
PAGE 1-B



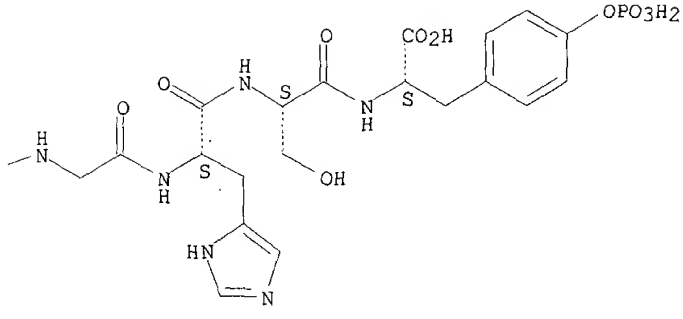
RN 187603-51-6 HCAPLUS
CN L-Tyrosine, 3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



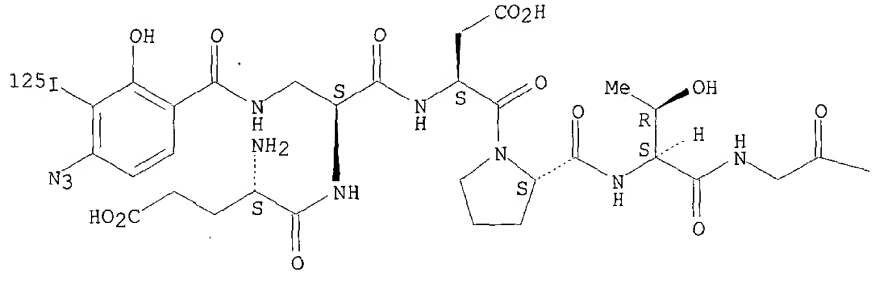
PAGE 1-B



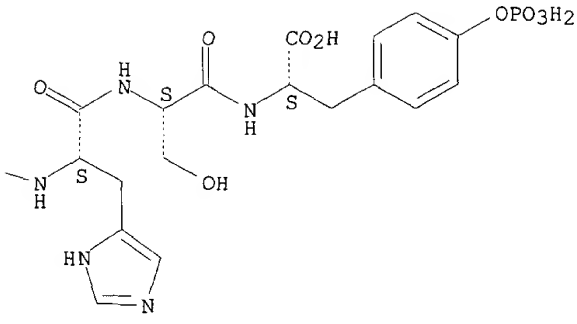
RN 187603-52-7 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



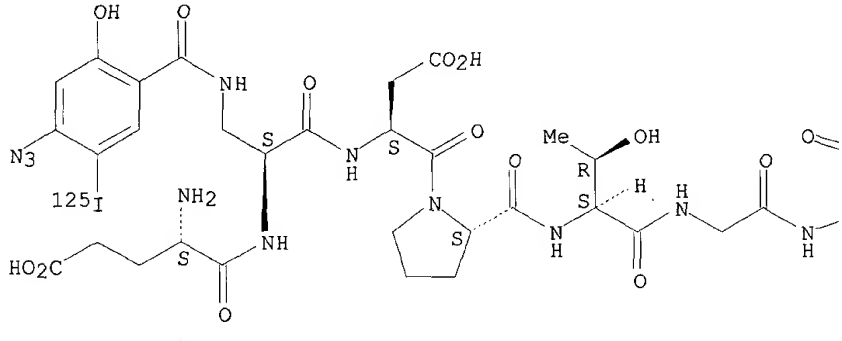
PAGE 1-B



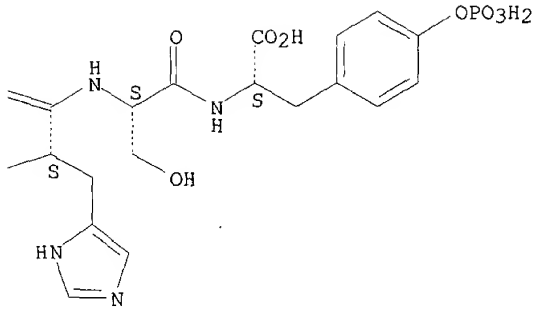
RN 187603-53-8 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



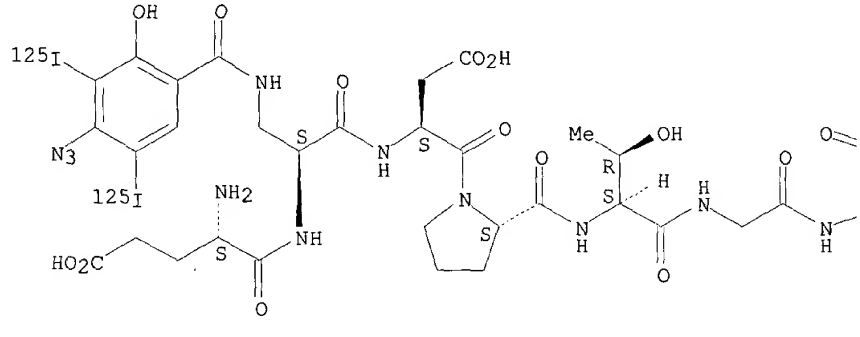
PAGE 1-B



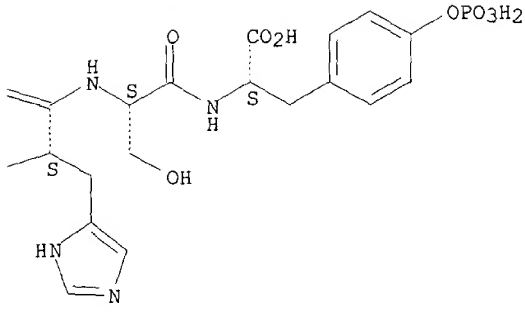
RN 187603-54-9 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



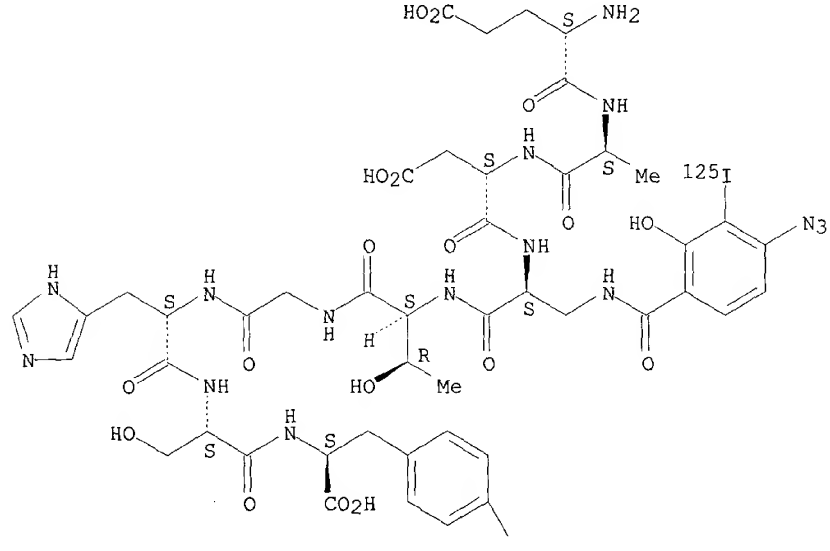
PAGE 1-B



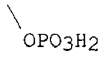
RN 187603-55-0 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



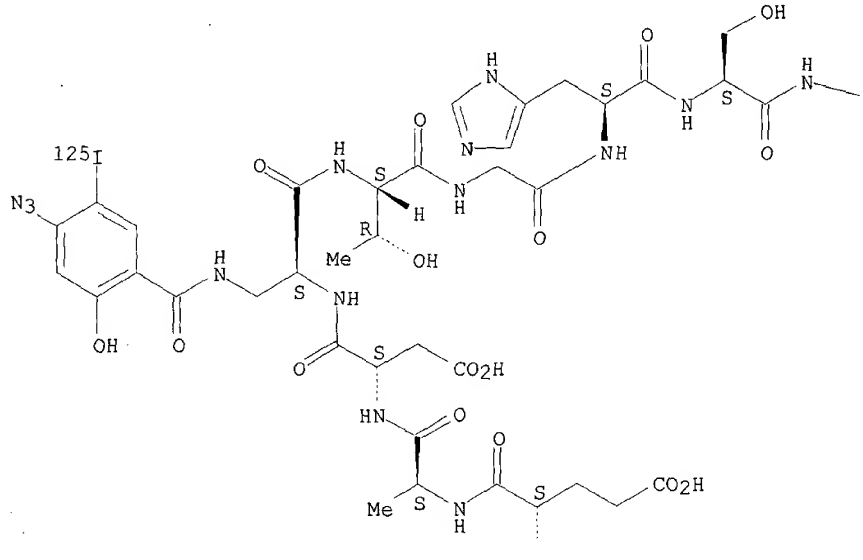
PAGE 2-A



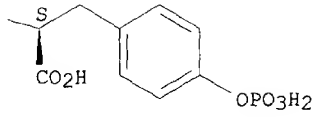
RN 187603-56-1 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

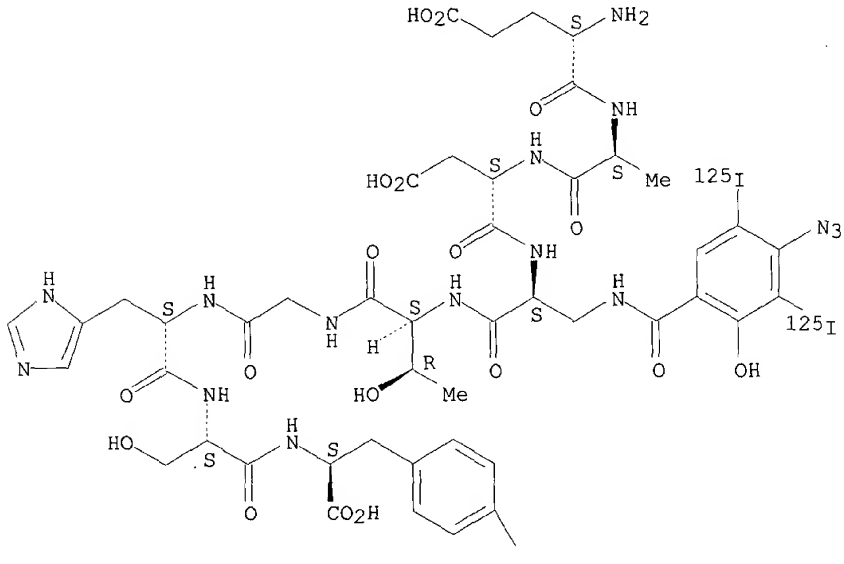


PAGE 2-A

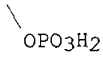
RN 187603-57-2 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



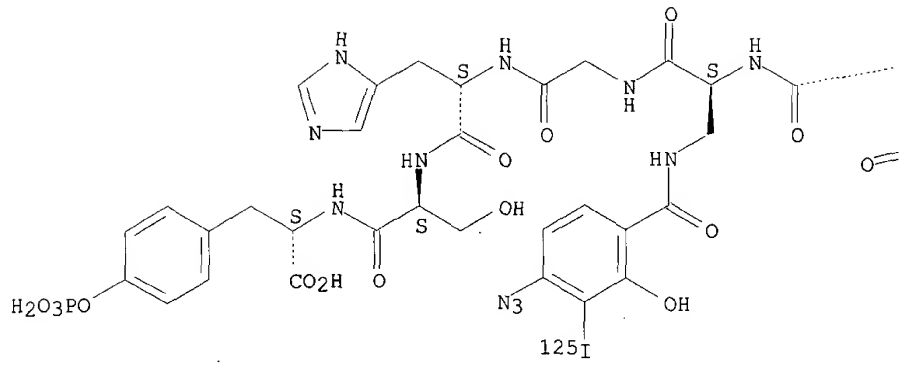
PAGE 2-A



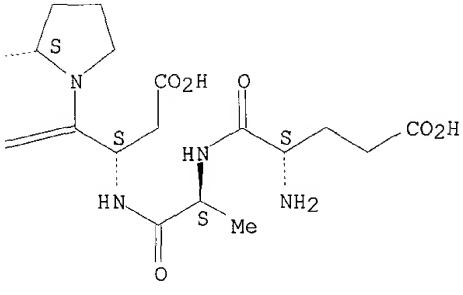
RN 187603-58-3 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



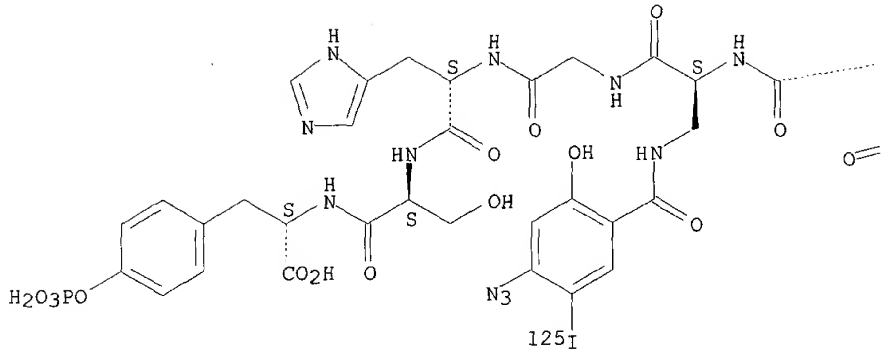
PAGE 1-B



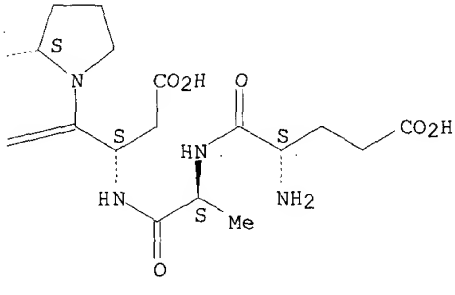
RN 187603-59-4 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



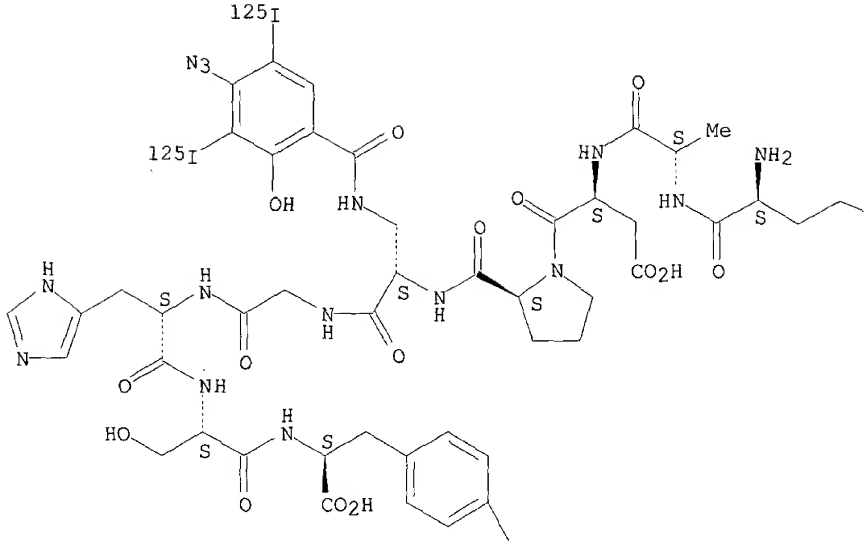
PAGE 1-B



RN 187603-60-7 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

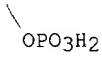
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

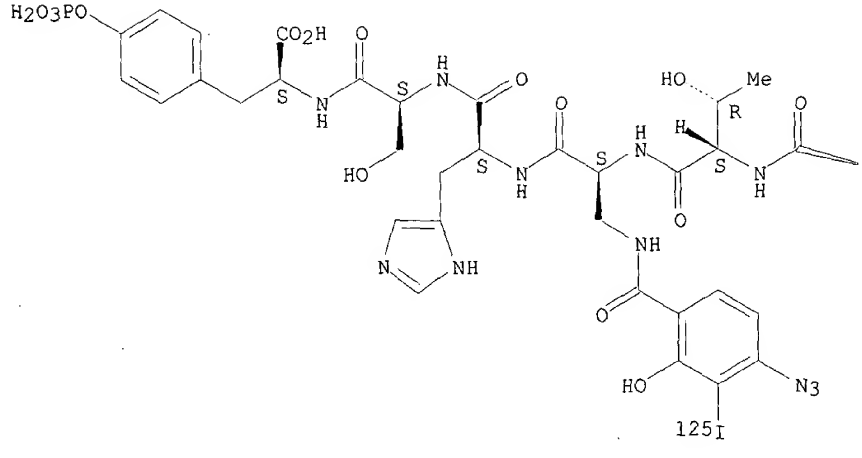
PAGE 2-A



RN 187603-61-8 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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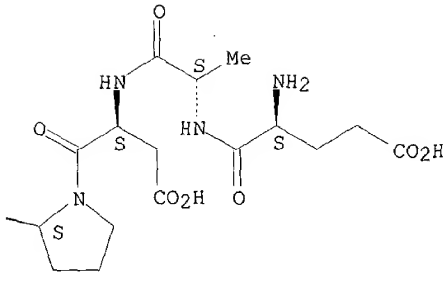
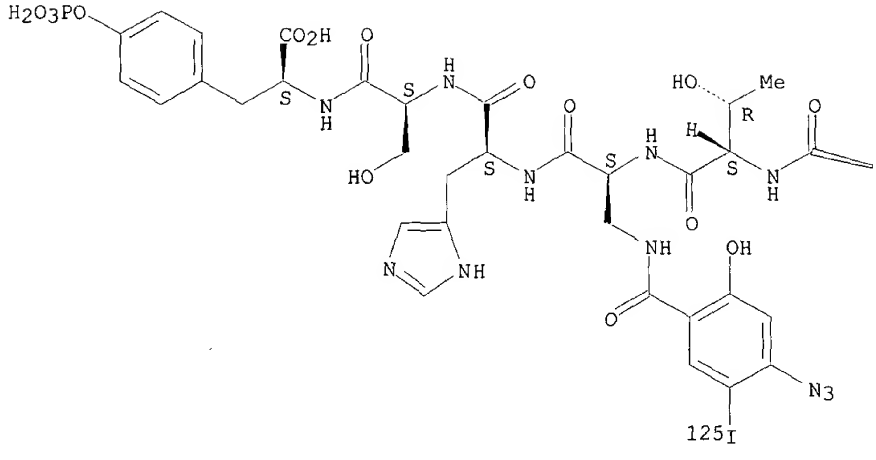


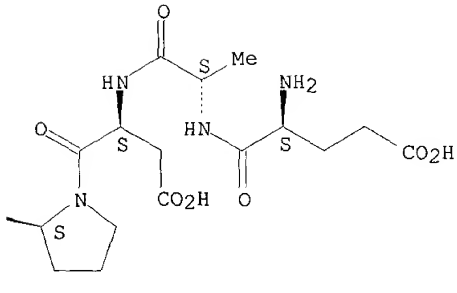
RN 187603-62-9 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



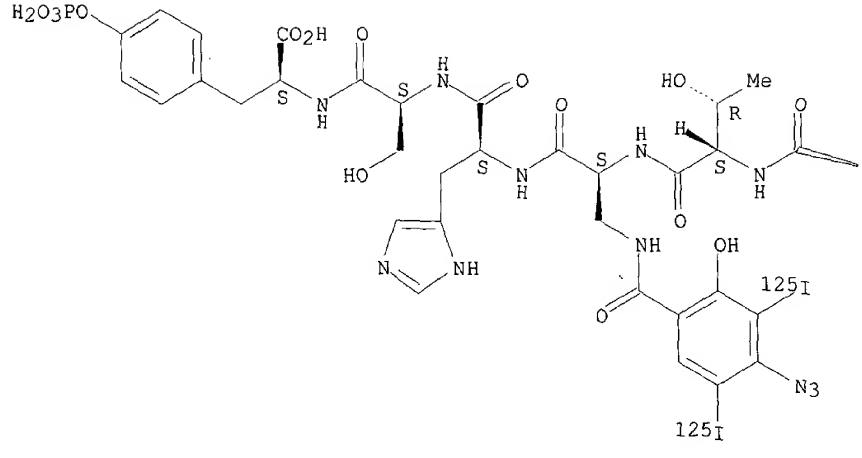
PAGE 1-B



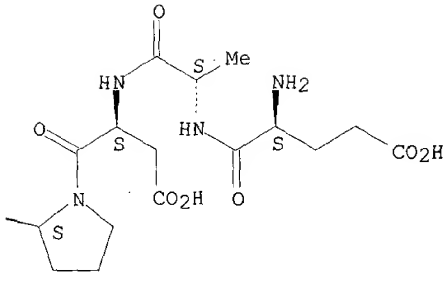
RN 187603-63-0 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



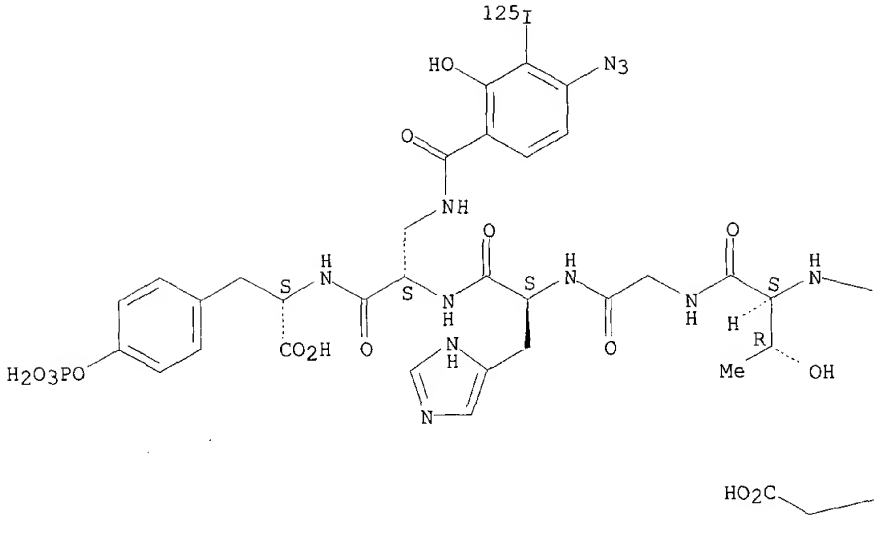
PAGE 1-B



RN 187603-64-1 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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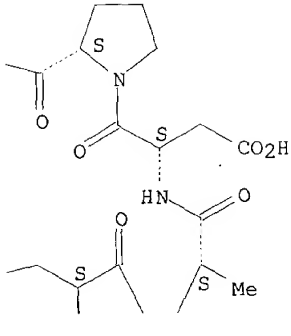

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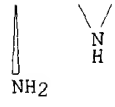
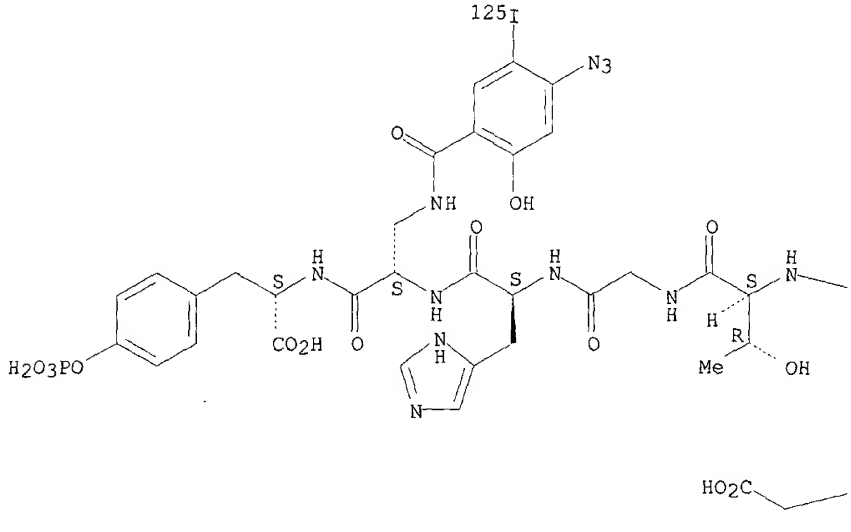


RN 187603-65-2 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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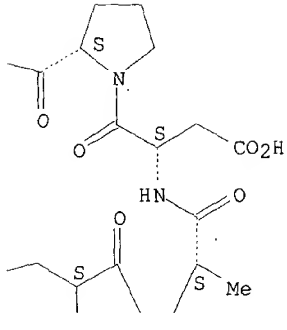
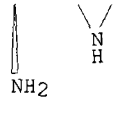


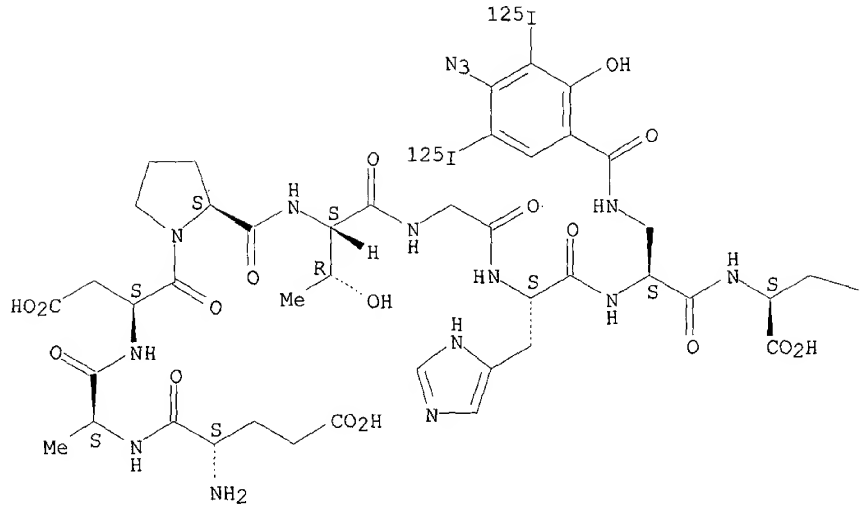
PAGE 2-B



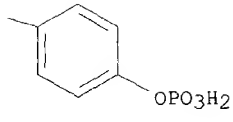
RN 187603-66-3 HCAPLUS
CN L-Tyrosine, L-.alpha.-glutamyl-L-alanyl-L-.alpha.-aspartyl-L-prolyl-L-threonylglycyl-L-histidyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



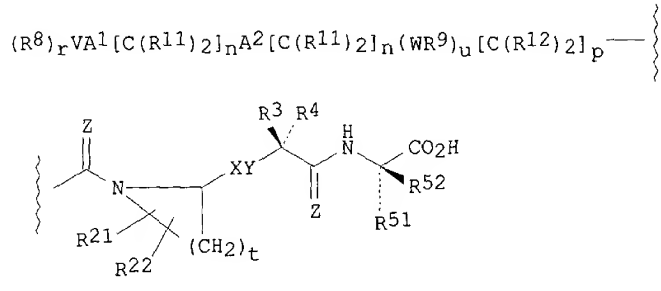
L31 ANSWER 13 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:449399 HCAPLUS
DOCUMENT NUMBER: 125:115146
TITLE: Preparation of analogs of the CAAX motif of Ras protein as inhibitors of farnesyl-protein transferase.
INVENTOR(S): Anthony, Neville J.; Desolms, S. Jane; Graham, Samuel L.; Stokker, Gerald E.; Wiscount, Catherine M.; Ciccarone, Terence M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 243 pp.

CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

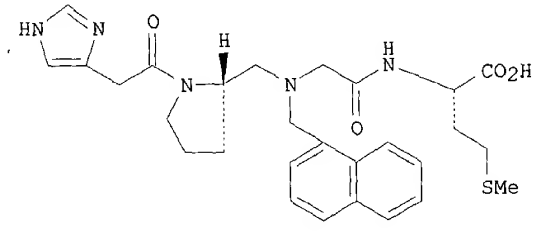
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9610035	A1	19960404	WO 1995-US12474	19950927	<--
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UG, US, US, US, US, UZ					
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
US 5661161	A	19970826	US 1995-527972	19950914	<--
AU 9537312	A1	19960419	AU 1995-37312	19950927	<--
AU 701763	B2	19990204			
EP 783518	A1	19970716	EP 1995-935199	19950927	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 10506900	T2	19980707	JP 1995-512037	19950927	<--
ZA 9508162	A	19960424	ZA 1995-8162	19950928	<--

PRIORITY APPLN. INFO.:
US 1994-315161 19940929 <--
US 1995-399282 19950306 <--
US 1995-472077 19950606 <--
US 1995-527972 19950914 <--
WO 1995-US12474 19950927 <--

OTHER SOURCE(S): MARPAT 125:115146
GI



I



II

AB Title compds. [I; R11, R12 = H, aryl, heterocyclyl, cycloalkyl, alkenyl,

alkynyl, acyl, N3, cyano, NO2, (substituted) alkyl, etc.; R21, R22 = H, (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, alkenyl, acyl, cyano, NO2, N3, amino, etc.; R3, R4, R51, R52 = (oxidized) amino acid side chain, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, etc.; R3R4 = (CH2)s; R51R52 = (CH2)s with 1 C atom optionally replaced by O, S, SO, SO2, NCO, etc.; XY = CONR71, CH2NR72, CH2O, CH:CH, CH2CH2, CH2S, CH2SO, CH2SO2; R71 = H, (substituted) aryl, heterocyclyl, cycloalkyl, alkyl; R72 = R71, CO or SO2 bonded to (substituted) aryl, heterocyclyl, cycloalkyl, alkyl, etc.; R8 = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, N3, amino, (substituted) alkyl, etc.; R9 = H, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, cyano, NO2, acyl, (substituted) alkyl, etc.; A1, A2 = bond, CH:CH, C.tplbond.C, CO, O, imino, sulfonylimino, S, SO, SO2, etc.; V = H, heterocyclyl, aryl, alkyl optionally interrupted by O, S, N; W = heterocyclyl; Z = H2, O; n, p = 0-4; r = 0-5; t = 3-5; u = 0, 1], were prepd. Title compds., e.g., (II), inhibited farnesyl-protein transferase with IC50 <10 .mu.M.

IT **179014-32-5P 179014-33-6P**

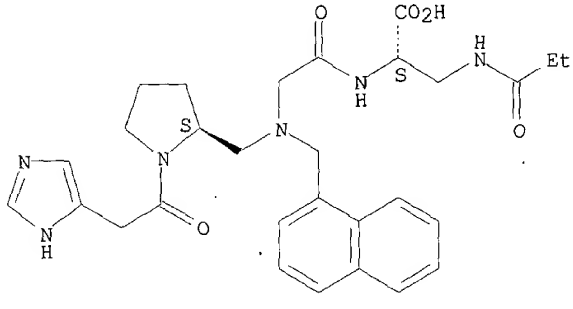
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of analogs of the CAAX motif of Ras protein as inhibitors of farnesyl-protein transferase)

RN 179014-32-5 HCAPLUS

CN L-Alanine, N-[N-[[1-(1H-imidazol-4-ylacetyl)-2-pyrrolidinyl]methyl]-N-(1-naphthalenylmethyl)glycyl]-3-[(1-oxopropyl)amino]-, (S)- (9CI) (CA INDEX NAME)

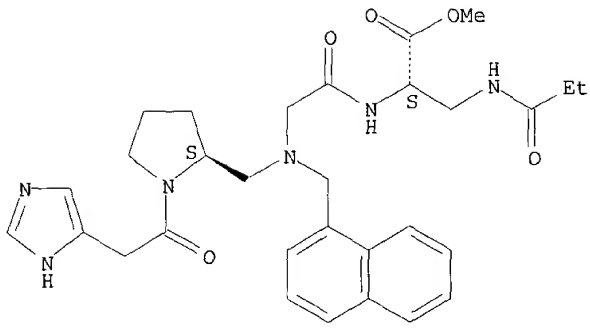
Absolute stereochemistry.



RN 179014-33-6 HCAPLUS

CN L-Alanine, N-[N-[[1-(1H-imidazol-4-ylacetyl)-2-pyrrolidinyl]methyl]-N-(1-naphthalenylmethyl)glycyl]-3-[(1-oxopropyl)amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 14 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:701318 HCAPLUS
DOCUMENT NUMBER: 121:301318
TITLE: Synthetic, stabilized, three-dimension polypeptides
INVENTOR(S): Satterthwait, Arnold C., Jr.; Arrhenius, Thomas; Chiang, Lin Chang; Cabeza, Edelmina
PATENT ASSIGNEE(S): Scripps Research Institute, USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9321206	A1	19931028	WO 1993-US3032	19930331 <--
W: AU, CA, FI, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9339718	A1	19931118	AU 1993-39718	19930331 <--
US 5807979	A	19980915	US 1995-456424	19950601 <--

PRIORITY APPLN. INFO.:
US 1992-866040 19920408 <--
US 1993-33883 19930319 <--
US 1988-179160 19880408 <--
US 1990-607645 19901029 <--
US 1991-746064 19910812 <--
WO 1993-US3032 19930331 <--
US 1994-224059 19940407 <--

OTHER SOURCE(S): CASREACT 121:301318
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

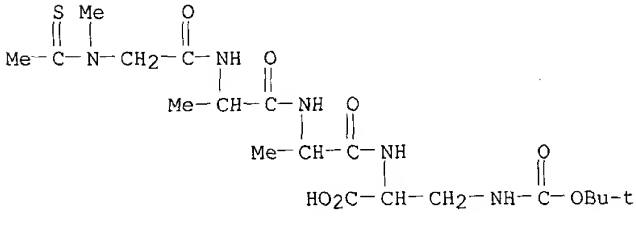
AB Synthesis of three-dimensional stabilized peptides which mimic the three-dimensional configuration of active site of a natural, biol. active protein is carried out by (1) noting the three-dimensional configuration of the active site of a known biol. active protein, (2) noting the amino acid sequence and the hydrogen bonds existing between amino acids and that hydrogen bonds are capable of maintaining the three-dimensional

configuration of the active site, and (3) producing a synthetic three-dimensional peptide to mimic the structure of the active site. The synthetic peptide is synthesized so as to have the same or a similar amino acid sequence to the amino acid sequence of the active site of the biol. active polypeptide but with the stabilizing hydrogen bonds being replaced by a bridging divalent radical selected from the group consisting of aminomethane and aminoethane acetamidinium (N)CMe:N(H+)CH2(N), (N)CMe:N(H+)CH2CH2(N) (class I hydrogen bond mimics), and carboxybutanal hydrazone (N)N:CH(CH2)3(CO) (class II hydrogen bond mimic). Said peptides are represented by general cyclic peptide formulas (I; R1, R2 = H, C1-6 alkyl; R3 = H, C1-6 alkyl, chain of amino acids contg. 1-2,000 amino acids; aa = amino acid; n = 1-2,000; R4 = any atom or mol. group of atoms with the required electron configuration; m = 0-6) and [II; R5 = C1-6 alkoxy, PhO, naphthyloxy, benzoxy, NH2, an amino acid sequence contg. 1-2,000 amino acids; aa = amino acid; n = 1-2,000; m = integer, e.g. 2; X = optionally present and if present is selected from the group consisting of CH2, NH, :CH, and :NH with double bond to CHR; R6 = optionally present and if present is selected from the group consisting of H, C1-6 alkyl, (CH2)lNH2 (wherein l = 1-6) optionally connected to an amino acid chain contg. 1-2,000 amino acids]. The hydrogen bond mimic (class I) of the cyclic peptide I is formed by intramol. reaction of the thioimidate group [generated by treating the corresponding thioamide R1C(S)NR2CHR3(CO) with MeI] of a peptide (III) with the primary NH2 group. The cyclic peptide II are prepd. by intramol. cyclocondensation of the hydrazide group of a peptide (IV) with the di-Me acetal functional group, forming the other type of the hydrogen bond mimics (class II). Thus, 5 conformationally restricted HIV peptides with the hydrogen bond mimic (class II), e.g cyclic peptide II [(aa)n = S-I-G-P-G-R-A-F-G, m = 2, X = bond, R6 = H, R5 = Cys-NH2] (V), which is related to the V3 loop of the HIV gp120 protein identified as a neutralizing epitope, were prepd. by the solid phase method. V bound to 3 HIV-binding murine monoclonal antibodies, at least one of which protected monkey against HIV, and reacted pos. using ELISA with sera from a patient with AIDS. HIV peptide II [(aa)n = S-I-S-I-G-P-G-R-A-F-Y-T-G, m = 2, X = bond, R = H, R = Cys-NH] was used to isolate human Fabs from combinatorial libraries by panning and these 5 peptides are potential synthetic vaccines for protection against AIDS. Conformationally restrained malaria peptides corresponding to neutralizing epitopes on various stages of Plasmodium falciparum malaria were also prepd. and are useful as a multistage vaccine. Also prepd. were epidermal growth factor analogs contg. carboxybutanal hydrazone linkage (class II) as hydrogen bond mimic.

IT **158966-04-2DP**, leucine-modified resin-bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., methylation with Me iodide, deprotection and resin-cleavage-cyclization of)

RN 158966-04-2 HCAPLUS

CN Alanine, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-N-[N-[N-[N-methyl-N-(1-thioxoethyl)glycyl]-L-alanyl]-L-alanyl]- (9CI) (CA INDEX NAME)



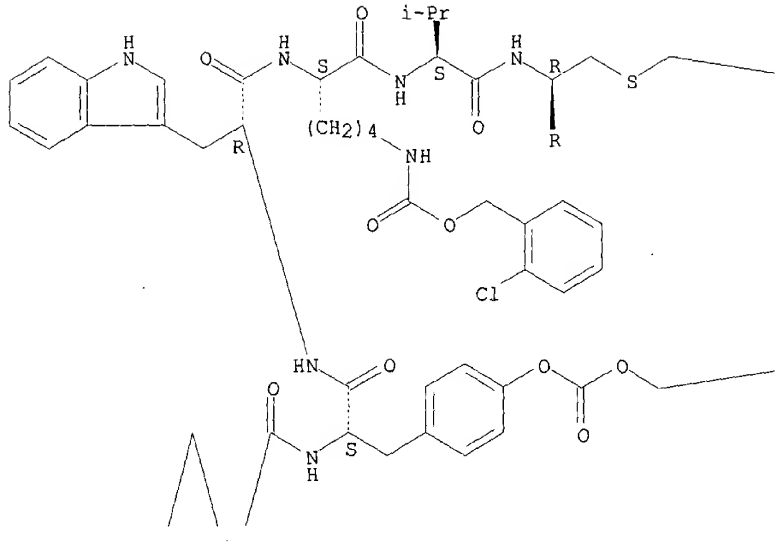
L31 ANSWER 17 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:152405 HCAPLUS
DOCUMENT NUMBER: 116:152405
TITLE: Preparation of somatostatin analogs
INVENTOR(S): Schally, Andrew V.; Janaky, Tamas; Cai, Ren Zhi
PATENT ASSIGNEE(S): Tulane Educational Fund, Inc., USA
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 450480	A2	19911009	EP 1991-104845	19910327 <--
EP 450480	A3	19911218		
EP 450480	B1	19950621		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2075244	T3	19951001	ES 1991-104845	19910327 <--
CA 2039880	AA	19911007	CA 1991-2039880	19910405 <--
AU 9174105	A1	19911010	AU 1991-74105	19910405 <--
AU 638118	B2	19930617		
HU 59165	A2	19920428	HU 1991-1117	19910405 <--
JP 06041194	A2	19940215	JP 1991-72935	19910405 <--

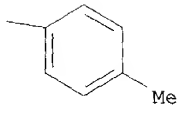
PRIORITY APPLN. INFO.: US 1990-505501 19900406 <--
OTHER SOURCE(S): MARPAT 116:152405
GI For diagram(s), see printed CA Issue.
AB The title compds. I [Q = H, L- or D-Mel, Mel-Mel, cyclopropanealkanoic acid residue, etc.; Mel = 4-[bis(2-chloroethyl)amino]phenylalanine residue; R1 = L- or D-Phe, D-Trp, L- or D-Mel; R3 = Mel, Tyr, Phe; R6 = Thr, Val; R8 = Thr, Trp, Mel] and II [R1 = L- or D-Phe, L- or D-Try; R3 = Phe, Trp; R6 same as defined above; R8 = Thr, Trp; A = -HNCH2(CH2)mCH(NH)(CH2)nCO-; m, n = 0, 1; Q1 = cytotoxic moiety] and their pharmaceutical acceptable salts were prepd. Successive coupling of BOC-Thr(Bzl)-OH, BOC-Cys(MBzl)-OH, BOC-Val-OH, BOC-Lys[Z(2-Cl)]-OH, BOC-D-Trp-OH, BOC-Tyr[Z(2-Br)]-OH, BOC-Cys(MBzl)-OH, and BOC-Mel-OH [Bzl = benzyl, MBzl = methylbenzyl] to a benzhydrylamine resin, cleavage of the resulting peptide from the resin, oxidn., and deprotection gave I [Q = H, R1 = Mel, R3 = R8 = Tyr, R6 = Val] (III). In an in vitro study using dispersed rat pituitary cell superfusion system the affinity consts. of III to rat cortex and prostte tumor cell membranes were 13.355 and 1.378 .times. 109M-1, resp., compared with 15.795 and 1.378 .times. 109M-1 for somatostatin (1-14).
IT **139668-82-9DP**, benzhydrylamine resin-bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for somatostatin analogs)
RN 139668-82-9 HCAPLUS
CN L-Threoninamide, N-[(1,1-dimethylethoxy)carbonyl]-3-[[(1,1-dimethylethoxy)carbonyl]amino]-L-alanyl-D-phenylalanyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-O-[[(2-bromophenyl)methoxy]carbonyl]-L-tyrosyl-D-tryptophyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-valyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

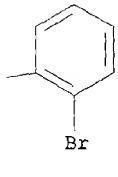
Absolute stereochemistry.

PAGE 1-A

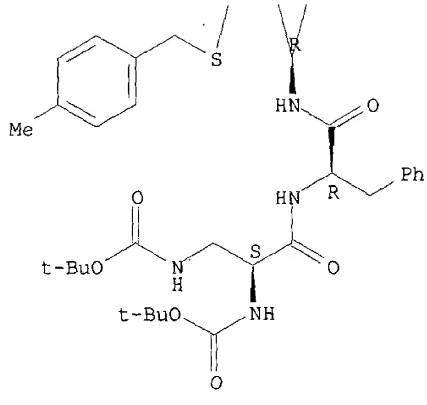


PAGE 1-B

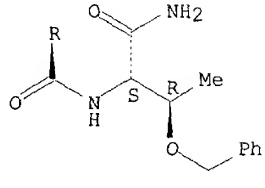




PAGE 2-A



PAGE 3-A

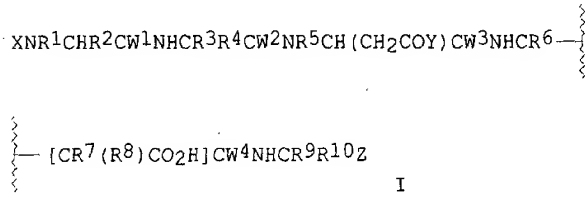


L31 ANSWER 18 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:472235 HCAPLUS
DOCUMENT NUMBER: 115:72235
TITLE: Preparation of aspartic acid-containing pentapeptides as antiherpes agents
INVENTOR(S): Adams, Julian; Beaulieu, Pierre Louis; Deziel, Robert; DiMaio, John; Grenier, Louis; Lavallee, Pierre; Moss, Neil
PATENT ASSIGNEE(S): Bio-Mega Inc., Can.
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 411334	A1	19910206	EP 1990-112646	19900703 <--
EP 411334	B1	19950222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2019005	AA	19911214	CA 1990-2019005	19900614
IL 94980	A1	19950315	IL 1990-94980	19900705 <--
JP 03215497	A2	19910920	JP 1990-179373	19900706 <--
JP 2877909	B2	19990405		

AU 643636	B2	19931118	AU 1990-58775	19900706 <--
AU 9058775	A1	19910110		
US 5502036	A	19960326	US 1994-208168	19940309 <--
PRIORITY APPLN. INFO.:			CA 1989-605091	19890707 <--
			CA 1990-2019005	19900614 <--
			US 1990-547670	19900703 <--
			US 1992-927694	19920807 <--

OTHER SOURCE(S): MARPAT 115:72235
GI



I

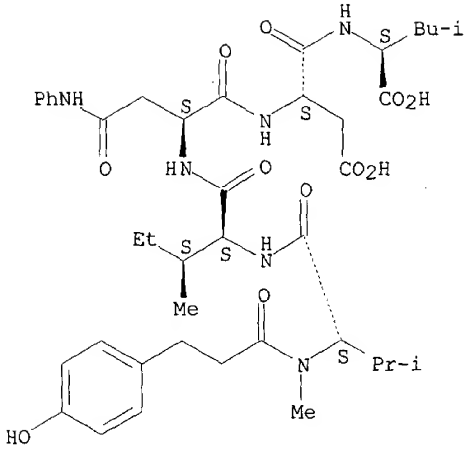
AB Substituted aspartic acid-contg. pentapeptides I [X = C1-10 alkanoyl, C1-10 alkoxycarbonyl, (substituted) COCH2Ph, etc.; R1 = H, C1-6 alkyl, phenyl-C1-6 alkyl; R2 = (hydroxy or mercapto) C1-6 alkyl; R3, R5, R6, R9 H, C1-6 alkyl; R4 = H, (OH, SH, OMe, SMe) C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkylmethyl; R7, R8 = H, C1-6 alkyl or CR7R8 = C3-6 cycloalkyl; R10 = C1-6 alkenyl, etc.; W1-W4 + O, S; Y = C1-14 alkoxy, C3-14 alkoxy, C3-14 alkenyloxy, Me(OCH2CH2)nO, (substituted) phenoxy, substituted amino, etc.; n = 1-3; Z = H, CO2H, CH2CO2H, CH2OH, CO2R11, etc.; R11 = C1-6 alkyl] were prepd. Thus, title pentapeptide I [X = 4-OHC6H4(CH2)2CO, R1 = Me, R2 = Me2CH, R3, R5-R9 = H, R4 = CHMeEt, R10 = CH2CHMe2, W1-W4 = O, Y = NEt2, Z = CO2H] (II) was prepd. via solid phase methods using a BHA photoresin and BOP/HOBt as the coupling agent. The resin was cleaved via photolysis and deprotection of the cleaved peptide was accomplished by hydrogenation over Pd/C. The IC50 of II against HSV-1 2as 0.27 .mu.M. IC 50's of 41 other I were detd.

IT **134996-97-7P 134997-05-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiherpes agent)

RN 134996-97-7 HCAPLUS

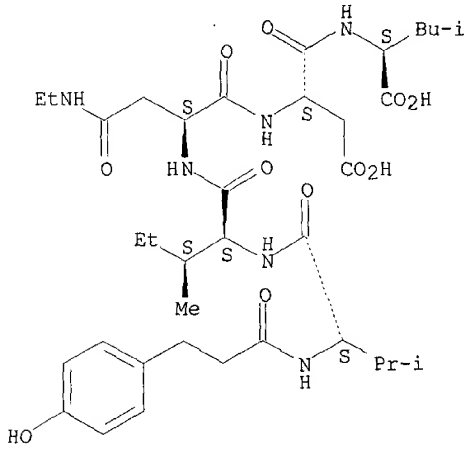
CN L-Leucine, N-[N-[N2-[N-[N-[3-(4-hydroxyphenyl)-1-oxopropyl]-N-methyl-L-valyl]-L-isoleucyl]-N-phenyl-L-asparaginyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 134997-05-0 HCAPLUS
CN L-Leucine, N-[N-[N-ethyl-N2-[N-[N-[3-(4-hydroxyphenyl)-1-oxopropyl]-L-valyl]-L-isoleucyl]-L-asparaginyl]-L-.alpha.-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



9, 11

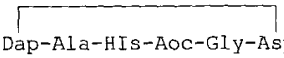
L31 ANSWER 19 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:7265 HCAPLUS
DOCUMENT NUMBER: 114:7265
TITLE: Preparation of tumor necrosis factor analogs
INVENTOR(S): Boehm, Hans Joachim; Daum, Lothar; Haupt, Andreas; Schmied, Bernhard; Walker, Nigel; Zechel, Johann Christian
PATENT ASSIGNEE(S): BASF A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 3841755	A1	19900613	DE 1988-3841755	19881212	
WO 9006938	A1	19900628	WO 1989-EP1471	19891202	<--
W: JP, US					
RW: AT, BE, CH, DE, ES, FR, GB, IT, LU, NL, SE					
EP 447431	A1	19910925	EP 1990-900108	19891202	<--
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL					
JP 04502307	T2	19920423	JP 1990-500555	19891202	<--
CA 2005056	AA	19900612	CA 1989-2005056	19891211	<--

PRIORITY APPLN. INFO.: DE 1988-3841755 19881212 <--
WO 1989-EP1471 19891202 <--

OTHER SOURCE(S): MARPAT 114:7265
GI



Ac-Pro-Dap-Ala-HIs-Aoc-Gly-Asp-Ile-Ala-Leu-NH_2 I

AB X-Ala-His-A-Y [A = Val, Leu, Ile, NH(CH2)mCO; m = 1-12; X = GNHCHMCO, GNHCHMCOW, GRNHCHMCO, GRNHCHMCOW; Y = Z, NHCHQCOZ, VNHCHQCOZ, NHCHQCOUZ, VNHCHQCOUZ; G = H, protecting group; Z = OH, NH2, protecting group; R = Leu-Arg-Ser-Ser-Ser-Gln-Asn-Ser-Ser-Asp-Lys-Pro,Vol-Arg-Ser-Ser-Ser-Arg-Thr-Pro-Ser-Asp-Lys-Pro, Leu-Arg-Ser-Ser-Ser-Gln-Ala-Ser-Ser-Asn-Lys-Pro, Leu-Arg-Ser-Ala-Ser-Arg-Ala-Leu-Ser-Asp-Lys-Pro, 5-11 amino acid residue segments of the above, 1-4 amino acid residues; U, V, W = 1-4 amino acid residues; M, Q = H, CHMe2, CHMeEt, Ph, CH(OH)Me, 3-indolylmethyl, 4-imidazolylmethy, etc.], were prepd. as tumor necrosis factor agonists/antagonists (no data). Thus, cyclic title peptide I (Dap = 2,3-diaminopropionyl, Aoc = 8-aminooctanoyl) was prepd. using BOC-protected amino acids and methylbenzhydrylamine resin followed by cyclization using (PhO)2P(O)N3.

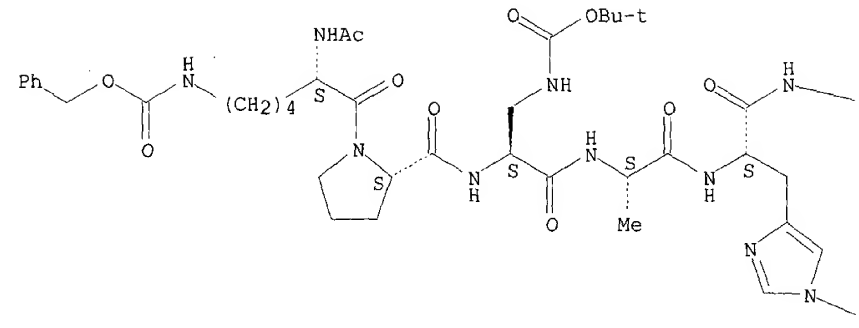
IT **130851-27-3DP**, resin bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and resin cleavage reaction of, in tumor necrosis factor analog)

RN 130851-27-3 HCAPLUS

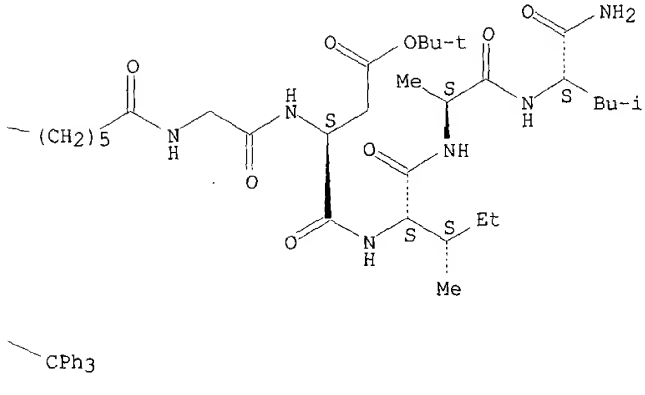
CN L-Leucinamide, N-[6-[[N-[N-[N-[1-[N2-acetyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-L-prolyl]-3-[[(1,1-dimethylethoxy)carbonyl]amino]-L-alanyl]-L-alanyl]-1-(triphenylmethyl)-L-histidyl]amino]-1-oxohexyl]glycyl-L-.alpha.-aspartyl-L-isoleucyl-L-alanyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L31 ANSWER 20 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:7257 HCAPLUS
DOCUMENT NUMBER: 114:7257
TITLE: Preparation of cytotoxic LHRH analogs
INVENTOR(S): Schally, Andrew V.; Bajuz, Sandor; Janaky, Tamas
PATENT ASSIGNEE(S): Tulane Educational Fund, Inc., USA
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 364819	A2	19900425	EP 1989-118460	19891005 <--
EP 364819	A3	19910306		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

JP 02157293	A2	19900618	JP 1989-273650		19891020 <--
US 5258492	A	19931102	US 1991-710515		19910603 <--
NO 9304541	A	19940207	NO 1993-4541		19931210 <--
PRIORITY APPLN. INFO.:			US 1988-260994	A	19881021 <--
			US 1989-404667	A	19890907 <--
			WO 1991-US4264	A	19910614 <--

OTHER SOURCE(S): MARPAT 114:7257

AB R-X1-X2-X3-Ser-X5-X6-Q-Leu-Arg-Pro-X10-NH2 [I; R = H, alkanoyl, carbamyl; X1 = pyroglutamyl, Pro, D-3-(2-naphthyl)alanyl, D-4-chlorophenylalanyl; X2 = His, D-4-chlorophenylalanyl; X3 = Trp, D-Trp, D-3-(3-pyridyl)alanyl; X5 = Tyr, Arg; X6 = D-Phe, D-Lys, D-Orn, D-Phe(NH2); X10 = Gly, D-Ala; Q = bis-(2-chloroethyl)amino when X6 = D-Phe, or complexed metal contg. acyl, e.g., CH2(NH2)(CH2)m CH(NH2)(CH2)nCO[NH(CH2)oCO]p; m = 0, 1; n, p = 0-10; o = 1-10; metal = Pt, Ga, Ge, Sr, Ti, Va, Fe, Cu, Co, Au, Ni, Cd, Zn], were prepd. Thus, pGlu-His-Trp-Ser-Tyr-OH (pGlu = pyroglutamyl) and H-D-Mel-Leu-Arg-Pro-Gly-NH2.HCl [Mel = 4-{bis(2-chloroethyl)amino]-D-phenylalanyl] were coupled in DMF using (Me2CH)2NEt, DCC, and hydroxybenzotriazole at 0.degree. for 24 h to give [D-Mel6]LHRH. I at 1.5-10 .mu.g/rat showed 20-100% inhibition of ovulation.

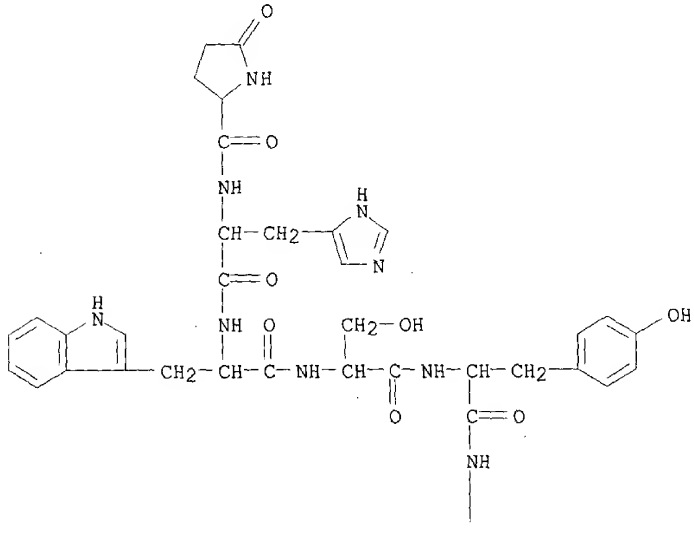
IT **130751-50-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for cytotoxic LHRH analog)

RN 130751-50-7 HCAPLUS

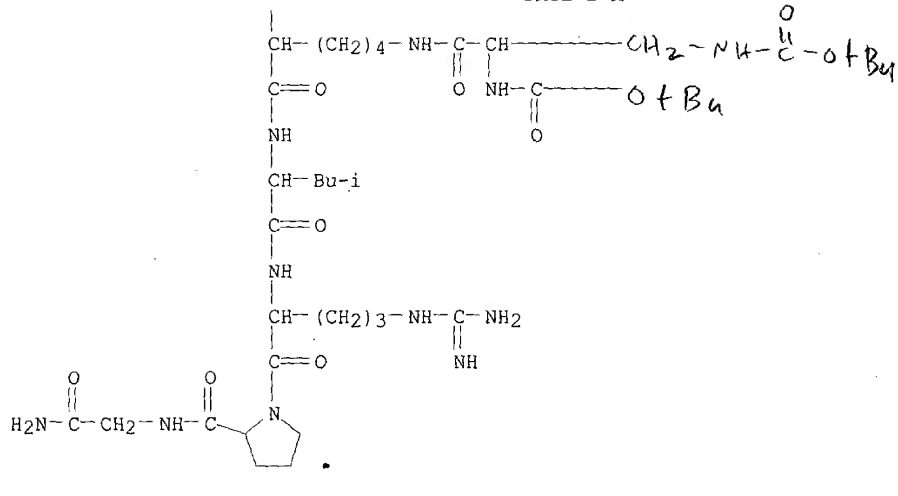
CN Luteinizing hormone-releasing factor (swine), 6-[N6-[N-[(1,1-dimethylethoxy)carbonyl]-3-[[(1,1-dimethylethoxy)carbonyl]amino]alanyl]-D-lysine]- (9CI) (CA INDEX NAME)

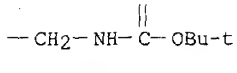
PAGE 1-A

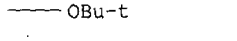


PAGE 1-B

PAGE 2-A







L31 ANSWER 21 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:94948 HCAPLUS
DOCUMENT NUMBER: 108:94948
TITLE: Preparation of vasopressin fragment derivatives as nootropics for treatment of senility
INVENTOR(S): Goto, Giichi; Nagaoka, Akinobu; Wakimasu, Mitsuhiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd. , Japan
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

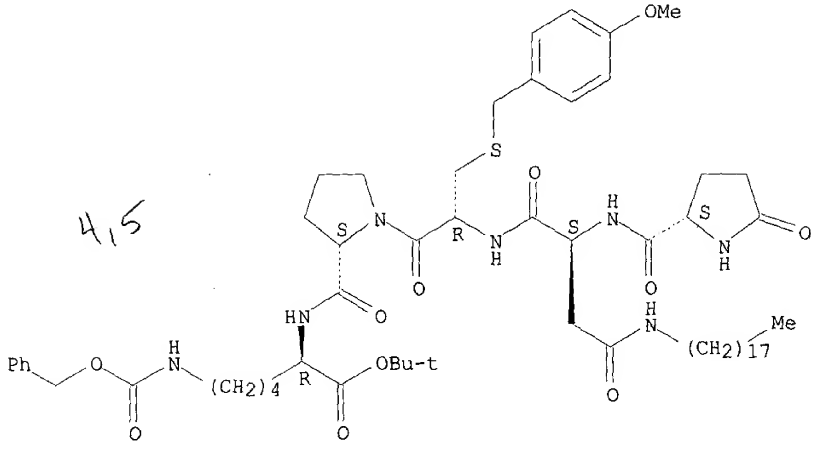
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 227410	A2	19870701	EP 1986-309800	19861216 <--
EP 227410	A3	19890208		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4748154	A	19880531	US 1986-939103	19861208 <--
CA 1292841	A1	19911203	CA 1986-525277	19861215 <--
JP 62234095	A2	19871014	JP 1986-302660	19861218 <--
JP 08030079	B4	19960327		

PRIORITY APPLN. INFO.: JP 1985-291474 19851224 <--
OTHER SOURCE(S): CASREACT 108:94948

AB PGlu-Asp(NHR1)-Cys(H-Cys-OH)-A-D-Lys-B [I; R1 = H, C1-18 alkyl, (substituted) phenyl-C1-3 alkyl; A = amino, C1-6 alkylaminoacid residue; B = OH, amino, amino acid or amide] were prepd. as vasopressin fragment peptides, useful for treatment and prevention of dementia. PGlu-Asn-Cys(H-Cys-OH)-Pro-D-Lys-OH (II) was prepd. using soln.-phase methods, starting from BOC-D-Lys(Z)-OH.DCHA (BOC = tert-butyoxycarbonyl, Z = benzyloxycarbonyl, DCHA = dicyclohexylamine). II reversed cycloheximide-induced amnesia in mice when given intracerebroventricularly at 10 pg-10 ng.

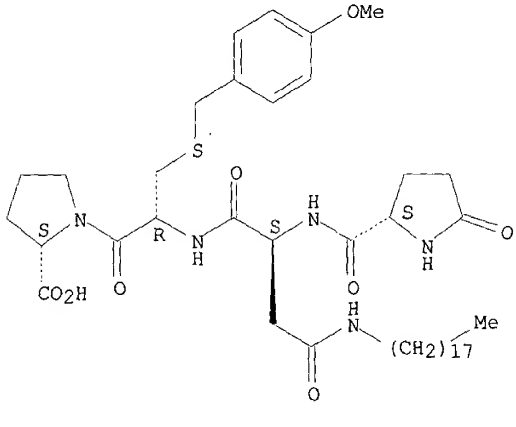
IT **112954-73-1P 112972-69-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antisenility agent)
RN 112954-73-1 HCAPLUS
CN D-Lysine, N2-[1-[S-[(4-methoxyphenyl)methyl]-N-[N-octadecyl-N2-(5-oxo-L-prolyl)-L-asparaginyl]-L-cysteinyl]-L-prolyl]-N6-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

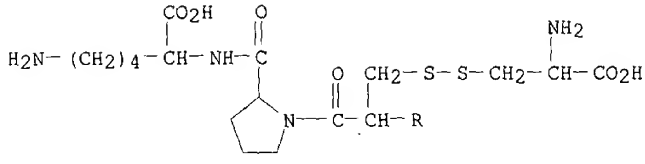


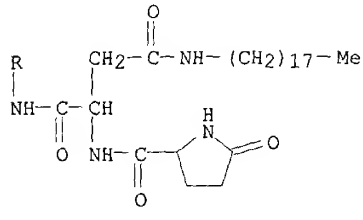
RN 112972-69-7 HCAPLUS
CN L-Proline, 1-[S-[(4-methoxyphenyl)methyl]-N-[N-octadecyl-N2-(5-oxo-L-prolyl)-L-asparaginyl]-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **112954-35-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nootropic, for treatment of senility)
RN 112954-35-5 HCAPLUS
CN D-Lysine, 5-oxo-L-prolyl-N-octadecyl-L-asparaginyl-L-cysteinyl-L-prolyl-, disulfide with L-cysteine (9CI) (CA INDEX NAME)





L31 ANSWER 22 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:627342 HCAPLUS
DOCUMENT NUMBER: 105:227342
TITLE: Pepstatin analogs
INVENTOR(S): Wagnon, Jean le Hameau de la Rauze; Callet, Georges; Gagnol, Jean Pierre; Nisato, Dino; Cazaubon, Catherine
PATENT ASSIGNEE(S): SANOFI, Fr.; Institut National de la Sante et de la Recherche Medicale (INSERM)
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 192554	A1	19860827	EP 1986-400271	19860210	<--
EP 192554	B1	19920102			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
FR 2577225	A1	19860814	FR 1985-1981	19850212	
FR 2577225	B1	19870828			
FR 2577226	A1	19860814	FR 1985-1982	19850212	
FR 2577226	B1	19900615			
CA 1286846	A1	19910723	CA 1986-500927	19860203	<--
US 4725580	A	19880216	US 1986-826349	19860205	<--
US 4746648	A	19880524	US 1986-826375	19860205	<--
CA 1286847	A1	19910723	CA 1986-501163	19860205	<--
AU 8653272	A1	19860814	AU 1986-53272	19860206	<--
AU 606312	B2	19910207			
AU 8653273	A1	19860821	AU 1986-53273	19860206	<--
AU 606572	B2	19910214			
DK 8600640	A	19860813	DK 1986-640	19860210	<--
DK 8600641	A	19860813	DK 1986-641	19860210	<--
EP 193445	A1	19860903	EP 1986-400272	19860210	<--
EP 193445	B1	19900509			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					

ZA 8600960	A	19861029	ZA 1986-960	19860210 <--
ZA 8600961	A	19861029	ZA 1986-961	19860210 <--
AT 52518	E	19900515	AT 1986-400272	19860210 <--
AT 71111	E	19920115	AT 1986-400271	19860210 <--
ES 551820	A1	19861216	ES 1986-551820	19860211 <--
ES 551821	A1	19870101	ES 1986-551821	19860211 <--
JP 61186397	A2	19860820	JP 1986-28747	19860212 <--
JP 61186398	A2	19860820	JP 1986-28748	19860212 <--
PRIORITY APPLN. INFO.:			FR 1985-1981	19850212 <--
			FR 1985-1982	19850212 <--
			EP 1986-400271	19860210 <--
			EP 1986-400272	19860210 <--

OTHER SOURCE(S): CASREACT 105:227342
GI

R^1-NHCHR^{2}CO-NHCHR^{3}CO-NHCH(CH_2R^4)CH(OH)CH_2CO-X^1-X^2-R^5 I

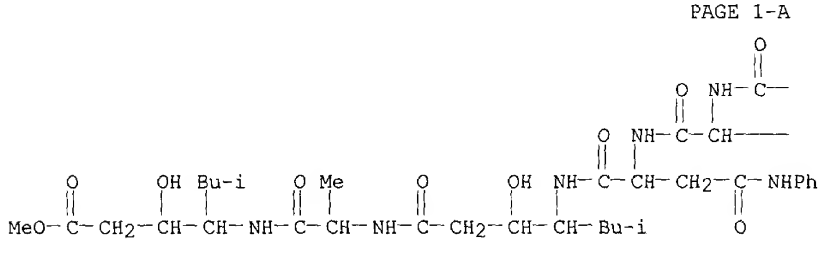
AB Title peptides I (R1 = alkanoyl, arylcarbonyl, carbalkoxy, etc.; R2 = alkyl, phenylalkyl, naphthylalkyl, pyridylalkyl, etc.; R3 = H, alkenyl, Ph, naphthyl, etc.; R4 = CHMe2, Ph, cyclohexyl; R5 = OH, alkoxy, NH2, etc.; X1X2 = Ala-Sta, Ala-Leu, Leu-Phe, Val-Sta, etc.) (Sta = statine) were prepd., and they exhibited renin-inhibiting activity. Thus, BOC-Phe-Asp(CH2Ph)-Sta-Ala-Leu-OMe was prepd. by soln. method peptide synthesis.

IT **105382-26-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as renin inhibitor)

RN 105382-26-1 HCAPLUS

CN L-Aspartamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N1-[2-hydroxy-4-[[2-[[2-hydroxy-4-methoxy-1-(2-methylpropyl)-4-oxobutyl]amino]-1-methyl-2-oxoethyl]amino]-1-(2-methylpropyl)-4-oxobutyl]-N4-phenyl-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

——OBu-t

— CH_2 — Ph

L31 ANSWER 23 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:406791 HCAPLUS
DOCUMENT NUMBER: 97:6791
TITLE: Peptides and their therapeutic use
INVENTOR(S): Roques, Bernard; Lecomte, Jeanne Marie
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 46113	A1	19820217	EP 1981-401263	19810805 <--
EP 46113	B1	19841219		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
FR 2488253	A1	19820212	FR 1980-17523	19800808
FR 2488253	B1	19840127		
US 4407794	A	19831004	US 1981-289383	19810803 <--
AT 10836	E	19850115	AT 1981-401263	19810805 <--
CA 1292344	A1	19911119	CA 1981-383284	19810806 <--
JP 57059845	A2	19820410	JP 1981-123927	19810807 <--
PRIORITY APPLN. INFO.:			FR 1980-17523	19800808 <--
			EP 1981-401263	19810805 <--

OTHER SOURCE(S): CASREACT 97:6791

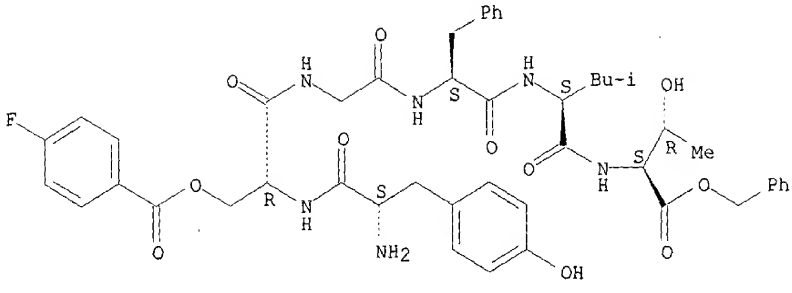
AB Enkephalin-related peptides H-Tyr-X-Gly-L-NHCH(CH2R)CO-X1-R1 [X = D-Ala, D-Ser, D-Thr, D-Cys, NHCMe2CO, AzaGly, OH-substituted amino acid residues; R = Ph, C6H4F-p, C6F5; X1 = Leu or Ile with D- or L-configuration; R1 = H, NHCHR2(CH2)nCH2OR3 or NHCHR2CH(OR3)Me (R2 = H, OH, CO2H, CONH2, phosphatidylethanolamine moiety; R3 = H, OH-protective group; n = 0, 1, 2)] were prepd. as analgesics. Thus, Boc-Gly-Phe-Leu-OMe (Boc = Me3CO2C) was Boc-deblocked by CF3CO2H and then coupled with Boc-Tyr-D-Ser(CMe3)-OH by DCC-hydroxybenzotriazole to give Boc-Tyr-D-Ser(CMe3)-Gly-Phe-Leu-OR4 (I, R4 = Me), which was sapond. to give I (R4 = H). The latter was coupled with H-Thr(CMe3)-OMe to give Boc-Tyr-D-Ser(CMe3)-Gly-Phe-Leu-Thr(CMe3)-OMe, which was sapond. and then deblocked by CF3CO2H/HCl to give H-Tyr-D-Ser-Gly-Phe-Leu-Thr-OH (II). II at 25 mg/kg (i.v.) exhibited in vivo analgesic activity in mice.

IT **82015-15-4P 82015-16-5P 82015-17-6P**
82015-23-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 82015-15-4 HCAPLUS

CN L-Threonine, N-[N-[N-[N-[O-(4-fluorobenzoyl)-N-L-tyrosyl-D-seryl]glycyl]-L-phenylalanyl]-L-leucyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

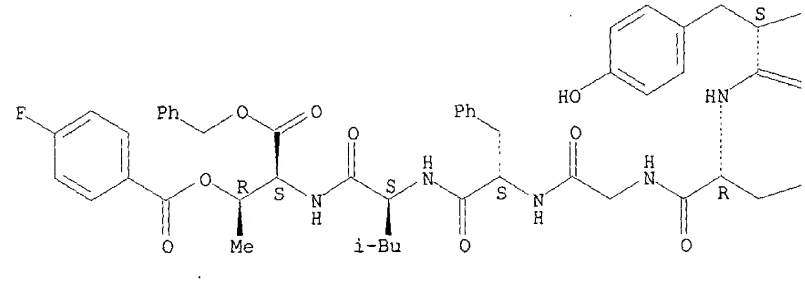
Absolute stereochemistry.



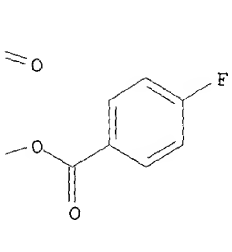
RN 82015-16-5 HCAPLUS
CN L-Threonine, N-[N-[N-[N-[O-(4-fluorobenzoyl)-N-L-tyrosyl-D-seryl]glycyl]-L-phenylalanyl]-L-leucyl]-, phenylmethyl ester, 4-fluorobenzoate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

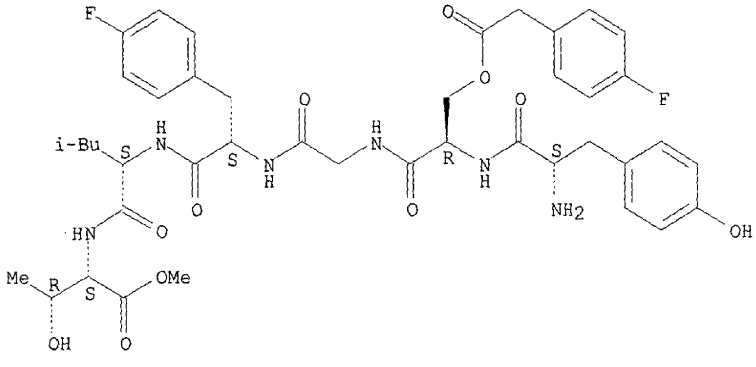


PAGE 1-B



RN 82015-17-6 HCAPLUS
CN L-Threonine, N-[N-[4-fluoro-N-[N-[O-[(4-fluorophenyl)acetyl]-N-L-tyrosyl-D-seryl]glycyl]-L-phenylalanyl]-L-leucyl]-, methyl ester (9CI) (CA INDEX NAME)

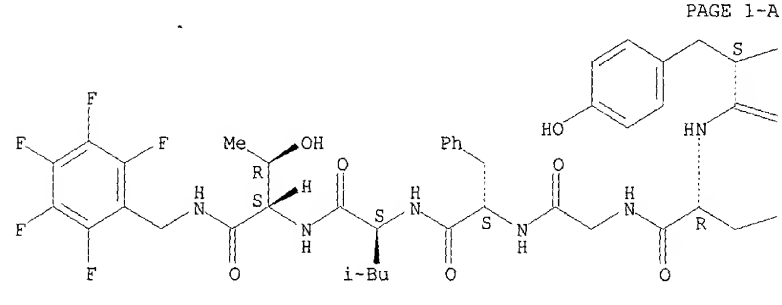
Absolute stereochemistry.



RN 82015-23-4 HCAPLUS
CN L-Threoninamide, L-tyrosyl-O-(4-fluorobenzoyl)-D-serylglycyl-L-phenylalanyl-L-leucyl-N-[(pentafluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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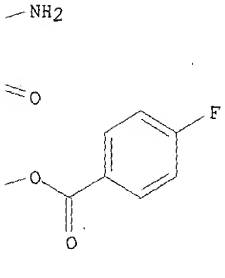


L31 ANSWER 24 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:587675 HCAPLUS
DOCUMENT NUMBER: 95:187675
TITLE: LH-RH antagonists
INVENTOR(S): Coy, David Howard; Schally, Andrew Victor
PATENT ASSIGNEE(S): USA
SOURCE: Brit. UK Pat. Appl., 14 pp.
CODEN: BAXXDU
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
GB 2053229	A	19810204	GB 1980-19009	19800610	<--
GB 2053229	B2	19830302			
US 4317815	A	19820302	US 1980-155249	19800602	<--
AT 8988	E	19840915	AT 1981-200526	19810518	<--

PRIORITY APPLN. INFO.:
CA 1979-329643 19790613 <--
US 1980-155249 19800602 <--
EP 1981-200526 19810518 <--

AB LH-releasing hormone antagonists R-X-X1-X2-Ser-Tyr-X3-Leu-Arg-Pro-X4-NH2 [R = H, alkanoyl, HO2C(CH2)nCO2 (n = 2-6), Bz, H-Gly, D- or L-amino acyl; X = D-Trp, optionally p-substituted D-Phe; X1 = optionally p-substituted D-Phe; X2 = D-Trp, Trp, Phe; X3 = D-Trp, optionally p-substituted D-Phe; X4 = Gly, D-Ala] were prepd. Thus, Ac-D-Phe-D-Phe(Cl-p)-D-Trp-Ser-Tyr-D-Trp-Leu-Arg-Pro-Gly-NH2 (I) was prepd. by the solid-phase method on a benzhydrylamine resin. I at 0.062 mg produced complete inhibition of ovulation in mature female rats.

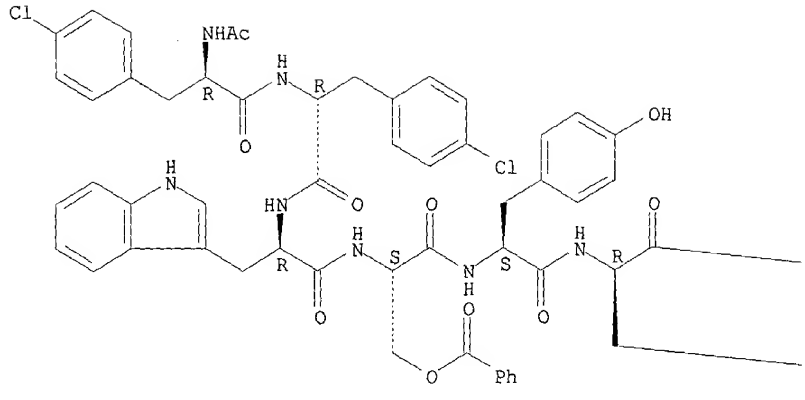
IT **79561-85-6DP**, benzhydrylamine resin-bound **79561-86-7DP**, benzhydrylamine resin-bound **79561-87-8DP**, benzhydrylamine resin-bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and resin cleavage and deblocking of)

RN 79561-85-6 HCAPLUS

CN Glycinamide, N-acetyl-4-chloro-D-phenylalanyl-4-chloro-D-phenylalanyl-D-tryptophyl-O-benzoyl-L-seryl-L-tyrosyl-D-tryptophyl-L-leucyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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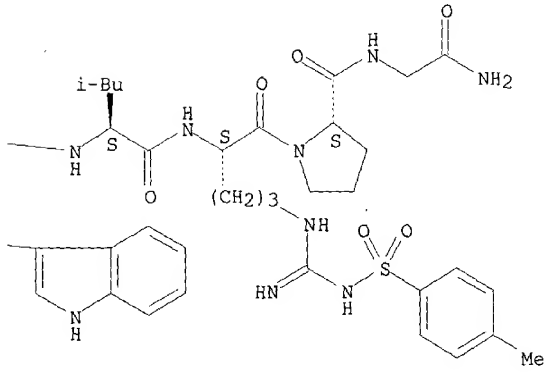
PAGE 1-B

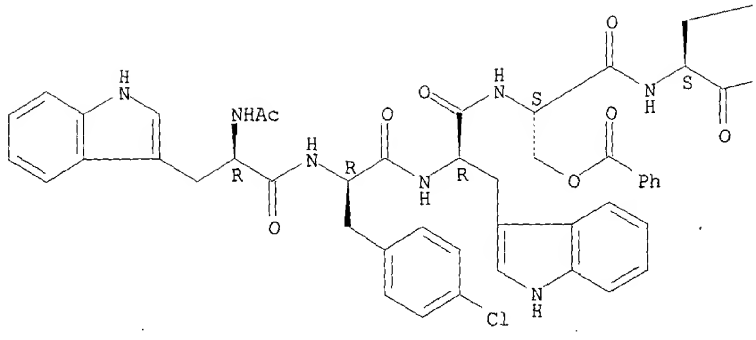


RN 79561-86-7 HCAPLUS

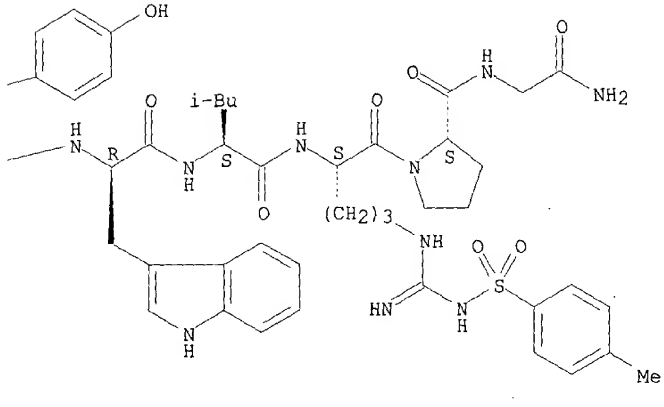
CN Glycinamide, N-acetyl-D-tryptophyl-4-chloro-D-phenylalanyl-D-tryptophyl-O-benzoyl-L-seryl-L-tyrosyl-D-tryptophyl-L-leucyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



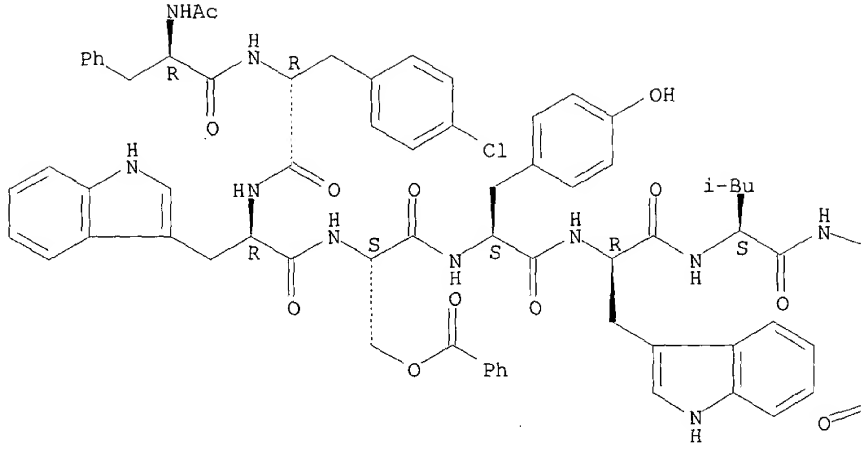
PAGE 1-B



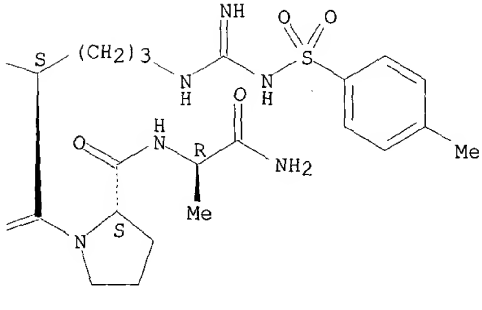
RN 79561-87-8 HCAPLUS
CN D-Alaninamide, N-acetyl-D-phenylalanyl-4-chloro-D-phenylalanyl-D-tryptophyl-O-benzoyl-L-seryl-L-tyrosyl-D-tryptophyl-L-leucyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L31 ANSWER 25 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:509987 HCAPLUS
DOCUMENT NUMBER: 95:109987
TITLE: Nouel substrates for endotoxin detection
PATENT ASSIGNEE(S): Seikagaku Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56042597	A2	19810420	JP 1979-117335	19790914
JP 63026871	B4	19880531		
JP 02000192	A2	19900105	JP 1989-57818	19890313 <--
JP 03011760	B4	19910218		

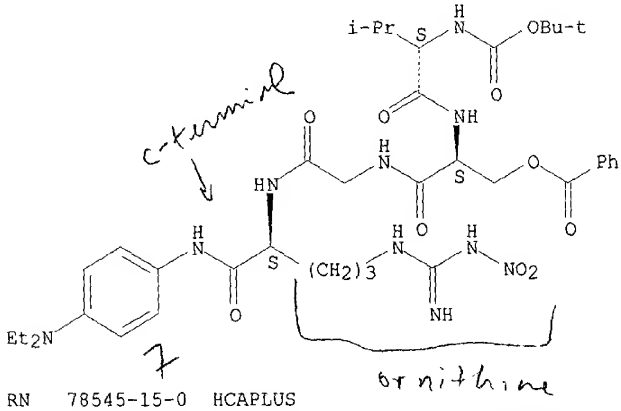
PRIORITY APPLN. INFO.: JP 1979-117335 19790914 <--

AB A sample contg. bacterial endotoxins is treated with the novel substrate R1-Gly-Arg-NHPhNEt2 (R1 = L-amino acid residue or peptide group contg. L-amino acid residues) and amebocyte lysates from horseshoe crab to form p-(N,N-diethylamino)aniline, which is coupled with 1-naphthol-2-sulfonic acid to give a product for spectrometric detn. For example, Tachypleus tridentatus amebocyte lysate was reacted with endotoxin prepd. from Salmonella minnesota by the method of M. Niwa et al. (1973), followed by treatment with BOC-Leu-Gly-Arg-DEAA [78545-16-1] (BOC = tert-butoxycarbonyl; DEAA = NHPhNEt2) to give p-(N,N-diethylamino)aniline, which was treated with Na 1-naphthol-2-sulfonate [832-50-8] to give a product for spectrometric detn. at 675 nm for the measurement of endotoxin. The substrate was prepd. by the reaction of BOC-Leu-Gly-OH [32991-17-6] with H-Arg(NO2)-DEAA [2188-18-3] in the presence of carbodiimide to form BOC-Leu-Gly-Arg(NO2)-DEAA [78545-17-2], which is reduced with Pd catalyst to produce BOC-Leu-Gly-Arg-DEAA.

IT **78545-13-8 78545-15-0**
RL: RCT (Reactant)
(redn. of)

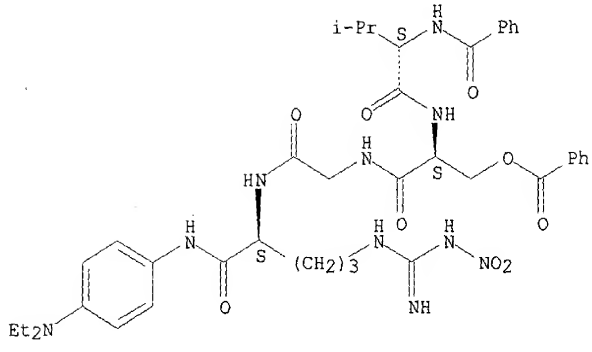
RN 78545-13-8 HCAPLUS
CN L-Ornithinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-O-benzoyl-L-serylglycyl-N-[4-(diethylamino)phenyl]-N5-[imino(nitroamino)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 78545-15-0 HCAPLUS
CN L-Ornithinamide, N-benzoyl-L-valyl-O-benzoyl-L-serylglycyl-N-[4-(diethylamino)phenyl]-N5-[imino(nitroamino)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 26 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:558110 HCAPLUS
DOCUMENT NUMBER: 91:158110
TITLE: Blocking allergic responses
INVENTOR(S): Hamburger, Robert N.
PATENT ASSIGNEE(S): University of California, Berkeley, USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

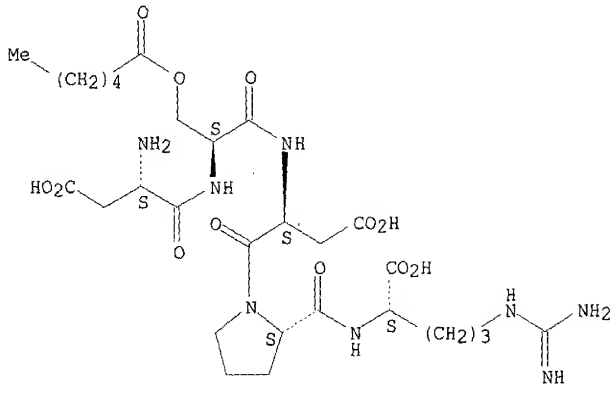
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4161522	A	19790717	US 1978-940323	19780907 <--
US 4171299	A	19791016	US 1976-652868	19760127 <--
AU 8065181	A1	19810416	AU 1980-65181	19801208 <--
AU 531075	B2	19830811		

PRIORITY APPLN. INFO.: US 1975-565425 19750404 <--
US 1976-652868 19760127 <--
AU 1976-12303 19760324 <--

AB Tripeptides to decapeptides from the 265-537 sequence of the Fc region of Ig E, useful as agents for blocking the mammalian allergic response, were prepd. by solid-phase methods. Thus, BOC-Asp-(OCH2Ph)-Pro-Arg(NO2)-O-resin (I, BOC = Me3CO2C) was prepd. by stepwise solid-phase couplings and then was resin-cleaved and deblocked by HBr/CF3CO2H to give H-Asp-Pro-Arg(NO2)-OH, which was hydrogenated to give H-Asp-Pro-Arg-OH. I was used in the solid-phase prepn. of BOC-Ser(CH2Ph)-Asp(OCH2Ph)-Pro-Arg(NO2)-O-resin (II), which was cleaved and deblocked to give H-Ser-Asp-Pro-Arg-OH, and II was used in the solid-phase prepn. of H-Asp-Ser-Asp-Pro-OH (III). H-Ala-Asp-Ser-Asp-Pro-Arg-OH was also prepd. III exhibited an av. allergic inhibition of 72% in an assay of the Prausnitz-Kustner reaction.

IT **62087-79-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 62087-79-0 HCAPLUS
CN L-Arginine, N2-[1-[N-[N-L-.alpha.-aspartyl-O-(1-oxohexyl)-L-seryl]-L-.alpha.-aspartyl]-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 27 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:134551 HCAPLUS
DOCUMENT NUMBER: 90:134551
TITLE: Tetrapeptides and their preparation and use in determining serine proteases
INVENTOR(S): Claeson, Karl Goran; Aurell, Leif Erik; Simonsson, Leif Roger
PATENT ASSIGNEE(S): Aktiebolag Kabi, Swed.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 2753653	A1	19780608	DE 1977-2753653	19771201	<--
DE 2753653	C2	19830721			
SE 7613463	A	19780602	SE 1976-13463	19761201	
SE 437153	B	19850211			
SE 437153	C	19850530			
IL 53187	A1	19810227	IL 1977-53187	19771021	<--
NL 7711791	A	19780605	NL 1977-11791	19771027	<--
NL 178600	B	19851118			
NL 178600	C	19860416			
FI 7703242	A	19780602	FI 1977-3242	19771031	<--
ZA 7706460	A	19780830	ZA 1977-6460	19771031	<--
ES 464117	A1	19780901	ES 1977-464117	19771114	<--
US 4207232	A	19800610	US 1977-852006	19771116	<--
AU 7730771	A1	19790524	AU 1977-30771	19771118	<--
AU 514768	B2	19810226			
GB 1565154	A	19800416	GB 1977-48288	19771121	<--
BE 861295	A1	19780316	BE 1977-183005	19771129	<--
FR 2372798	A1	19780630	FR 1977-35870	19771129	<--
FR 2372798	B1	19831110			
DD 136896	C	19790801	DD 1977-202299	19771129	<--

PL 109588	B1	19800630	PL 1977-202501	19771129 <--
CH 637627	A	19830815	CH 1977-14618	19771129 <--
NO 7704092	A	19780602	NO 1977-4092	19771130 <--
SU 736889	D	19800525	SU 1977-2548501	19771130 <--
CA 1098428	A1	19810331	CA 1977-292077	19771130 <--
DK 7705353	A	19780602	DK 1977-5353	19771201 <--
DK 155333	B	19890328		
DK 155333	C	19890904		
JP 53069693	A2	19780621	JP 1977-143340	19771201 <--
JP 57008720	B4	19820217		
AT 7708596	A	19800115	AT 1977-8596	19771201 <--
AT 358203	B	19800825		
HU 19255	O	19801227	HU 1977-KA1497	19771201 <--
HU 176983	P	19810628		
DE 2760116	C2	19850912	DE 1977-2760116	19771201 <--
US 4276375	A	19810630	US 1979-86970	19791022 <--

PRIORITY APPLN. INFO.: SE 1976-13463 19761201 <--
US 1977-852006 19771116 <--

AB The carboxyl side chains of tetrapeptides representing the protease cleavage site of prothrombin are esterified or amidated to give substrates for detn. of blood-coagulation factor Xa. Thus, 30 .mu.L SOCl2 was mixed with 0.5 mL MeOH, and 75 mg (0.10 mmol) Bz-Ile-Glu-Gly-Arg-R (R = p-nitroaniline) was added. After 5 h, methylated peptide was purified by chromatog. (30% yield). The product was incubated in a com. protease detn. system, and liberation of p-nitroaniline was measured at 405 nm. The modified peptide was 2.3-fold more active than the unmodified peptide, which is presently used in clin. assays.

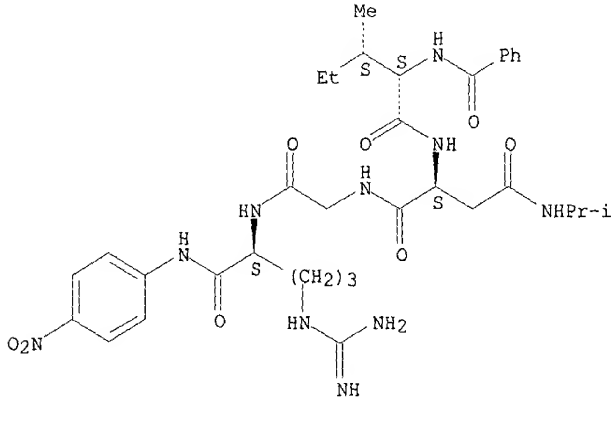
IT **67508-63-8P**
RL: PREP (Preparation)
(prepn. of, as serine proteinase substrate)

RN 67508-63-8 HCAPLUS

CN L-Argininamide, N-benzoyl-L-isoleucyl-N-(1-methylethyl)-L-asparaginylglycyl-N-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



● HCl

L31 ANSWER 28 OF 28 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1977:107045 HCAPLUS
DOCUMENT NUMBER: 86:107045
TITLE: Biologically active polypeptides
INVENTOR(S): Hamburger, Robert N.
PATENT ASSIGNEE(S): University of California, USA
SOURCE: Ger. Offen., 46 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 2602443	A1	19761021	DE 1976-2602443	19760123	<--
JP 51118702	A2	19761018	JP 1976-7400	19760126	<--
JP 60002318	B4	19850121			
AU 7612303	A1	19770929	AU 1976-12303	19760324	<--
AU 514308	B2	19810205			
GB 1539102	A	19790124	GB 1976-12632	19760329	<--
BE 840193	A1	19760930	BE 1976-165690	19760330	<--
FR 2305989	A1	19761029	FR 1976-9232	19760330	<--
FR 2305989	B1	19791005			
CA 1087171	A1	19801007	CA 1976-249207	19760330	<--
SE 7603897	A	19761005	SE 1976-3897	19760401	<--
SE 430058	B	19831017			
SE 430058	C	19840126			
NL 7603384	A	19761006	NL 1976-3384	19760401	<--
CH 624093	A	19810715	CH 1976-4092	19760401	<--
CA 1079721	A2	19800617	CA 1979-338393	19791025	<--
AU 8065181	A1	19810416	AU 1980-65181	19801208	<--
AU 531075	B2	19830811			

PRIORITY APPLN. INFO.:

US 1975-565425	19750404	<--
DE 1976-2602443	19760123	<--
AU 1976-12303	19760324	<--
CA 1976-249207	19760330	<--

AB R-Asp-Pro-Arg-OH (I; R = H, H-Ser, H-Asp-Ser, H-Ala-Asp-Ser) were prepd. by solid-phase methods. Thus, Me3CO2C-Asp(OCH2Ph)-Pro-Arg(NO-2)-resin (II) was prepd. and cleaved with HBr and CF3CO2H to give H-Asp-Pro-Arg(NO2)-OH which was hydrogenated to give I (R = H). The tetra-, penta-, and hexapeptides were prepd. by extending II. I gave av. inhibitions of the allergic reaction in the Prausnitz-Kustner test of 15%, 18%, 72%, and 46% resp. H-Asp-Thr-Glu-Ala-Arg-OH and H-Arg(SO3C6H4Me-4)-NMeCH2COMe gave av. allergy inhibitions of 58% and 24%, resp.

IT **62087-79-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 62087-79-0 HCAPLUS

CN L-Arginine, N2-[1-[N-[N-L-.alpha.-aspartyl-O-(1-oxohexyl)-L-seryl]-L-.alpha.-asparty1]-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.